

~~10/764,529~~

10/608,333

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MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),  
AND CURRENT DISCOVER FILE IS DATED 13 JUNE 2005

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FILE 'HOME' ENTERED AT 15:20:11 ON 27 SEP 2005

=> file reg

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
0.21	0.21

FULL ESTIMATED COST

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STRUCTURE FILE UPDATES: 26 SEP 2005 HIGHEST RN 863963-04-6  
DICTIONARY FILE UPDATES: 26 SEP 2005 HIGHEST RN 863963-04-6

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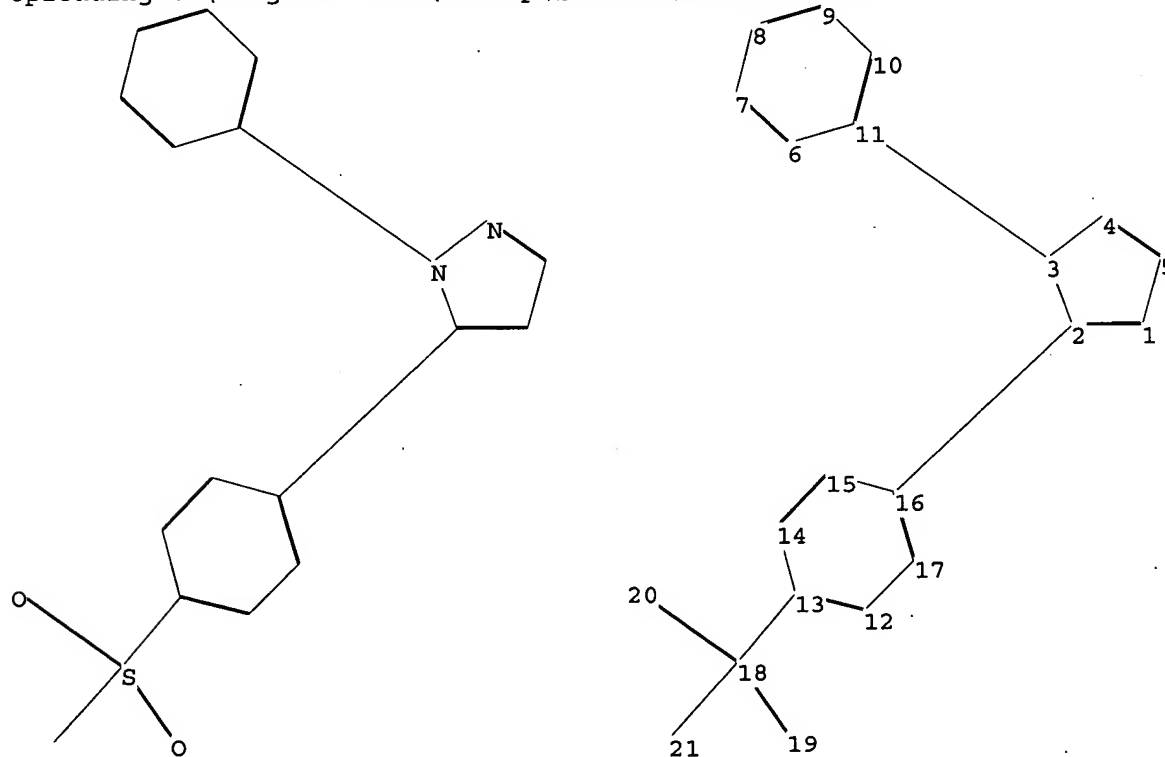
\*\*\*\*\*  
\*  
\* The CA roles and document type information have been removed from \*  
\* the IDE default display format and the ED field has been added, \*  
\* effective March 20, 2005. A new display format, IDERL, is now \*  
\* available and contains the CA role and document type information. \*  
\*  
\*\*\*\*\*

Structure search iteration limits have been increased. See HELP SLIMITS  
for details.

Experimental and calculated property data are now available. For more  
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=>

Uploading C:\Program Files\Stnexp\Queries\106083331.str



chain nodes :

10/764,529

18 19 20 21

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17

chain bonds :

2-16 3-11 13-18 18-19 18-20 18-21

ring bonds :

1-2 1-5 2-3 3-4 4-5 6-7 6-11 7-8 8-9 9-10 10-11 12-13 12-17 13-14  
14-15 15-16 16-17

exact/norm bonds :

2-3 3-4 3-11 4-5 13-18 18-19 18-20 18-21

exact bonds :

1-2 1-5 2-16

normalized bonds :

6-7 6-11 7-8 8-9 9-10 10-11 12-13 12-17 13-14 14-15 15-16 16-17

isolated ring systems :

containing 1 : 6 : 12 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom  
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS 19:CLASS  
20:CLASS 21:CLASS

L1 STRUCTURE UPLOADED

=> s l1

SAMPLE SEARCH INITIATED 15:20:34 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 104 TO ITERATE

100.0% PROCESSED 104 ITERATIONS  
SEARCH TIME: 00.00.01

39 ANSWERS

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 1469 TO 2691  
PROJECTED ANSWERS: 406 TO 1154

L2 39 SEA SSS SAM L1

=> s l1 ful

FULL SEARCH INITIATED 15:20:40 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 2117 TO ITERATE

100.0% PROCESSED 2117 ITERATIONS  
SEARCH TIME: 00.00.01

621 ANSWERS

L3 621 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE  
ENTRY

TOTAL  
SESSION

FULL ESTIMATED COST

161.33

161.54

FILE 'CAPLUS' ENTERED AT 15:20:46 ON 27 SEP 2005

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FILE COVERS 1907 - 27 Sep 2005 VOL 143 ISS 14  
FILE LAST UPDATED: 26 Sep 2005 (20050926/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3  
L4

62 L3

=> d l4 ibib hirststr abs 1-62  
'HIRSTR' IS NOT A VALID FORMAT FOR FILE 'CAPLUS'

The following are valid formats:

ABS ----- GI and AB  
ALL ----- BIB, AB, IND, RE  
APPS ----- AI, PRAI  
BIB ----- AN, plus Bibliographic Data and PI table (default)  
CAN ----- List of CA abstract numbers without answer numbers  
CBIB ----- AN, plus Compressed Bibliographic Data  
DALL ----- ALL, delimited (end of each field identified)  
DMAX ----- MAX, delimited for post-processing  
FAM ----- AN, PI and PRAI in table, plus Patent Family data  
FBIB ----- AN, BIB, plus Patent FAM  
IND ----- Indexing data  
IPC ----- International Patent Classifications  
MAX ----- ALL, plus Patent FAM, RE  
PATS ----- PI, SO  
SAM ----- CC, SX, TI, ST, IT  
SCAN ----- CC, SX, TI, ST, IT (random display, no answer numbers;  
SCAN must be entered on the same line as the DISPLAY,  
e.g., D SCAN or DISPLAY SCAN)  
STD ----- BIB, IPC, and NCL

IABS ----- ABS, indented with text labels  
IALL ----- ALL, indented with text labels  
IBIB ----- BIB, indented with text labels  
IMAX ----- MAX, indented with text labels  
ISTD ----- STD, indented with text labels

OBIB ----- AN, plus Bibliographic Data (original)  
OIBIB ----- OBIB, indented with text labels

SBIB ----- BIB, no citations  
SIBIB ----- IBIB, no citations



HIT ----- Fields containing hit terms  
 HITIND ----- IC, ICA, ICI, NCL, CC and index field (ST and IT)  
                   containing hit terms  
 HITRN ----- HIT RN and its text modification  
 HITSTR ----- HIT RN, its text modification, its CA index name, and  
                   its structure diagram  
 HITSEQ ----- HIT RN, its text modification, its CA index name, its  
                   structure diagram, plus NTE and SEQ fields  
 FHITSTR ----- First HIT RN, its text modification, its CA index name, and  
                   its structure diagram  
 FHITSEQ ----- First HIT RN, its text modification, its CA index name, its  
                   structure diagram, plus NTE and SEQ fields  
 KWIC ----- Hit term plus 20 words on either side  
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=> d his

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L1               STRUCTURE UPLOADED  
 L2               39 S L1  
 L3               621 S L1 FUL

FILE 'CAPLUS' ENTERED AT 15:20:46 ON 27 SEP 2005

L4               62 S L3

=> d l4 ibib hitstr abs 1-62

L4   ANSWER 1 OF 62   CAPLUS   COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER:       2005:369275   CAPLUS  
 DOCUMENT NUMBER:       142:430265  
 TITLE:               Preparation of substituted pyrazoles and isoxazoles as  
                       large conductance Ca-activated K channel openers  
 INVENTOR(S):           Imanishi, Yasuhiro; Awai, Nobumasa; Hirai, Miki;  
                       Hosaka, Toshihiro; Kono, Rikako  
 PATENT ASSIGNEE(S):   Tanabe Seiyaku Co., Ltd., Japan  
 SOURCE:               PCT Int. Appl., 224 pp.  
                       CODEN: PIXXD2  
 DOCUMENT TYPE:       Patent  
 LANGUAGE:           English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2005037271	A2	20050428	WO 2004-JP15662	20041015
WO 2005037271	A3	20050901		

10/764,529

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

JP 2003-357325	A	20031017
JP 2004-17662	A	20040126
JP 2004-85143	A	20040323
JP 2004-194172	A	20040630
US 2004-584451P	P	20040701

OTHER SOURCE(S): MARPAT 142:430265

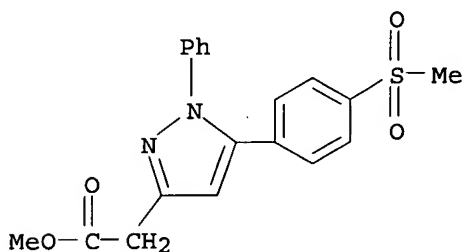
IT 850829-04-8P 850832-40-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted pyrazoles and isoxazoles as large conductance Ca-activated K channel openers)

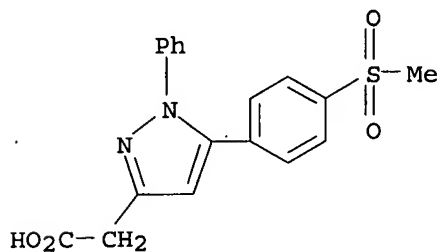
RN 850829-04-8 CAPLUS

CN 1H-Pyrazole-3-acetic acid, 5-[4-(methylsulfonyl)phenyl]-1-phenyl-, methyl ester (9CI) (CA INDEX NAME)



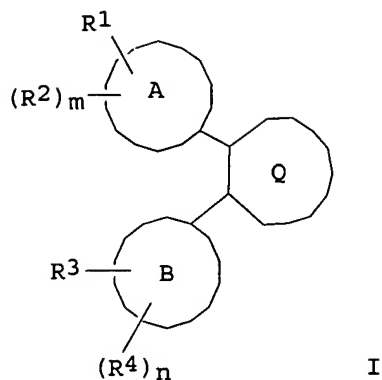
RN 850832-40-5 CAPLUS

CN 1H-Pyrazole-3-acetic acid, 5-[4-(methylsulfonyl)phenyl]-1-phenyl-, sodium salt (9CI) (CA INDEX NAME)



● Na

GI



AB Title compds. I [A = benzene, heterocycle; B = benzene, heterocycle, etc.; Q = pyrazolyl, isoxazolyl; R1, R3 = carboxamido, hydrazido, etc.; m, n = 0-2; R2, R4 = oxo, CN, NO<sub>2</sub>, etc.] are prepared For instance, 4,4,4-trifluoro-1-(4-methylphenyl)butane-1,3-dione is reacted with 3-methylphenylhydrazine•HCl (EtOH, reflux, 20 h) to give 1-(3-methylphenyl)-5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazole (II). Data for over 400 compds. is given. The relaxation effect on K-induced contraction of isolated rabbit urinary bladder and the inhibitory effect on the rhythmic bladder contractions induced by substance P in anesthetized rats is provided for selected example compds. I are useful for the treatment of pollakiuria, urinary incontinence, etc.

L4 ANSWER 2 OF 62 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:256013 CAPLUS

DOCUMENT NUMBER: 143:19231

TITLE: 3D-QSAR and preliminary evaluation of anti-inflammatory activity of series of N-pyrrolylcarboxylic acids

AUTHOR(S): Lessigiarska, Iglia; Nankov, Atanas; Bocheva, Adriana; Pajeva, Ilza; Bijev, Atanas

CORPORATE SOURCE: Centre of Biomedical Engineering, Bulgarian Academy of Sciences, Bulg.

SOURCE: Farmaco (2005), 60(3), 209-218

CODEN: FRMCE8; ISSN: 0014-827X

PUBLISHER: Editions Scientifiques et Medicales Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

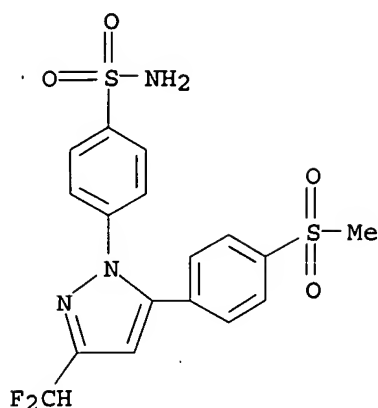
IT 170570-43-1

RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(3D-QSAR and preliminary evaluation of anti-inflammatory activity of N-pyrrolylcarboxylic acids)

RN 170570-43-1 CAPLUS

CN Benzenesulfonamide, 4-[3-(difluoromethyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



AB The present study focuses on development of new potential inhibitors of cyclooxygenase-2 (COX-2): series of N-pyrrolylcarboxylic acids. 3D-QSAR (Quant. Structure-Activity Relationship) CoMFA (Comparative Mol. Field Anal.) and CoMSIA (Comparative Mol. Similarity Index Anal.) models for predicting inhibitory activities against COX-1 and COX-2 as well as for evaluating in vivo anti-inflammatory activity were obtained and used for preliminary screening of new anti-inflammatory N-pyrrolylcarboxylic acids. Nine compds. were selected for in vivo testing and evaluated for their potency to decrease carrageenin-induced edema in rats. The compds. were applied i.p. at doses 20 mg/kg and 40 mg/kg and p.o. at doses 10 mg/kg and 40 mg/kg. Six compds. showed more than 70% protection of the edema. Indomethacin (2 mg/kg i.p.), used as a reference drug, possessed 54% anti-inflammatory activity under similar exptl. conditions.

REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 62 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:99157 CAPLUS

DOCUMENT NUMBER: 142:170033

TITLE: Methods and compositions for the treatment or prevention of human immunodeficiency virus and related conditions using cyclooxygenase-2 selective inhibitors and antiviral agents

INVENTOR(S): Maziasz, Timothy

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 172 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005026902	A1	20050203	US 2004-769485	20040130
PRIORITY APPLN. INFO.:			US 2003-443910P	P 20030131
OTHER SOURCE(S):	MARPAT 142:170033			
IT 165251-89-8				

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

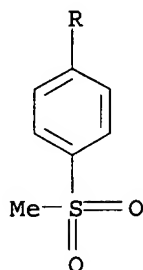
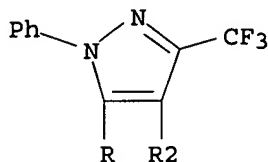
(methods and compns. for treatment or prevention of HIV infection and related conditions using cyclooxygenase-2 selective inhibitors and antiviral agents)

10/764,529

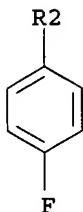
RN 165251-89-8 CAPLUS

CN 1H-Pyrazole, 4-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-1-phenyl-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



AB The present invention provides compns. and methods for the treatment of human immunodeficiency virus (HIV) infection as well as HIV associated diseases and related disorders. More particularly, the invention provides a combination therapy for the treatment of HIV infection as well as HIV associated diseases and related disorders comprising the administration to a subject of an anti-human immunodeficiency virus agent in combination with a cyclooxygenase-2 selective inhibitor or an isomer or a pharmaceutically acceptable salt, ester, or prodrug thereof.

L4 ANSWER 4 OF 62 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:4716 CAPLUS

DOCUMENT NUMBER: 142:329295

TITLE: Effects of Intravenous Administration of FR122047 (a Selective Cyclooxygenase 1 Inhibitor) and FR188582 (a Selective Cyclooxygenase 2 Inhibitor) on Prostaglandin-E2-Induced Aqueous Flare Elevation in Pigmented Rabbits

AUTHOR(S): Abe, Tomohiro; Hayasaka, Yoriko; Zhang, Xue-Yun; Hayasaka, Seiji

CORPORATE SOURCE: Department of Ophthalmology, Toyama Medical and

SOURCE: Pharmaceutical University, Toyama, Japan  
 Ophthalmic Research (2004), 36(6), 321-326  
 CODEN: OPRSAQ; ISSN: 0030-3747

PUBLISHER: S. Karger AG

DOCUMENT TYPE: Journal

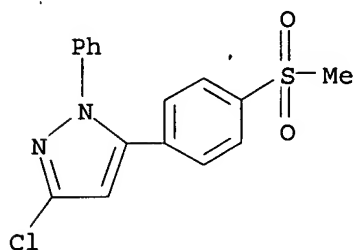
LANGUAGE: English

IT 189699-82-9, FR 188582

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (i.v. FR188582 administration before transcorneal PGE2 application and with FR122047 infusion inhibit aqueous flare elevation dose-dependently, induce slight change in COX-1, COX-2 mRNA level in iris-ciliary body of pigmented rabbit)

RN 189699-82-9 CAPLUS

CN 1H-Pyrazole, 3-chloro-5-[4-(methylsulfonyl)phenyl]-1-phenyl- (9CI) (CA INDEX NAME)



AB Purpose: Two isoforms of cyclooxygenase (COX-1 and COX-2) exist. To determine in vivo effects of the i.v. administration of FR122047 (a selective COX-1 inhibitor), FR188582 (a selective COX-2 inhibitor), diclofenac sodium or dexamethasone phosphate disodium on prostaglandin-E2 (PGE2)-induced aqueous flare elevation and mRNA levels for COX-1 and COX-2 in pigmented rabbits. Methods: To produce aqueous flare elevation in rabbits, PGE2, 25 µg/mL, was applied to the cornea with the use of a glass cylinder. FR122047, FR188582, diclofenac sodium or dexamethasone phosphate disodium was i.v. injected before PGE2 application. Aqueous flare was measured with a laser flare-cell meter. The mRNA levels for COX-1 and COX-2 in the iris-ciliary body were determined by real-time polymerase chain reaction. Results: FR122047, FR188582 and diclofenac sodium (15 µmol/kg each) injected i.v. 30 min before PGE2 application inhibited 29 ± 5, 40 ± 12 and 50 ± 9% of aqueous flare elevation, resp. Simultaneous injection of FR122047 (15 µmol/kg) and FR188582 (15 µmol/kg) 30 min before PGE2 application inhibited 61 ± 8% of flare elevation. Dexamethasone phosphate disodium (15 µmol/kg) injected i.v. 300 min before PGE2 application inhibited 68 ± 8% of aqueous flare elevation. Less than 3-fold changes in mRNA levels for COX-1 and COX-2 in the iris-ciliary body were noted after PGE2, FR122047, FR188582, diclofenac sodium or dexamethasone phosphate disodium treatment. Conclusion: It is possible that enzyme activities of both COX-1 and COX-2 may be involved in the mechanism of PGE2-induced aqueous flare elevation in pigmented rabbits.

REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 62 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:967778 CAPLUS

DOCUMENT NUMBER: 142:113957

TITLE: 3-(2-Methoxytetrahydrofuran-2-yl)pyrazoles: a novel class of potent, selective cyclooxygenase-2 (COX-2)

inhibitors

AUTHOR(S): Ranatunge, Ramani R.; Earl, Richard A.; Garvey, David S.; Janero, David R.; Letts, L. Gordon; Martino, Allison M.; Murty, Madhavi G.; Richardson, Stewart K.; Schwalb, David J.; Young, Delano V.; Zemtseva, Irina S.

CORPORATE SOURCE: NitroMed Inc., Lexington, MA, 02421, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2004), 14(24), 6049-6052  
CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

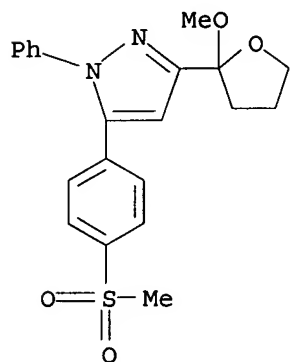
OTHER SOURCE(S): CASREACT 142:113957

IT 823191-22-6P 823191-23-7P 823191-24-8P  
823191-25-9P 823191-26-0P 823191-27-1P  
823191-28-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(preparation of diaryl(methoxytetrahydrofuryl)pyrazoles as selective human cyclooxygenase-2 inhibitors)

RN 823191-22-6 CAPLUS

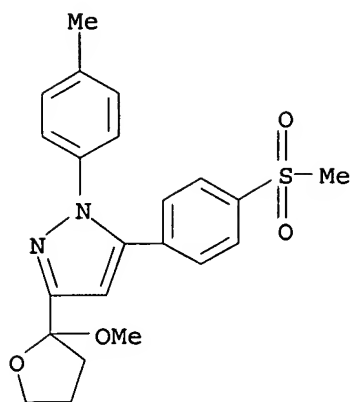
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RN 823191-23-7 CAPLUS

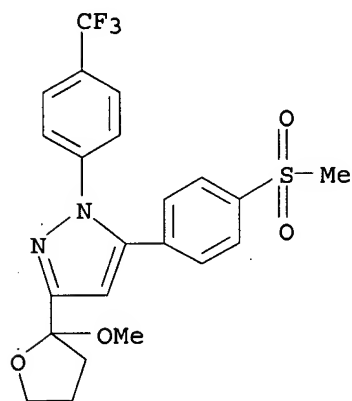
CN 1H-Pyrazole, 1-(4-methylphenyl)-5-[4-(methylsulfonyl)phenyl]-3-(tetrahydro-2-methoxy-2-furanyl)- (9CI) (CA INDEX NAME)

10/764,529



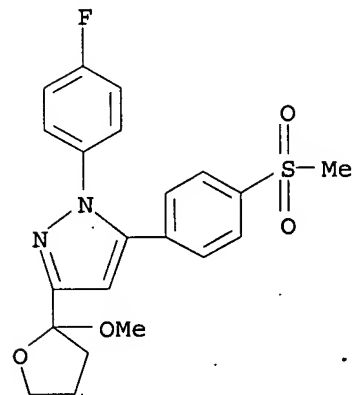
RN 823191-24-8 CAPLUS

CN 1H-Pyrazole, 5-[4-(methylsulfonyl)phenyl]-3-(tetrahydro-2-methoxy-2-furanyl)-1-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 823191-25-9 CAPLUS

CN 1H-Pyrazole, 1-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-3-(tetrahydro-2-methoxy-2-furanyl)- (9CI) (CA INDEX NAME)

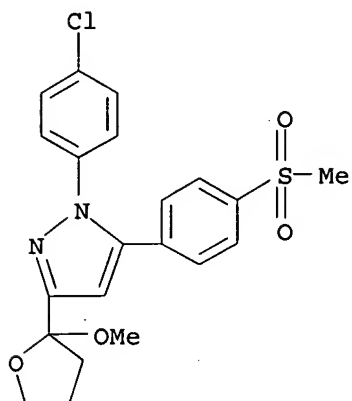


RN 823191-26-0 CAPLUS



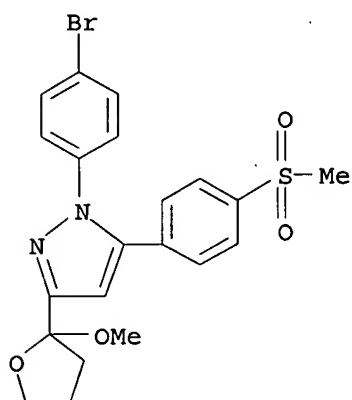
10/764,529

CN 1H-Pyrazole, 1-(4-chlorophenyl)-5-[4-(methylsulfonyl)phenyl]-3-(tetrahydro-2-methoxy-2-furanyl)- (9CI) (CA INDEX NAME)



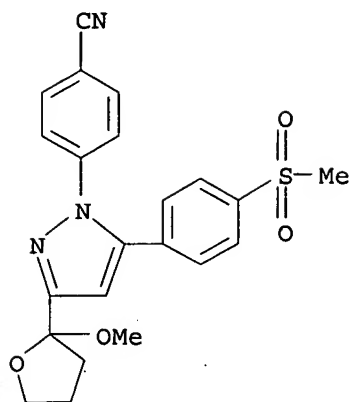
RN 823191-27-1 CAPLUS

CN 1H-Pyrazole, 1-(4-bromophenyl)-5-[4-(methylsulfonyl)phenyl]-3-(tetrahydro-2-methoxy-2-furanyl)- (9CI) (CA INDEX NAME)

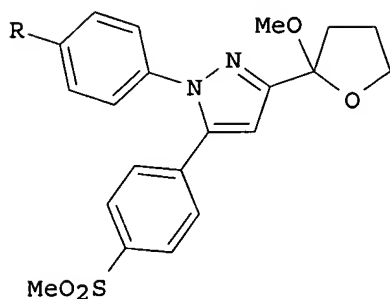


RN 823191-28-2 CAPLUS

CN Benzonitrile, 4-[5-[4-(methylsulfonyl)phenyl]-3-(tetrahydro-2-methoxy-2-furanyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



GI



I

AB A series of 3-(2-methoxytetrahydrofuran-2-yl)pyrazoles I (R = H, Me, F3C, F, Cl, Br, CN) was synthesized. I were evaluated for their ability to inhibit cyclooxygenase-1 (COX-1) and cyclooxygenase-2 (COX-2) activity in human whole blood. I (R = Me) showed potent and selective COX-2 inhibition (IC<sub>50</sub> for COX-1: >100 μM and COX-2: 1.2 μM).

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 62 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:370908 CAPLUS

DOCUMENT NUMBER: 140:391278

TITLE: Preparation of pyrazole-4-alkanoic acid derivatives as cyclooxygenase and 5-lipoxygenase inhibitors

INVENTOR(S): Kawano, Katsuhiro; Taniguchi, Makoto; Igarashi, Atsushi; Yamada, Mie; Naito, Kenji; Toyota, Yoshihiro

PATENT ASSIGNEE(S): Wakamoto Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 117 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.

KIND

DATE

APPLICATION NO.

DATE

-----  
 WO 2004037793                      A1                      20040506                      WO 2003-JP13596                      20031024  
 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,  
     CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE,  
     GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK,  
     LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ,  
     OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,  
     TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
     KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,  
     FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,  
     BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
 PRIORITY APPLN. INFO.:                      JP 2002-309922                      A 20021024

OTHER SOURCE(S):                      MARPAT 140:391278

IT 685856-90-0P 685856-94-4P 685857-13-0P  
 685858-94-0P

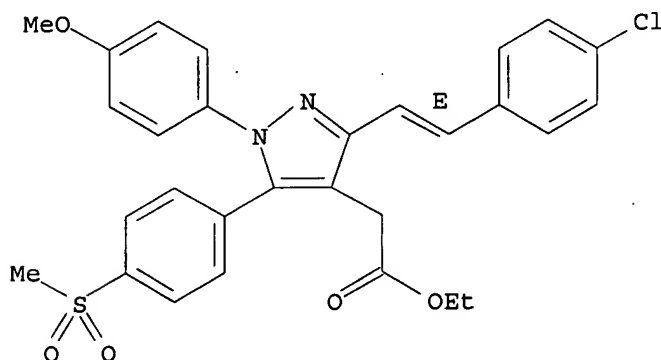
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
 (Uses)

(preparation of pyrazole-4-alkanoic acid derivs. as cyclooxygenase and  
 5-lipoxygenase inhibitors)

RN 685856-90-0 CAPLUS

CN 1H-Pyrazole-4-acetic acid, 3-[(1E)-2-(4-chlorophenyl)ethenyl]-1-(4-  
 methoxyphenyl)-5-[4-(methylsulfonyl)phenyl]-, ethyl ester (9CI) (CA INDEX  
 NAME)

Double bond geometry as shown.

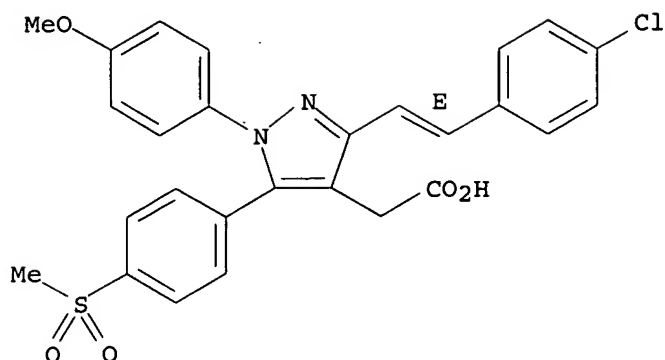


RN 685856-94-4 CAPLUS

CN 1H-Pyrazole-4-acetic acid, 3-[(1E)-2-(4-chlorophenyl)ethenyl]-1-(4-  
 methoxyphenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

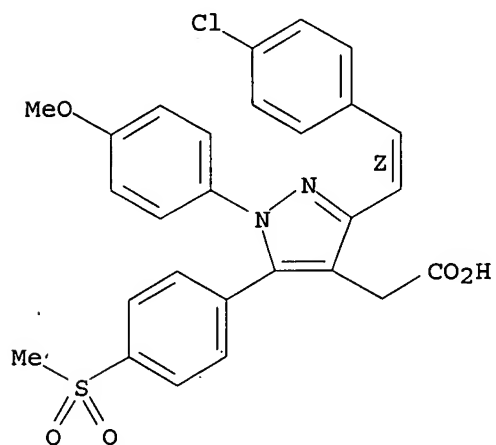
10/764,529



RN 685857-13-0 CAPLUS

CN 1H-Pyrazole-4-acetic acid, 3-[(1Z)-2-(4-chlorophenyl)ethenyl]-1-(4-methoxyphenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

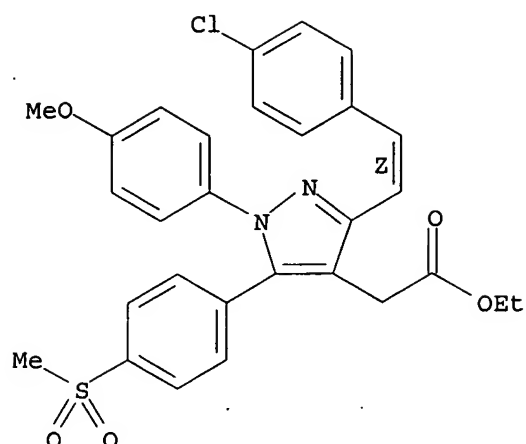
Double bond geometry as shown.



RN 685858-94-0 CAPLUS

CN 1H-Pyrazole-4-acetic acid, 3-[(1Z)-2-(4-chlorophenyl)ethenyl]-1-(4-methoxyphenyl)-5-[4-(methylsulfonyl)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



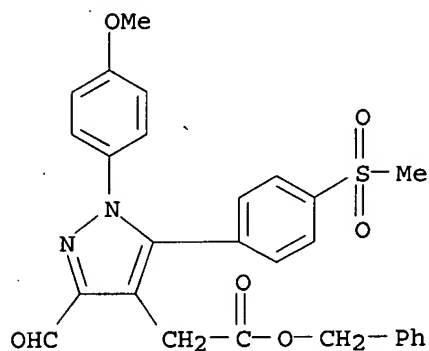
## IT 685857-71-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

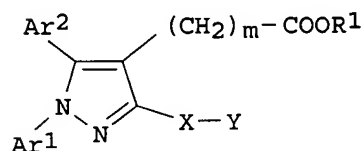
(preparation of pyrazole-4-alkanoic acid derivs. as cyclooxygenase and 5-lipoxygenase inhibitors)

RN 685857-71-0 CAPLUS

CN 1H-Pyrazole-4-acetic acid, 3-formyl-1-(4-methoxyphenyl)-5-[4-(methylsulfonyl)phenyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



## GI



I

AB The title compds. I [Ar1, Ar2 = (un)substituted Ph, etc.; R1 = H, alkyl, etc.; m = 1 - 3; X = CH2, etc.; Y = (un)substituted phenyl] are prepared I inhibit the production of both of prostaglandin and leukotriene and are useful in the treatment of inflammation and pain. The PGE2 and LTB4 inhibiting activities of compds. of this invention were demonstrated.

10/764,529

L4 ANSWER 7 OF 62 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:252948 CAPLUS

DOCUMENT NUMBER: 140:423618

TITLE: Synthesis and Selective Cyclooxygenase-2 Inhibitory Activity of a Series of Novel, Nitric Oxide Donor-Containing Pyrazoles

AUTHOR(S): Ranatunge, Ramani R.; Augustyniak, Michael; Bandarage, Upul K.; Earl, Richard A.; Ellis, James L.; Garvey, David S.; Janero, David R.; Letts, L. Gordon; Martino, Allison M.; Murty, Madhavi G.; Richardson, Stewart K.; Schroeder, Joseph D.; Shumway, Matthew J.; Tam, S. William; Trocha, A. Mark; Young, Delano V.

CORPORATE SOURCE: NitroMed Inc., Bedford, MA, 01730, USA

SOURCE: Journal of Medicinal Chemistry (2004), 47(9), 2180-2193

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

IT 640727-87-3P 640727-88-4P 640728-01-4P

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641639-22-7P 641639-27-2P 641639-58-9P

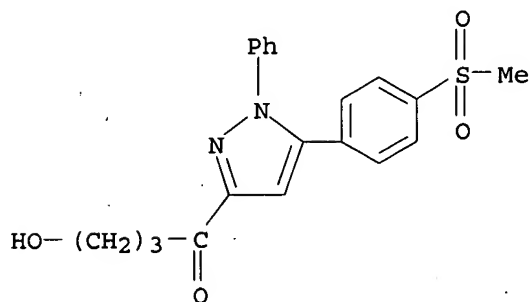
641639-63-6P 641640-13-3P 693288-12-9P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)

(preparation and selective cyclooxygenase-2 inhibitory activity of nitric oxide donor-containing pyrazoles)

RN 640727-87-3 CAPLUS

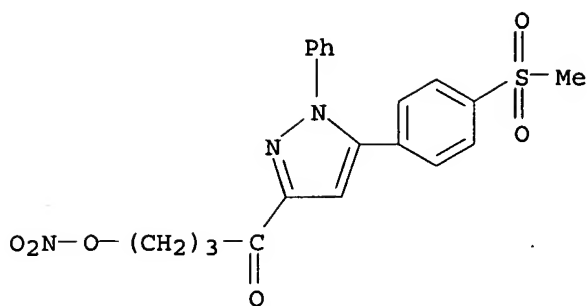
CN 1-Butanone, 4-hydroxy-1-[5-[4-(methylsulfonyl)phenyl]-1-phenyl-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



RN 640727-88-4 CAPLUS

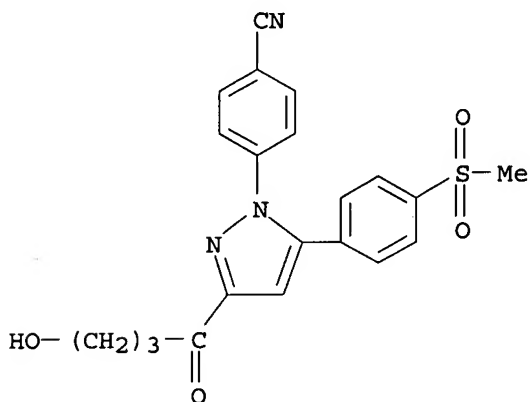
CN 1-Butanone, 1-[5-[4-(methylsulfonyl)phenyl]-1-phenyl-1H-pyrazol-3-yl]-4-(nitrooxy)- (9CI) (CA INDEX NAME)

10/764,529



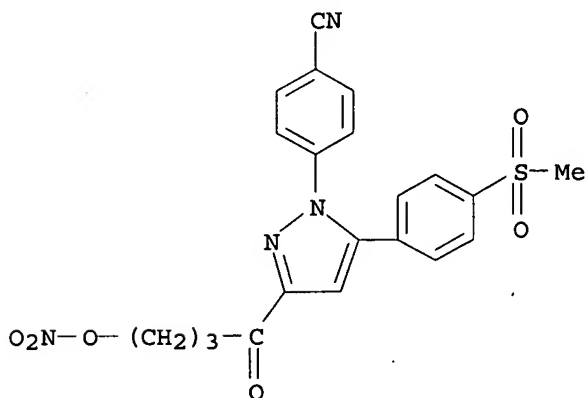
RN 640728-01-4 CAPLUS

CN Benzonitrile, 4-[3-(4-hydroxy-1-oxobutyl)-5-[4-(methanesulfonyl)phenyl]-1H-pyrazol-1-yl] - (9CI) (CA INDEX NAME)



RN 640728-02-5 CAPLUS

CN Benzonitrile, 4-[5-[4-(methanesulfonyl)phenyl]-3-[4-(nitrooxy)-1-oxobutyl]-1H-pyrazol-1-yl] - (9CI) (CA INDEX NAME)

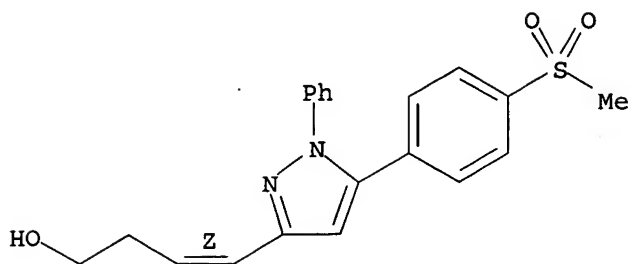


RN 641639-12-5 CAPLUS

CN 3-Buten-1-ol, 4-[5-[4-(methanesulfonyl)phenyl]-1-phenyl-1H-pyrazol-3-yl] -, (3Z) - (9CI) (CA INDEX NAME)

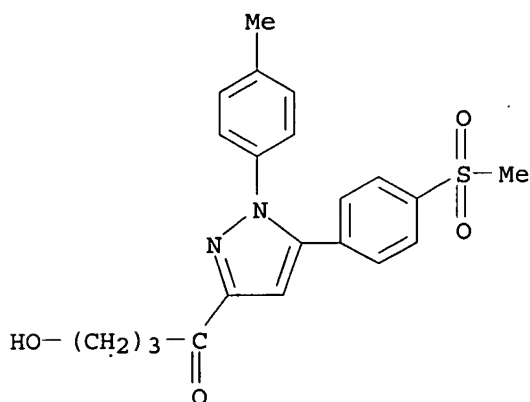
10/764,529

Double bond geometry as shown.



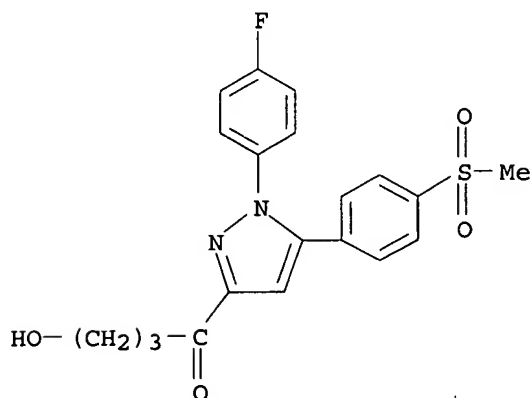
RN 641639-17-0 CAPLUS

CN 1-Butanone, 4-hydroxy-1-[1-(4-methylphenyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



RN 641639-22-7 CAPLUS

CN 1-Butanone, 1-[1-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-3-yl]-4-hydroxy- (9CI) (CA INDEX NAME)

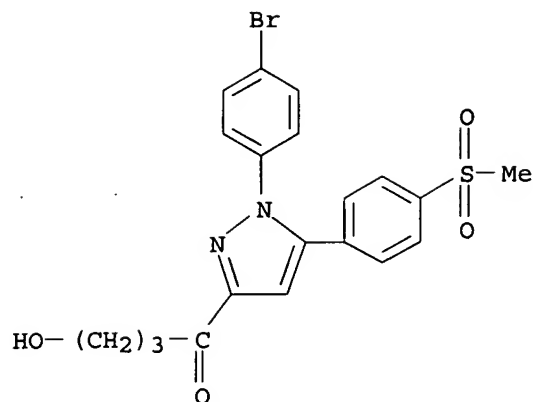


RN 641639-27-2 CAPLUS

CN 1-Butanone, 1-[1-(4-bromophenyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-3-yl]-4-hydroxy- (9CI) (CA INDEX NAME)

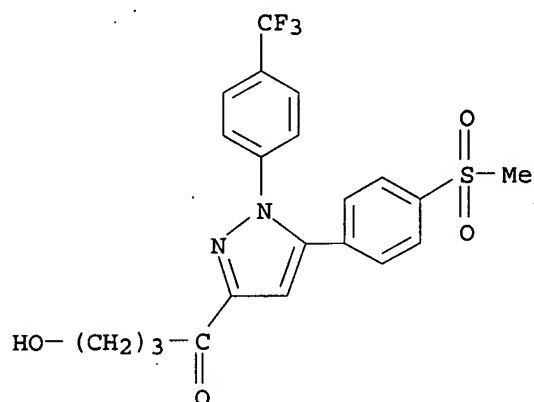


10/764,529



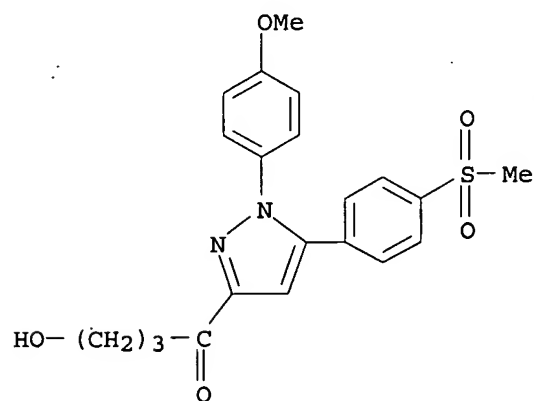
RN 641639-58-9 CAPLUS

CN 1-Butanone, 4-hydroxy-1-[5-[4-(methanesulfonyl)phenyl]-1-[4-(trifluoromethyl)phenyl]-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



RN 641639-63-6 CAPLUS

CN 1-Butanone, 4-hydroxy-1-[1-(4-methoxyphenyl)-5-[4-(methanesulfonyl)phenyl]-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)

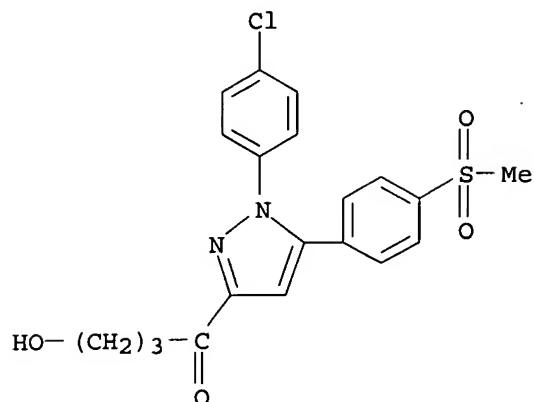


RN 641640-13-3 CAPLUS

CN 1-Butanone, 1-[1-(4-chlorophenyl)-5-[4-(methanesulfonyl)phenyl]-1H-pyrazol-

10/764,529

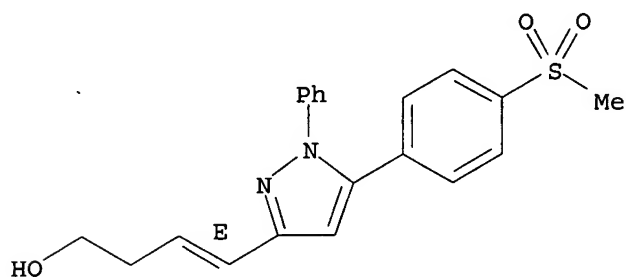
3-yl]-4-hydroxy- (9CI) (CA INDEX NAME)



RN 693288-12-9 CAPLUS

CN 3-Buten-1-ol, 4-[5-[4-(methanesulfonyl)phenyl]-1-phenyl-1H-pyrazol-3-yl]-, (3E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



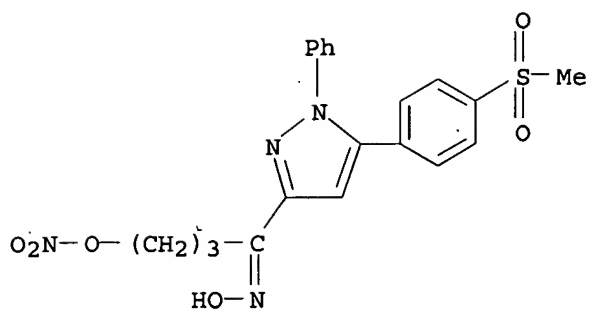
IT 640727-83-9P 640727-97-5P 641639-07-8P  
641639-08-9P 641639-13-6P 641639-18-1P  
641639-23-8P 641639-54-5P 641639-59-0P  
641640-09-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(preparation and selective cyclooxygenase-2 inhibitory activity of nitric oxide donor-containing pyrazoles)

RN 640727-83-9 CAPLUS

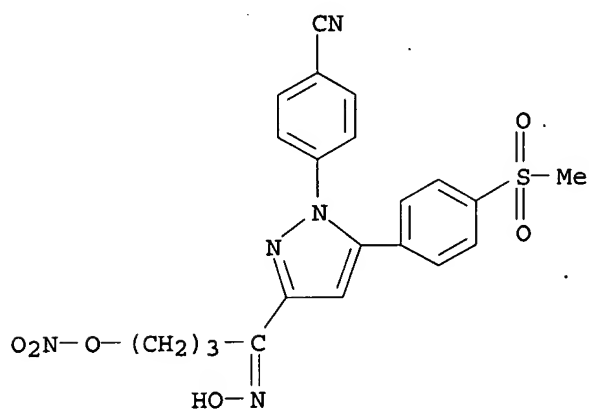
CN 1-Butanone, 1-[5-[4-(methanesulfonyl)phenyl]-1-phenyl-1H-pyrazol-3-yl]-4-(nitroso)-, oxime (9CI) (CA INDEX NAME)

10/764,529



RN 640727-97-5 CAPLUS

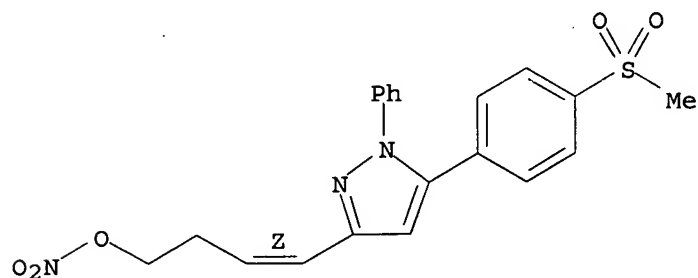
CN Benzonitrile, 4-[3-[1-(hydroxyimino)-4-(nitrooxy)butyl]-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



RN 641639-07-8 CAPLUS

CN 3-Buten-1-ol, 4-[5-[4-(methylsulfonyl)phenyl]-1-phenyl-1H-pyrazol-3-yl]-, nitrate (ester), (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

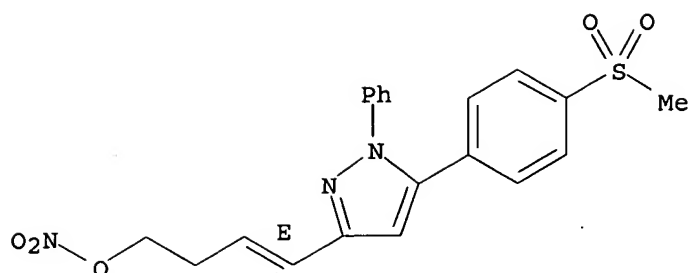


RN 641639-08-9 CAPLUS

CN 3-Buten-1-ol, 4-[5-[4-(methylsulfonyl)phenyl]-1-phenyl-1H-pyrazol-3-yl]-, nitrate (ester), (3E)- (9CI) (CA INDEX NAME)

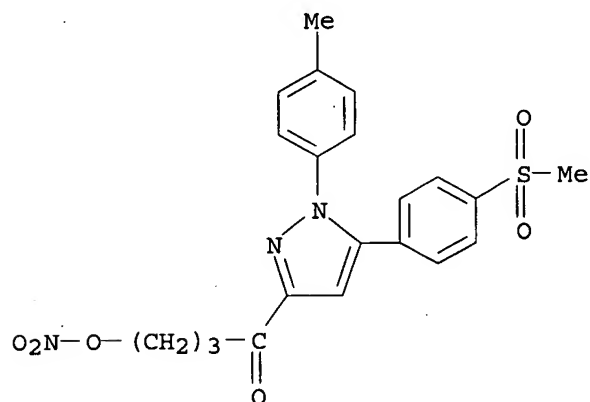
Double bond geometry as shown.

10/764,529



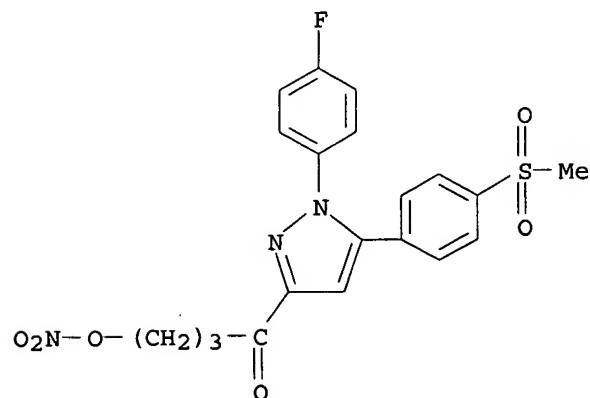
RN 641639-13-6 CAPLUS

CN 1-Butanone, 1-[1-(4-methylphenyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-3-yl]-4-(nitrooxy)-(9CI) (CA INDEX NAME)



RN 641639-18-1 CAPLUS

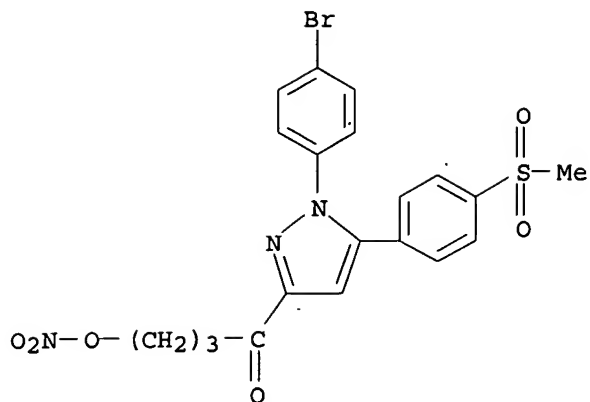
CN 1-Butanone, 1-[1-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-3-yl]-4-(nitrooxy)-(9CI) (CA INDEX NAME)



RN 641639-23-8 CAPLUS

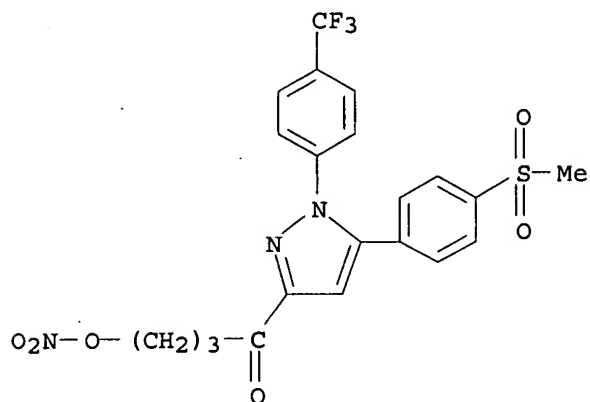
CN 1-Butanone, 1-[1-(4-bromophenyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-3-yl]-4-(nitrooxy)-(9CI) (CA INDEX NAME)

10/764,529



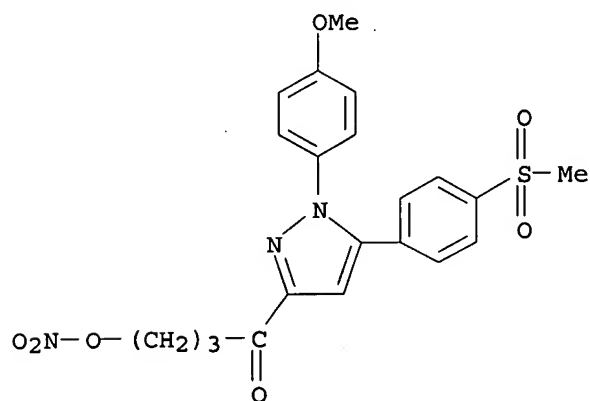
RN 641639-54-5 CAPLUS

CN 1-Butanone, 1-[5-[4-(methanesulfonyl)phenyl]-1-[4-(trifluoromethyl)phenyl]-1H-pyrazol-3-yl]-4-(nitrooxy)- (9CI) (CA INDEX NAME)



RN 641639-59-0 CAPLUS

CN 1-Butanone, 1-[1-(4-methoxyphenyl)-5-[4-(methanesulfonyl)phenyl]-1H-pyrazol-3-yl]-4-(nitrooxy)- (9CI) (CA INDEX NAME)

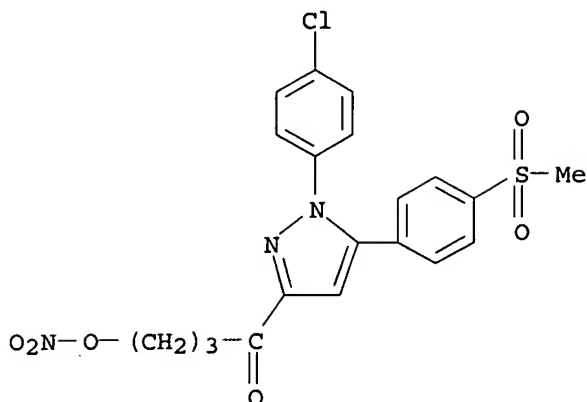


RN 641640-09-7 CAPLUS

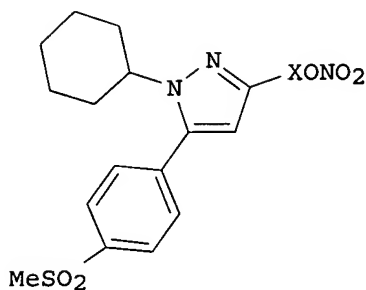
CN 1-Butanone, 1-[1-(4-chlorophenyl)-5-[4-(methanesulfonyl)phenyl]-1H-pyrazol-

10/764,529

3-yl]-4-(nitrooxy)-(9CI) (CA INDEX NAME)



GI



I

AB The synthesis of a series of novel pyrazoles containing a nitrate ( $\text{ONO}_2$ ) moiety as a nitric oxide ( $\text{NO}$ )-donor functionality is reported. Their COX-1 and COX-2 inhibitory activities in human whole blood are profiled. The data demonstrate that pyrazole ring substituents play an important role in COX-2 selective inhibition, such that a cycloalkylpyrazole (I,  $\text{X} = \text{CH}_2$ ) was found to be a potent and selective COX-2 inhibitor. Other modifications at the 3 position of the central pyrazole ring [I,  $\text{X} = (\text{CH}_2)_3$ ,  $\text{C}(:\text{NOH})(\text{CH}_2)_3$ , (Z)- $\text{CH}:\text{CHCH}_2\text{CH}_2$ ] enhanced COX-2 inhibitory potency. Among the pyrazoles synthesized, the oxime [I,  $\text{X} = \text{C}(:\text{NOH})(\text{CH}_2)_3$ ] was identified as the most potent COX-2 selective inhibitor. Accordingly, this compound was profiled pharmacol. in the rat after oral administration and shown to possess potent antiinflammatory activity in the carrageenan-induced air-pouch model and less gastric toxicity than a standard COX-2 inhibitor when administered with background aspirin treatment. The enhanced gastric tolerance of an NO-donor COX-2 selective inhibitor has the potential to augment the clin. profile of this drug class.

REFERENCE COUNT: 52 THERE ARE 52 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 62 CAPLUS COPYRIGHT 2005 ACS on STN  
ACCESSION NUMBER: 2004:182531 CAPLUS  
DOCUMENT NUMBER: 140:229475  
TITLE: Combinations of  $\omega$ -3 fatty acids and

cyclooxygenase-2 inhibitors for the treatment or prevention of cardiovascular disease, inflammation-related conditions, and cancer  
 INVENTOR(S): Obukowicz, Mark G.  
 PATENT ASSIGNEE(S): USA  
 SOURCE: U.S. Pat. Appl. Publ., 49 pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004044028	A1	20040304	US 2002-113269	20020401
PRIORITY APPLN. INFO.:			US 2001-280183P	P 20010330

OTHER SOURCE(S): MARPAT 140:229475

IT 165251-89-8

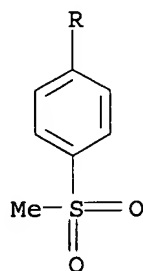
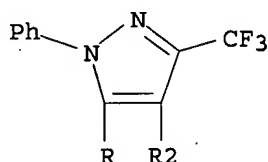
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

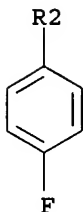
(combinations of  $\omega$ -3 fatty acids and cyclooxygenase-2 inhibitors for treatment or prevention of cardiovascular disease, inflammation-related conditions, and cancer)

RN 165251-89-8 CAPLUS

CN 1H-Pyrazole, 4-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-1-phenyl-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

PAGE 1-A





AB The invention discloses combinations of  $\omega$ -3 polyunsatd. fatty acids (PUFAs) and cyclooxygenase-2 selective inhibitors for treatment or prevention of cardiovascular disease, inflammation-related disorders or cancer. The preferred  $\omega$ -3 PUFAs of the invention have 18-22 carbon atoms, and more preferably 20-22 carbon atoms.

L4 ANSWER 9 OF 62 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:142952 CAPLUS

DOCUMENT NUMBER: 140:175165

TITLE: Amyloid immunization and COX-2 inhibitors for the treatment of Alzheimer's disease

INVENTOR(S): Robertson, David W.

PATENT ASSIGNEE(S): Pharmacia Corporation, USA

SOURCE: PCT Int. Appl., 165 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

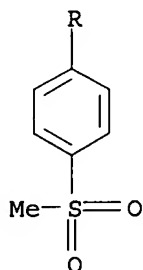
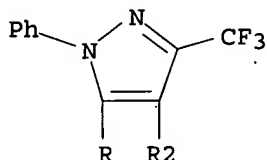
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004014367	A2	20040219	WO 2003-US24263	20030804
WO 2004014367	A3	20040325		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 2004138296	A1	20040715	US 2003-627357	20030725
CA 2494108	AA	20040219	CA 2003-2494108	20030804
EP 1539142	A2	20050615	EP 2003-784887	20030804
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
BR 2003013413	A	20050712	BR 2003-13413	20030804
PRIORITY APPLN. INFO.:			US 2002-402655P	P 20020812
			US 2002-402674P	P 20020812
			US 2002-402675P	P 20020812
			US 2002-402676P	P 20020812
			US 2002-402760P	P 20020812
			US 2002-402773P	P 20020812
			US 2002-402778P	P 20020812
			WO 2003-US24263	W 20030804



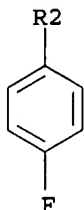
10/764,529

OTHER SOURCE(S): MARPAT 140:175165  
IT 165251-89-8  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
(Biological study); USES (Uses)  
(amyloid immunization and COX-2 inhibitors for treatment of Alzheimer's  
disease)  
RN 165251-89-8 CAPLUS  
CN 1H-Pyrazole, 4-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-1-phenyl-3-  
(trifluoromethyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



AB The invention provides compns. and methods for the treatment or prevention of Alzheimer's disease. More particularly, the invention provides a combination therapy for the treatment or prevention of Alzheimer's disease, wherein the therapy comprises administering to a subject an amyloid- $\beta$  vaccine in combination with a cyclooxygenase-2 selective inhibitor.

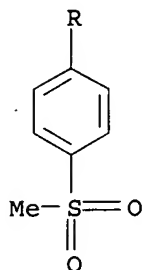
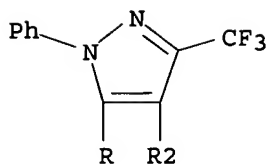
L4 ANSWER 10 OF 62 CAPLUS COPYRIGHT 2005 ACS on STN  
ACCESSION NUMBER: 2004:41336 CAPLUS  
DOCUMENT NUMBER: 140:105282  
TITLE: Cyclooxygenase-2 inhibitors and thrombolytic agents  
for the treatment or prevention of a vaso-occlusive  
event  
INVENTOR(S): Isakson, Peter C.

10/764,529

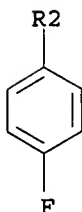
PATENT ASSIGNEE(S): Pharmacia Corporation, USA  
SOURCE: PCT Int. Appl., 202 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004004833	A1	20040115	WO 2003-US20558	20030630
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2491479	AA	20040115	CA 2003-2491479	20030630
US 2004063697	A1	20040401	US 2003-610085	20030630
BR 2003012402	A	20050426	BR 2003-12402	20030630
EP 1536863	A1	20050608	EP 2003-763058	20030630
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
PRIORITY APPLN. INFO.:			US 2002-393136P	P 20020702
			US 2002-393172P	P 20020702
			US 2002-393199P	P 20020702
			US 2002-393258P	P 20020702
			US 2002-393269P	P 20020702
			US 2002-393296P	P 20020702
			US 2002-393297P	P 20020702
			WO 2003-US20558	W 20030630
IT 165251-89-8				
RL:	PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (cyclooxygenase-2 inhibitors and thrombolytic agents for treatment of vaso-occlusive event)			
RN 165251-89-8	CAPLUS			
CN 1H-Pyrazole, 4-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-1-phenyl-3-(trifluoromethyl)- (9CI)	(CA INDEX NAME)			

PAGE 1-A



PAGE 2-A



AB The present invention provides compns. and methods for the treatment or prevention of a vaso-occlusive event. More particularly, the invention provides a combination therapy for the treatment or prevention of a vaso-occlusive event comprising the administration to a subject of a thrombolytic agent in combination with a cyclooxygenase-2 selective inhibitor. Thrombosis was induced in mice, and the above combination therapy was administered to the mice to treat a vaso-occlusive event.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 62 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:20441 CAPLUS

DOCUMENT NUMBER: 140:77147

TITLE: Preparation of optionally nitrosated and/or nitrosylated oxime and/or hydrazone cyclooxygenase-2 selective inhibitors, compositions and methods of use

INVENTOR(S): Garvey, David S.; Ranatunge, Ramani R.; Richardson, Stewart K.

PATENT ASSIGNEE(S): Nitromed, Inc., USA

SOURCE: PCT Int. Appl., 166 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004002420	A2	20040108	WO 2003-US20421	20030630
WO 2004002420	A3	20040701		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2492066	AA	20040108	CA 2003-2492066	20030630
EP 1539679	A2	20050615	EP 2003-742299	20030630
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
PRIORITY APPLN. INFO.:			US 2002-392044P	P 20020628
			WO 2003-US20421	W 20030630

OTHER SOURCE(S): MARPAT 140:77147

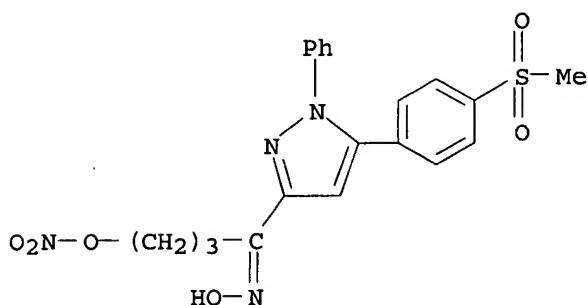
IT 640727-83-9P, 1-[3-[1-(Hydroxyimino)-4-(nitrooxy)butyl]-1-phenylpyrazol-5-yl]-4-(methylsulfonyl)benzene 640727-97-5P, 4-[3-[1-(Hydroxyimino)-4-(nitrooxy)butyl]-5-[4-(methylsulfonyl)phenyl]pyrazol-1-yl]benzenecarbonitrile

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of optionally nitrosated and/or nitrosylated oxime and/or hydrazone cyclooxygenase-2 selective inhibitors, compns. and methods of use)

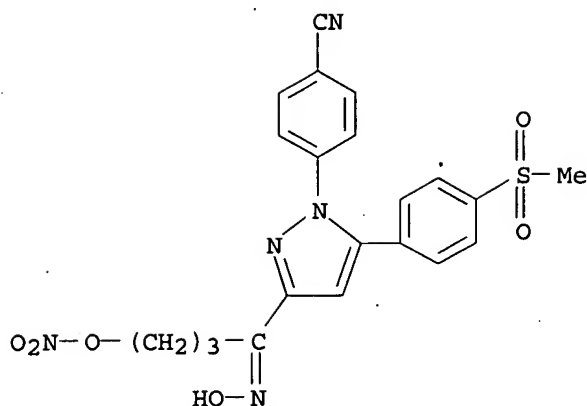
RN 640727-83-9 CAPLUS

CN 1-Butanone, 1-[5-[4-(methylsulfonyl)phenyl]-1-phenyl-1H-pyrazol-3-yl]-4-(nitrooxy)-, oxime (9CI) (CA INDEX NAME)



RN 640727-97-5 CAPLUS

CN Benzonitrile, 4-[3-[1-(hydroxyimino)-4-(nitrooxy)butyl]-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



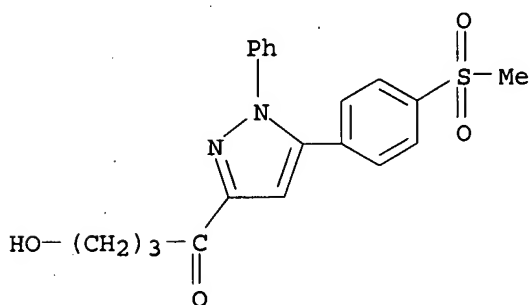
IT 640727-87-3P, 4-Hydroxy-1-[5-[4-(methylsulfonyl)phenyl]-1-phenylpyrazol-3-yl]butan-1-one 640727-88-4P, 1-[5-[4-(Methylsulfonyl)phenyl]-1-phenylpyrazol-3-yl]-4-(nitrooxy)butan-1-one 640728-01-4P, 4-[3-(4-Hydroxybutanoyl)-5-[4-(methylsulfonyl)phenyl]pyrazolyl]benzenecarbonitrile 640728-02-5P, 4-[5-[4-(Methylsulfonyl)phenyl]-3-[4-(nitrooxy)butanoyl]pyrazolyl]benzenecarbonitrile

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of optionally nitrosated and/or nitrosylated oxime and/or hydrazine cyclooxygenase-2 selective inhibitors, compns. and methods of use)

RN 640727-87-3 CAPLUS

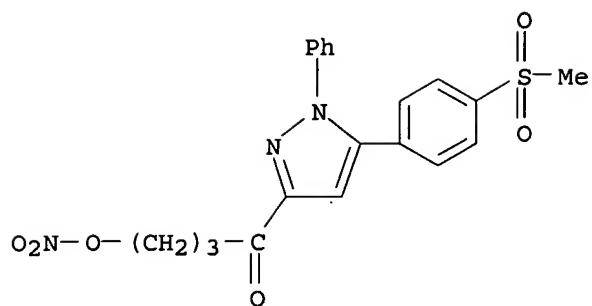
CN 1-Butanone, 4-hydroxy-1-[5-[4-(methylsulfonyl)phenyl]-1-phenyl-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



RN 640727-88-4 CAPLUS

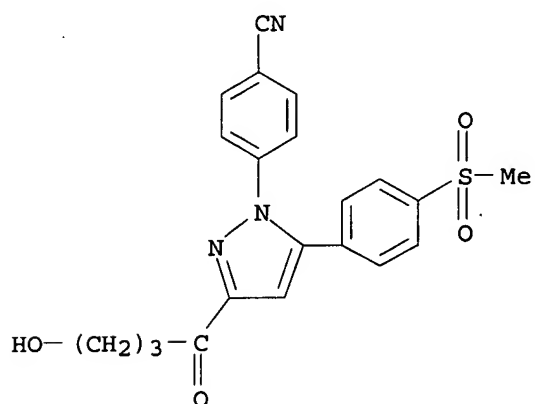
CN 1-Butanone, 1-[5-[4-(methylsulfonyl)phenyl]-1-phenyl-1H-pyrazol-3-yl]-4-(nitrooxy)- (9CI) (CA INDEX NAME)

10/764,529



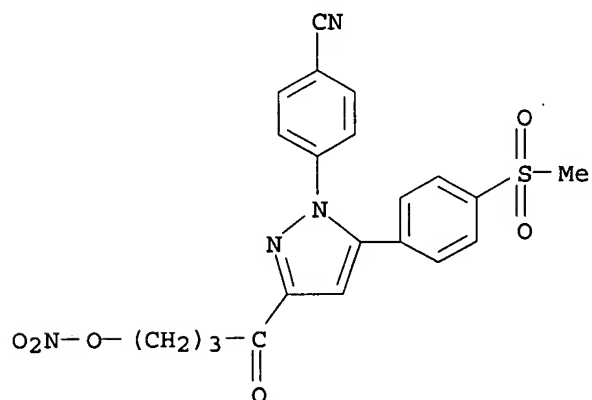
RN 640728-01-4 CAPLUS

CN Benzonitrile, 4-[3-(4-hydroxy-1-oxobutyl)-5-[4-(methanesulfonyl)phenyl]-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

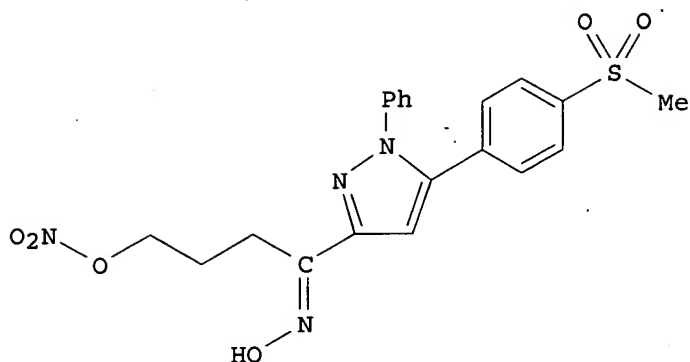
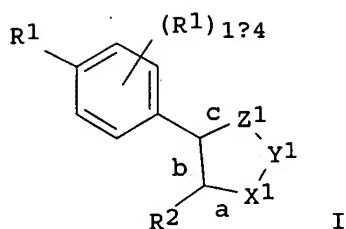


RN 640728-02-5 CAPLUS

CN Benzonitrile, 4-[5-[4-(methanesulfonyl)phenyl]-3-[4-(nitrooxy)-1-oxobutyl]-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



GI



AB The invention describes novel cyclooxygenase 2 (COX-2) selective inhibitors having at least one oxime group or hydrazone group optionally nitrosated and/or nitrosylated (one class shown as I; variables defined below; e.g. II; 15 other classes of compds. are also described in the 1st claim) and novel compns. and kits comprising at least one I and optionally, at least one compound that donates, transfers or releases nitric oxide, stimulates endogenous synthesis of nitric oxide, elevates endogenous levels of endothelium-derived relaxing factor or is a substrate for nitric oxide synthase, and/or at least one therapeutic agent. The invention also provides methods for treating inflammation, pain and fever; for treating and/or improving the gastrointestinal properties of COX-2 selective inhibitors; for facilitating wound healing; for treating and/or preventing renal and/or respiratory toxicity; for treating and/or preventing other disorders resulting from elevated levels of cyclooxygenase-2; and for improving the cardiovascular profile of COX-2 selective inhibitors. Six examples of I were tested for inhibition of COX-1 and COX-2; e.g. 1-[1-cyclohexyl-3-[1-(hydroxyimino)-4-(nitrooxy)butyl]pyrazol-4-yl]-4-(methylsulfonyl)benzene inhibited COX-1 10 % at 100  $\mu$ M and COX-2 100 % at 10  $\mu$ M. Although the methods of preparation are not claimed, 6 example preps. are included. For example, II was prepared in 7 steps (79, 68, 84, 79, 51, 84 and 48 % yields, resp.) starting from di-Me oxalate, NaOMe and 4'-(methylthio)acetophenone in toluene and involving intermediates Me (2Z)-2-hydroxy-4-(4-methylthiophenyl)-4-oxobut-2-enoate, Me 5-(4-methylthiophenyl)-1-phenylpyrazole-3-carboxylate, N-methoxy-N-methyl-5-(4-methylthiophenyl)-1-phenylpyrazole-3-carboxamide, 1-[5-(4-methylthiophenyl)-1-phenylpyrazol-3-yl]-4-(1,1,2,2-tetramethyl-1-silapropoxy)butan-1-one, 4-hydroxy-1-[5-[4-(methylsulfonyl)phenyl]-1-phenylpyrazol-3-yl]butan-1-one, and 1-[5-[4-(methylsulfonyl)phenyl]-1-phenylpyrazol-3-yl]-4-(nitrooxy)butan-1-one. For I: when side b is a double bond, and sides a and c are single bonds, -X1-Y1-Z1- is: -CR4(R5)CR5(R5')CR4(R5)-, -C(O)CR4(R4')CR5(R5')-, -CR4(R4')CR5(R5')C(O)-, -[CR5(R5')]kOC(O)-, etc.; when sides a and c are double bonds and side b is a single bond, -X1-Y1-Z1- is: :CR4OCR5:

:CR4NR3CR5:, :NSCR4:, :CR4SN:, etc. R1 is S(O)2Me, S(O)2NR8(D1), S(O)2N(D1)C(O)CF3, S(O)(NH)NH(D1), S(O)(NH)N(D1)C(O)CF3, P(O)MeNH(D1), P(O)Me2, C(S)NH(D1), S(O)(NH)Me, P(O)MeOD1, or P(O)MeNH(D1); R1' is H, halo, Me, or CH2OH. R2 is lower alkyl, cycloalkyl, mono, di- or trisubstituted Ph or naphthyl, mono, di- or trisubstituted heteroaryl (wherein the heteroaryl is a monocyclic aromatic ring of 5 atoms, said ring having one heteroatom which is S, O, or N, and, optionally, 1-3 addnl. N atoms; or the heteroaryl is a monocyclic ring of 6 atoms, said ring having one heteroatom which is N, and, optionally, 1-4 addnl. N atoms), benzoheteroaryl, NR10R11, SR11, OR11, R11, alkenyl, alkynyl, unsubstituted, mono, di, tri- or tetrasubstituted cycloalkenyl, mono, di, tri- or tetrasubstituted heterocycloalkyl group of 5-7 members, or a benzoheterocycle, wherein said heterocycloalkyl or benzoheterocycle contains 1 or 2 heteroatoms selected from O, S, or N and, optionally, contains a carbonyl group or a sulfonyl group, styryl, mono or disubstituted styryl, phenylacetylene, mono- or disubstituted phenylacetylene, fluoroalkenyl, mono- or disubstituted bicyclic heteroaryl of 8-10 members, containing 2-5 heteroatoms (wherein at least one heteroatom resides on each ring of said bicyclic heteroaryl, said heteroatoms are each independently O, S and N), K, aryl, arylalkyl, cycloalkylalkyl, -C(O)R11, hydrogen, arylalkenyl, arylalkoxy, alkoxy, aryloxy, cycloalkoxy, arylthio, alkylthio, arylalkylthio, or cycloalkylthio. R3 is hydrogen, haloalkyl (preferably CF3), CN, lower alkyl, [C(Re)(Rf)]p-U-V, K, (un)substituted lower alkyl-Q, lower alkyl-O-lower alkyl-Q, etc., Q, alkylcarbonyl, arylcarbonyl, alkylarylcarbonyl, arylalkylcarbonyl, carboxylic ester, carboxamido, cycloalkyl, mono, di- or trisubstituted Ph or naphthyl, alkenyl, alkynyl, arylalkyl, lower alkyl-OD1, alkoxyalkyl, aminoalkyl, lower alkyl-CO2R10, lower alkyl-C(O)NR10(R10'), heterocyclic alkyl, or heterocyclic ring-C(O)-; with the proviso that one oxime or hydrazone group must be present; addnl. details are given in the claims.

L4 ANSWER 12 OF 62 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:20431 CAPLUS

DOCUMENT NUMBER: 140:77146

TITLE: Preparation of trisubstituted pyrazole  
cyclooxygenase-2 selective inhibitors

INVENTOR(S): Bandarage, Upul K.; Earl, Richard A.; Ezawa, Maiko;  
Fang, Xinqin; Garvey, David S.; Khanapure, Subhash P.;  
Ranatunge, Ramani R.; Richardson, Stewart K.;  
Schroeder, Joseph D.; Stevenson, Cheri A.; Wey,  
Shiow-jyi

PATENT ASSIGNEE(S): Nitromed, Inc., USA

SOURCE: PCT Int. Appl., 116 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004002409	A2	20040108	WO 2003-US19850	20030625
WO 2004002409	A3	20040819		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,			



KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,  
 FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,  
 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2489428	AA	20040108	CA 2003-2489428	20030625
US 2004053985	A1	20040318	US 2003-603098	20030625
EP 1534683	A2	20050601	EP 2003-762000	20030625

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

PRIORITY APPLN. INFO.:  
 US 2002-391769P P 20020627  
 US 2003-454307P P 20030314  
 WO 2003-US19850 W 20030625

OTHER SOURCE(S): MARPAT 140:77146

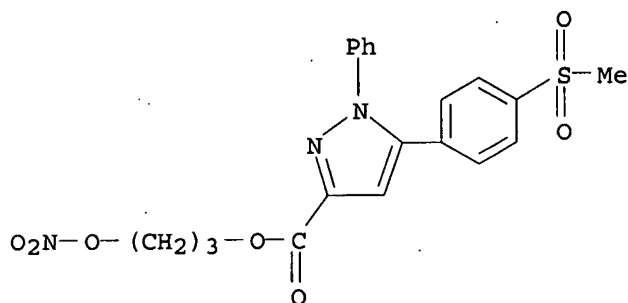
IT 641638-86-0P, 3-(Nitrooxy)propyl 5-[4-(methylsulfonyl)phenyl]-1-phenylpyrazole-3-carboxylate

RL: BYP (Byproduct); PREP (Preparation)

(preparation of trisubstituted pyrazole cyclooxygenase-2 selective inhibitors)

RN 641638-86-0 CAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 5-[4-(methylsulfonyl)phenyl]-1-phenyl-,  
 3-(nitrooxy)propyl ester (9CI) (CA INDEX NAME)



IT 640728-01-4P, 4-[3-(4-Hydroxybutanoyl)-5-[4-(methylsulfonyl)phenyl]pyrazolyl]benzenecarbonitrile 641638-80-4P  
 , 4-[3-[(3-Hydroxypropoxy)methyl]-1-phenylpyrazol-5-yl]-1-(methylsulfonyl)benzene 641638-85-9P, 1-[3-[Difluoro(3-hydroxypropoxy)methyl]-1-phenylpyrazol-5-yl]-4-(methylsulfonyl)benzene 641638-91-7P, 1-[1-(4-Chlorophenyl)-3-[(3-hydroxypropoxy)methyl]pyrazol-5-yl]-4-(methylsulfonyl)benzene 641638-96-2P, 1-[3-[(3-Hydroxypropoxy)methyl]-1-(4-methylphenyl)pyrazol-5-yl]-4-(methylsulfonyl)benzene 641639-01-2P  
 , 1-[3-[(3-Hydroxypropoxy)methyl]-1-[4-(trifluoromethyl)phenyl]pyrazol-5-yl]-4-(methylsulfonyl)benzene 641639-06-7P, 1-[3-[(3-Hydroxypropoxy)methyl]-1-(4-methoxyphenyl)pyrazol-5-yl]-4-(methylsulfonyl)benzene 641639-12-5P, 1-[3-[(1Z)-4-(Hydroxy)but-1-enyl]-1-phenylpyrazol-5-yl]-4-(methylsulfonyl)benzene 641639-17-0P, 4-Hydroxy-1-[1-(4-methylphenyl)-5-[4-(methylsulfonyl)phenyl]pyrazol-3-yl]butanone 641639-22-7P,  
 1-[1-(4-Fluorophenyl)-5-[4-(methylsulfonyl)phenyl]pyrazol-3-yl]-4-hydroxybutanone 641639-27-2P, 1-[1-(4-Bromophenyl)-5-[4-(methylsulfonyl)phenyl]pyrazol-3-yl]-4-hydroxybutanone 641639-43-2P, 1-[1-(4-Fluorophenyl)-3-[(3-hydroxypropoxy)methyl]pyrazol-5-yl]-4-(methylsulfonyl)benzene 641639-46-5P, 1-[3-[(3-Hydroxybutoxy)methyl]-1-phenylpyrazol-5-yl]-4-(methylsulfonyl)benzene 641639-58-9P, 4-Hydroxy-1-[5-[4-(methylsulfonyl)phenyl]-1-[4-(trifluoromethyl)phenyl]pyrazol-3-yl]butanone 641639-63-6P, 4-Hydroxy-1-[1-(4-methoxyphenyl)-5-[4-

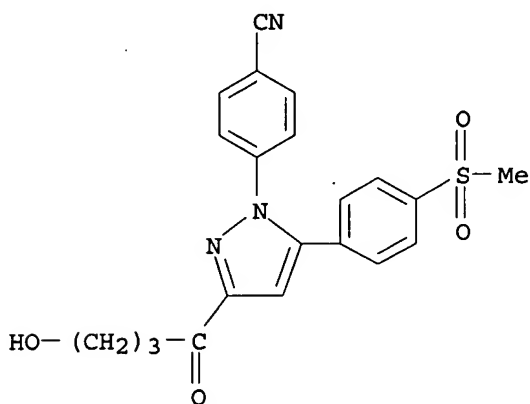
10/764,529

(methylsulfonyl)phenyl]pyrazol-3-yl]butanone 641640-13-3P,  
1-[1-(4-Chlorophenyl)-5-[4-(methylsulfonyl)phenyl]pyrazol-3-yl]-4-  
hydroxybutanone

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic  
preparation); THU (Therapeutic use); BIOL (Biological study); PREP  
(Preparation); RACT (Reactant or reagent); USES (Uses)  
(preparation of trisubstituted pyrazole cyclooxygenase-2 selective  
inhibitors)

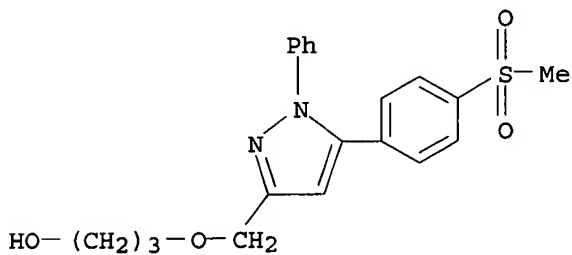
RN 640728-01-4 CAPLUS

CN Benzonitrile, 4-[3-(4-hydroxy-1-oxobutyl)-5-[4-(methylsulfonyl)phenyl]-1H-  
pyrazol-1-yl]- (9CI) (CA INDEX NAME)



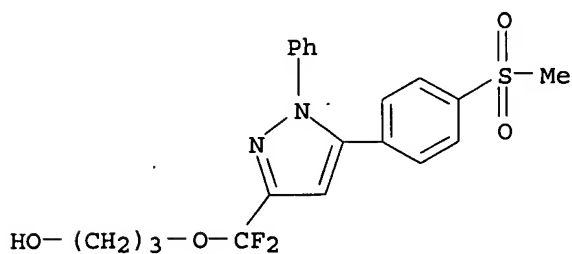
RN 641638-80-4 CAPLUS

CN 1-Propanol, 3-[[5-[4-(methylsulfonyl)phenyl]-1-phenyl-1H-pyrazol-3-  
yl]methoxy]- (9CI) (CA INDEX NAME)



RN 641638-85-9 CAPLUS

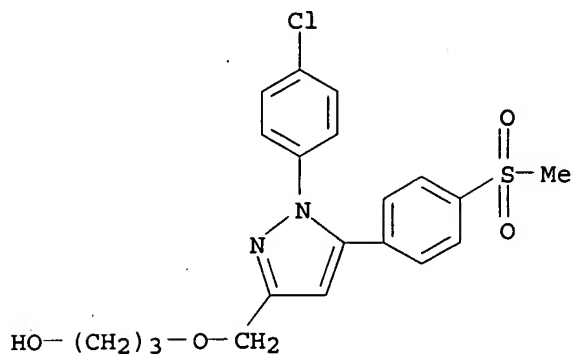
CN 1-Propanol, 3-[difluoro[5-[4-(methylsulfonyl)phenyl]-1-phenyl-1H-pyrazol-3-  
yl]methoxy]- (9CI) (CA INDEX NAME)



10/764,529

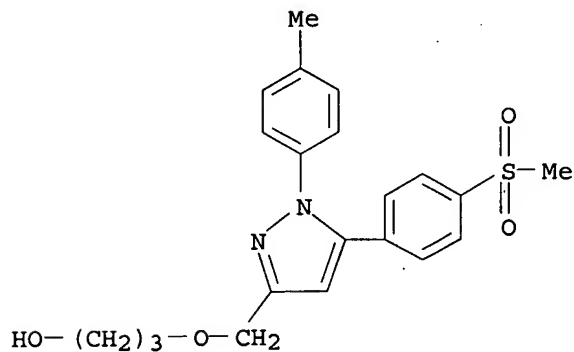
RN 641638-91-7 CAPLUS

CN 1-Propanol, 3-[[1-(4-chlorophenyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-3-yl]methoxy] - (9CI) (CA INDEX NAME)



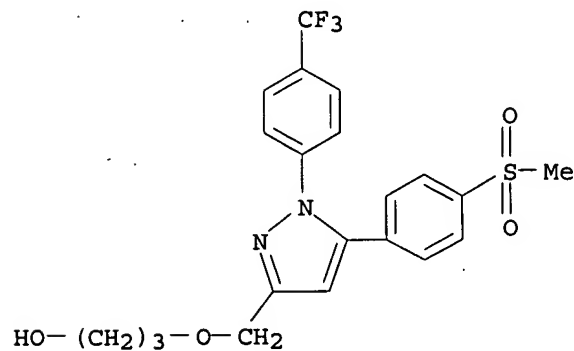
RN 641638-96-2 CAPLUS

CN 1-Propanol, 3-[[1-(4-methylphenyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-3-yl]methoxy] - (9CI) (CA INDEX NAME)



RN 641639-01-2 CAPLUS

CN 1-Propanol, 3-[[5-[4-(methylsulfonyl)phenyl]-1-[4-(trifluoromethyl)phenyl]-1H-pyrazol-3-yl]methoxy] - (9CI) (CA INDEX NAME)

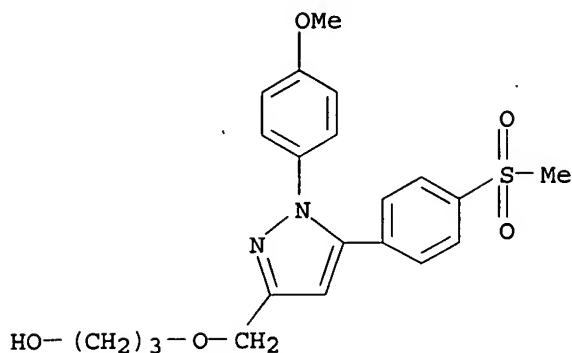


RN 641639-06-7 CAPLUS

CN 1-Propanol, 3-[[1-(4-methoxyphenyl)-5-[4-(methylsulfonyl)phenyl]-1H-

10/764,529

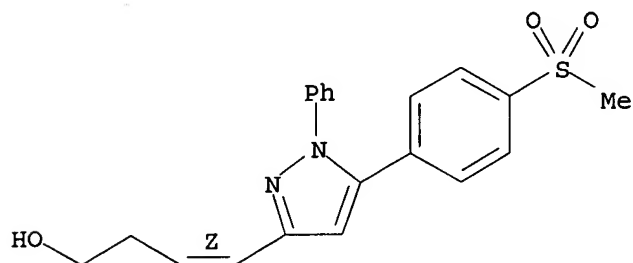
pyrazol-3-yl]methoxy]- (9CI) (CA INDEX NAME)



RN 641639-12-5 CAPLUS

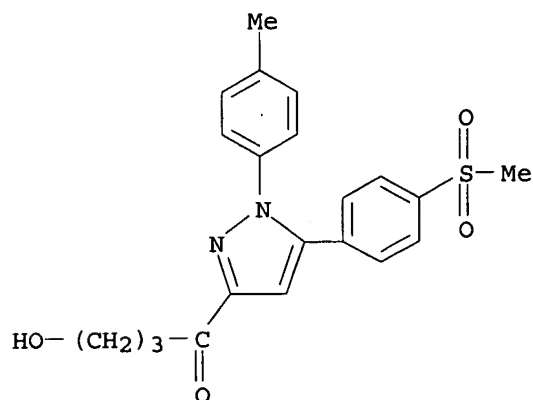
CN 3-Buten-1-ol, 4-[5-[4-(methylsulfonyl)phenyl]-1-phenyl-1H-pyrazol-3-yl]-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 641639-17-0 CAPLUS

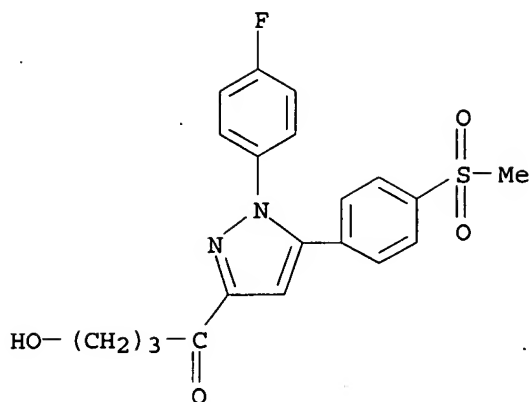
CN 1-Butanone, 4-hydroxy-1-[1-(4-methylphenyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



RN 641639-22-7 CAPLUS

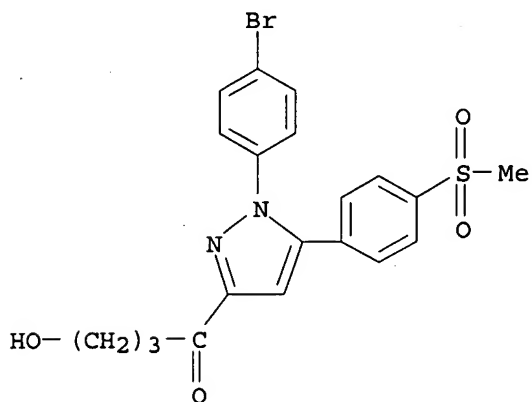
CN 1-Butanone, 1-[1-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-3-yl]-4-hydroxy- (9CI) (CA INDEX NAME)

10/764,529



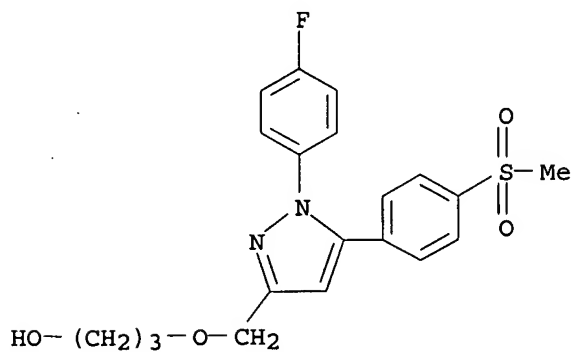
RN 641639-27-2 CAPLUS

CN 1-Butanone, 1-[1-(4-bromophenyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-3-yl]-4-hydroxy- (9CI) (CA INDEX NAME)



RN 641639-43-2 CAPLUS

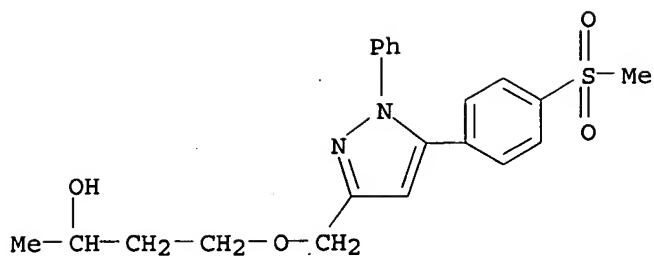
CN 1-Propanol, 3-[[1-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-3-yl]methoxy]- (9CI) (CA INDEX NAME)



RN 641639-46-5 CAPLUS

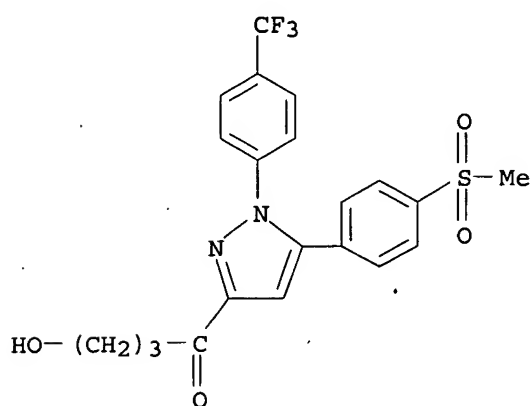
CN 2-Butanol, 4-[[5-[4-(methylsulfonyl)phenyl]-1-phenyl-1H-pyrazol-3-yl]methoxy]- (9CI) (CA INDEX NAME)

10/764,529



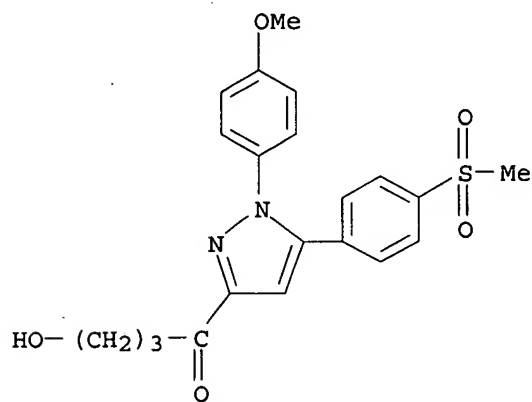
RN 641639-58-9 CAPLUS

CN 1-Butanone, 4-hydroxy-1-[5-[4-(methanesulfonyl)phenyl]-1-phenyl]-1H-pyrazol-3-yl- (9CI) (CA INDEX NAME)



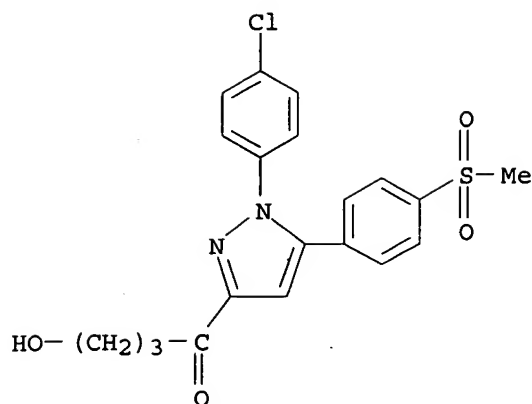
RN 641639-63-6 CAPLUS

CN 1-Butanone, 4-hydroxy-1-[1-(4-methoxyphenyl)-5-[4-(methanesulfonyl)phenyl]-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



RN 641640-13-3 CAPLUS

CN 1-Butanone, 1-[1-(4-chlorophenyl)-5-[4-(methanesulfonyl)phenyl]-1H-pyrazol-3-yl]-4-hydroxy- (9CI) (CA INDEX NAME)



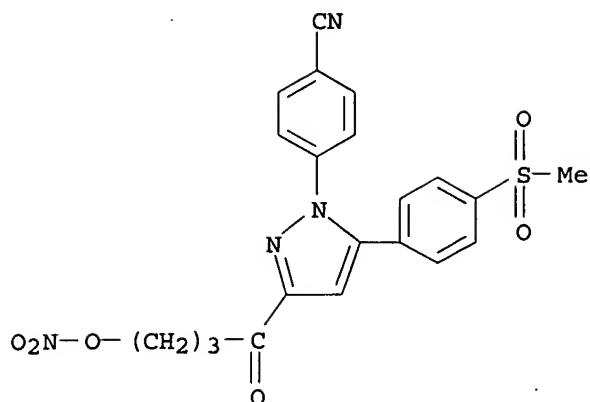
IT 640728-02-5P, 4-[5-[4-(Methylsulfonyl)phenyl]-3-[4-(nitrooxy)butanoyl]pyrazolyl] benzenecarbonitrile 641638-76-8P  
 641638-81-5P, 1-[3-[Difluoro[3-(nitrooxy)propoxy]methyl]-1-phenylpyrazol-5-yl]-4-(methylsulfonyl)benzene 641638-87-1P,  
 1-[1-(4-Chlorophenyl)-3-[[3-(nitrooxy)propoxy]methyl]pyrazol-5-yl]-4-(methylsulfonyl)benzene 641638-92-8P, 1-[1-(4-Methylphenyl)-3-[[3-(nitrooxy)propoxy]methyl]pyrazol-5-yl]-4-(methylsulfonyl)benzene  
 641638-97-3P, 4-(Methylsulfonyl)-1-[3-[[3-(nitrooxy)propoxy]methyl]-1-[4-(trifluoromethyl)phenyl]pyrazol-5-yl]benzene 641639-02-3P, 1-[1-(4-Methoxy-3-nitrophenyl)-3-[[3-(nitrooxy)propoxy]methyl]pyrazol-5-yl]-4-(methylsulfonyl)benzene  
 641639-07-8P, 1-[3-[(1Z)-4-(Nitrooxy)but-1-enyl]-1-phenylpyrazol-5-yl]-4-(methylsulfonyl)benzene 641639-08-9P, 1-[3-[(1E)-4-(Nitrooxy)but-1-enyl]-1-phenylpyrazol-5-yl]-4-(methylsulfonyl)benzene  
 641639-13-6P, 1-[1-(4-Methylphenyl)-5-[4-(methylsulfonyl)phenyl]pyrazol-3-yl]-4-(nitrooxy)butanone  
 641639-18-1P, 1-[1-(4-Fluorophenyl)-5-[4-(methylsulfonyl)phenyl]pyrazol-3-yl]-4-(nitrooxy)butanone  
 641639-23-8P, 1-[1-(4-Bromophenyl)-5-[4-(methylsulfonyl)phenyl]pyrazol-3-yl]-4-(nitrooxy)butanone  
 641639-39-6P, 1-[1-(4-Fluorophenyl)-3-[[3-(nitrooxy)propoxy]methyl]pyrazol-5-yl]-4-(methylsulfonyl)benzene  
 641639-44-3P, 4-(Methylsulfonyl)-1-[3-[[3-(nitrooxy)butoxy]methyl]-1-phenylpyrazol-5-yl]benzene 641639-54-5P, 1-[5-[4-(Methylsulfonyl)phenyl]-1-[4-(trifluoromethyl)phenyl]pyrazol-3-yl]-4-(nitrooxy)butanone 641639-59-0P, 1-[1-(4-Methoxyphenyl)-5-[4-(methylsulfonyl)phenyl]pyrazol-3-yl]-4-(nitrooxy)butanone  
 641639-99-8P, 4-[1-(4-Methoxyphenyl)-3-[[3-(nitrooxy)propoxy]methyl]pyrazol-5-yl]-1-(methylsulfonyl)benzene  
 641640-00-8P, 4-[1-(4-Methyl-3-nitrophenyl)-3-[[3-(nitrooxy)propoxy]methyl]pyrazol-5-yl]-1-(methylsulfonyl)benzene  
 641640-09-7P, 1-[1-(4-Chlorophenyl)-5-[4-(methylsulfonyl)phenyl]pyrazol-3-yl]-4-(nitrooxy)butanone  
 641640-20-2P, 3-(Nitrooxy)propyl 4-[5-[4-(methylsulfonyl)phenyl]-1-[4-(trifluoromethyl)phenyl]pyrazol-3-yl]butanoate  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of trisubstituted pyrazole cyclooxygenase-2 selective inhibitors)

RN 640728-02-5 CAPLUS

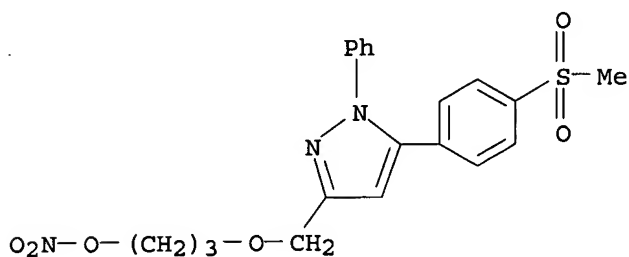
CN Benzonitrile, 4-[5-[4-(methylsulfonyl)phenyl]-3-[4-(nitrooxy)-1-oxobutyl]-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

10/764,529



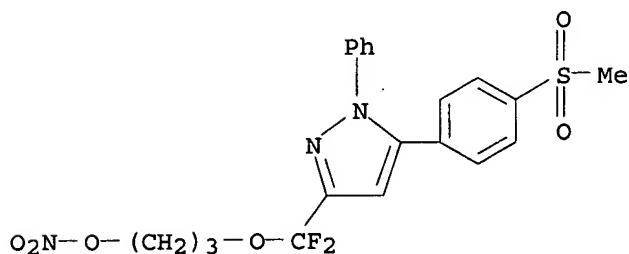
RN 641638-76-8 CAPLUS

CN 1-Propanol, 3-[[5-[4-(methanesulfonyl)phenyl]-1-phenyl-1H-pyrazol-3-yl]methoxy]-, nitrate (ester) (9CI) (CA INDEX NAME)



RN 641638-81-5 CAPLUS

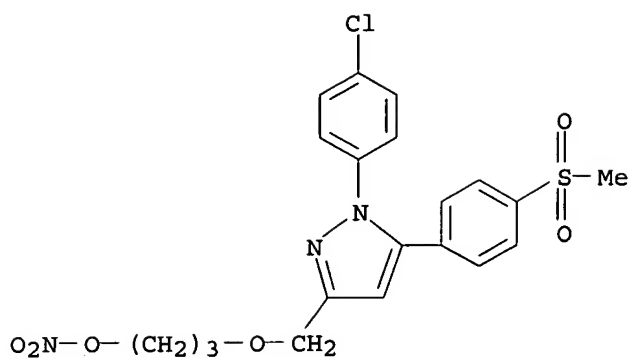
CN 1-Propanol, 3-[difluoro[5-[4-(methanesulfonyl)phenyl]-1-phenyl-1H-pyrazol-3-yl]methoxy]-, nitrate (ester) (9CI) (CA INDEX NAME)



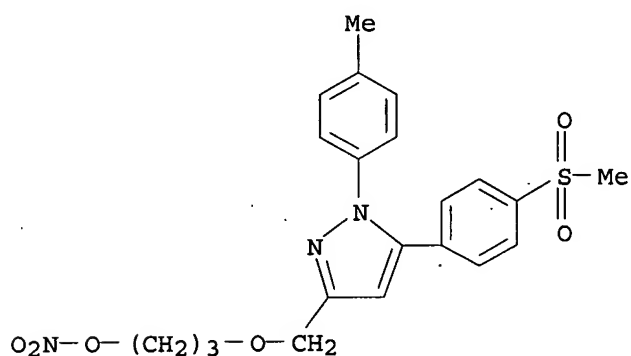
RN 641638-87-1 CAPLUS

CN 1-Propanol, 3-[[1-(4-chlorophenyl)-5-[4-(methanesulfonyl)phenyl]-1H-pyrazol-3-yl]methoxy]-, nitrate (ester) (9CI) (CA INDEX NAME)

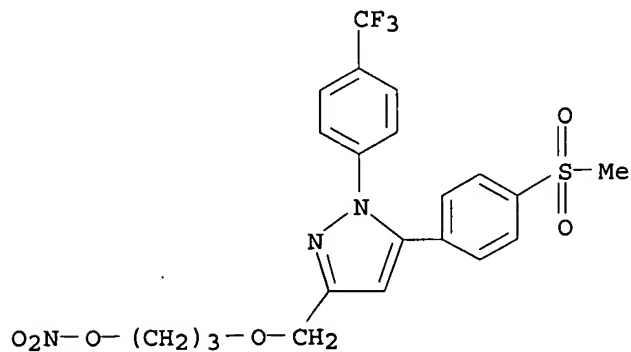




RN 641638-92-8 CAPLUS  
 CN 1-Propanol, 3-[[1-(4-methylphenyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-3-yl]methoxy]-, nitrate (ester) (9CI) (CA INDEX NAME)

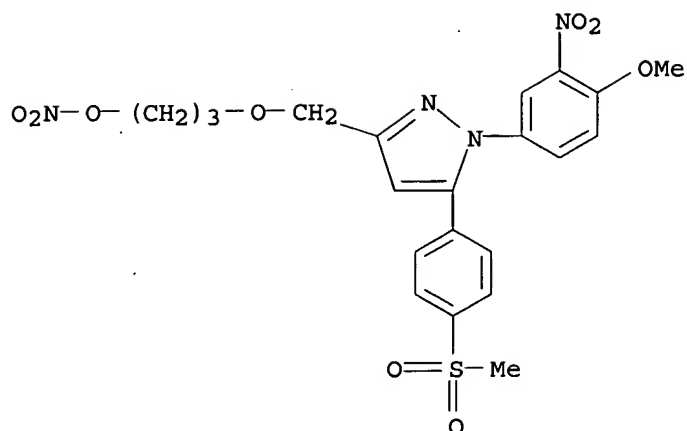


RN 641638-97-3 CAPLUS  
 CN 1-Propanol, 3-[[5-[4-(methylsulfonyl)phenyl]-1-[4-(trifluoromethyl)phenyl]-1H-pyrazol-3-yl]methoxy]-, nitrate (ester) (9CI) (CA INDEX NAME)



RN 641639-02-3 CAPLUS  
 CN 1-Propanol, 3-[[1-(4-methoxy-3-nitrophenyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-3-yl]methoxy]-, nitrate (ester) (9CI) (CA INDEX NAME)

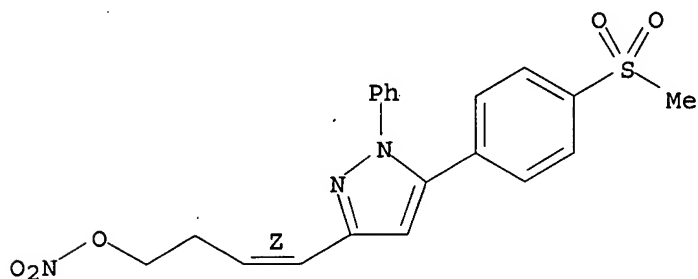
10/764,529



RN 641639-07-8 CAPLUS

CN 3-Buten-1-ol, 4-[5-[4-(methylsulfonyl)phenyl]-1-phenyl-1H-pyrazol-3-yl]-, nitrate (ester), (3Z)- (9CI) (CA INDEX NAME)

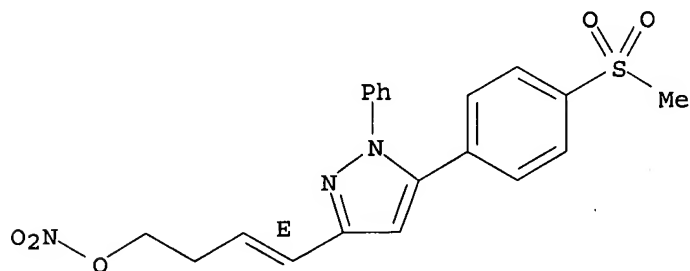
Double bond geometry as shown.



RN 641639-08-9 CAPLUS

CN 3-Buten-1-ol, 4-[5-[4-(methylsulfonyl)phenyl]-1-phenyl-1H-pyrazol-3-yl]-, nitrate (ester), (3E)- (9CI) (CA INDEX NAME)

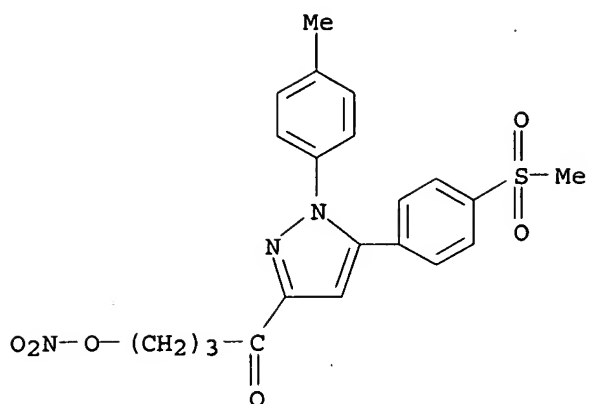
Double bond geometry as shown.



RN 641639-13-6 CAPLUS

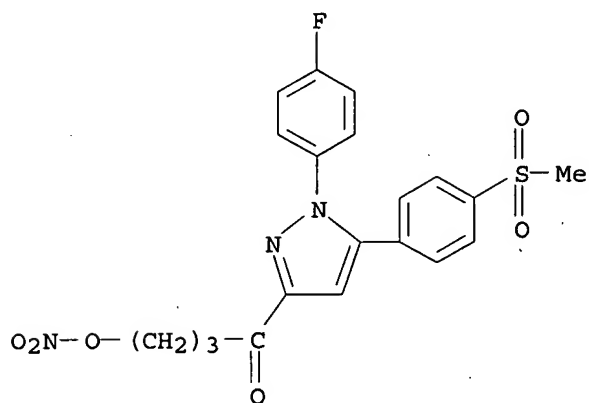
CN 1-Butanone, 1-[1-(4-methylphenyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-3-yl]-4-(nitrooxy)- (9CI) (CA INDEX NAME)

10/764,529



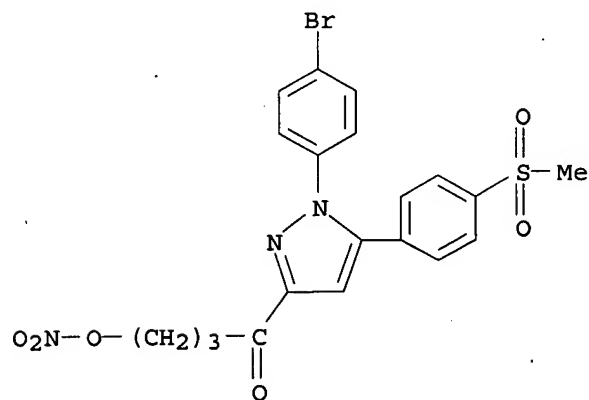
RN 641639-18-1 CAPLUS

CN 1-Butanone, 1-[1-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-3-yl]-4-(nitrooxy)- (9CI) (CA INDEX NAME)



RN 641639-23-8 CAPLUS

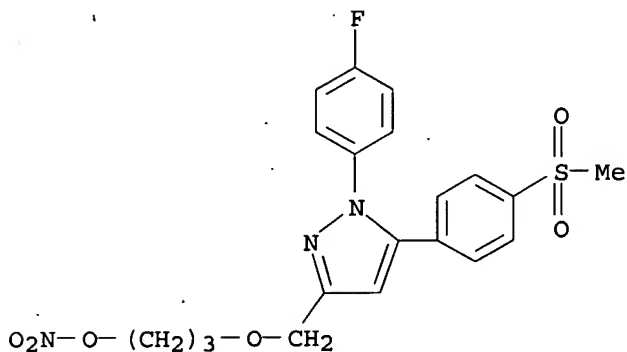
CN 1-Butanone, 1-[1-(4-bromophenyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-3-yl]-4-(nitrooxy)- (9CI) (CA INDEX NAME)



RN 641639-39-6 CAPLUS

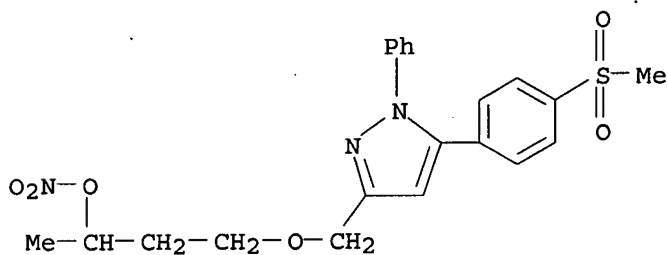
10/764,529

CN 1-Propanol, 3-[[1-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-3-yl]methoxy]-, nitrate (ester) (9CI) (CA INDEX NAME)



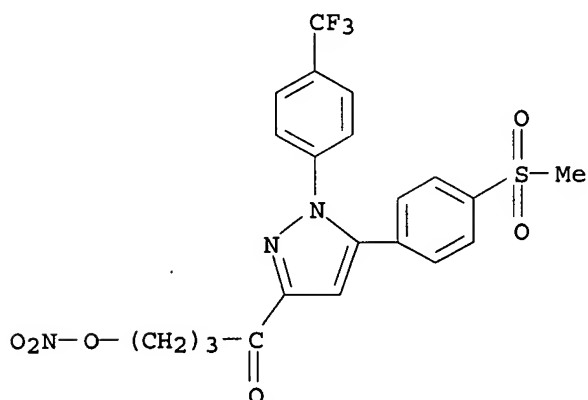
RN 641639-44-3 CAPLUS

CN 2-Butanol, 4-[[5-[4-(methylsulfonyl)phenyl]-1-phenyl-1H-pyrazol-3-yl]methoxy]-, nitrate (ester) (9CI) (CA INDEX NAME)



RN 641639-54-5 CAPLUS

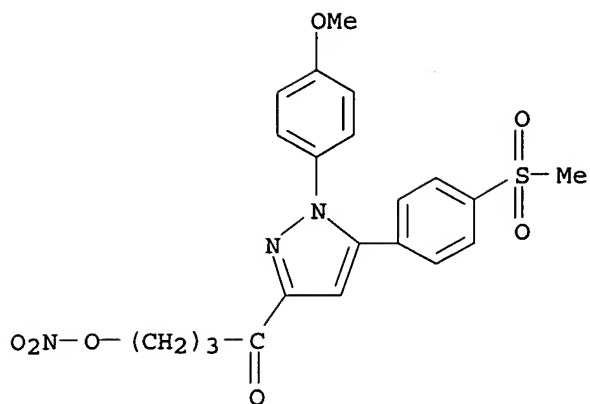
CN 1-Butanone, 1-[5-[4-(methylsulfonyl)phenyl]-1-[4-(trifluoromethyl)phenyl]-1H-pyrazol-3-yl]-4-(nitrooxy)- (9CI) (CA INDEX NAME)



RN 641639-59-0 CAPLUS

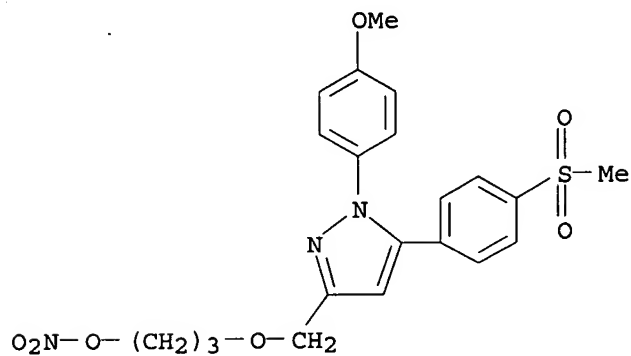
CN 1-Butanone, 1-[1-(4-methoxyphenyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-3-yl]-4-(nitrooxy)- (9CI) (CA INDEX NAME)

10/764,529



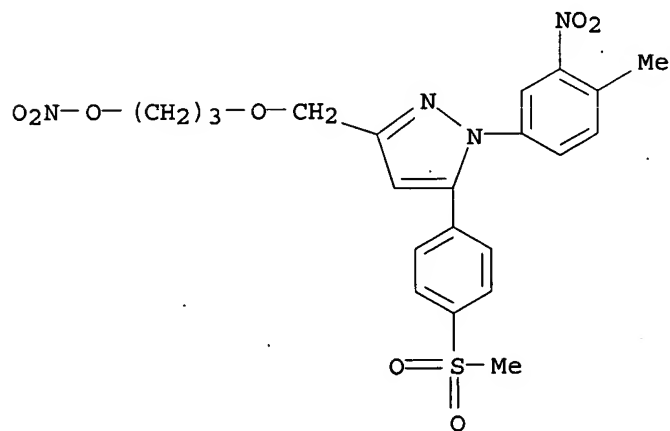
RN 641639-99-8 CAPLUS

CN 1-Propanol, 3-[[1-(4-methoxyphenyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-3-yl]methoxy]-, nitrate (ester) (9CI) (CA INDEX NAME)



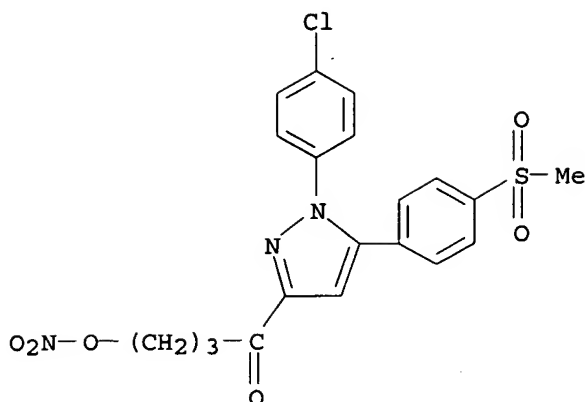
RN 641640-00-8 CAPLUS

CN 1-Propanol, 3-[[1-(4-methyl-3-nitrophenyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-3-yl]methoxy]-, nitrate (ester) (9CI) (CA INDEX NAME)



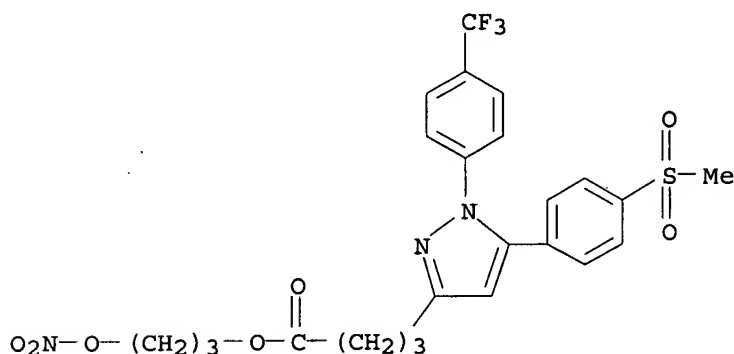
RN 641640-09-7 CAPLUS

CN 1-Butanone, 1-[1-(4-chlorophenyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-3-yl]-4-(nitrooxy)- (9CI) (CA INDEX NAME)



RN 641640-20-2 CAPLUS

CN 1H-Pyrazole-3-butanoic acid, 5-[4-(methanesulfonyl)phenyl]-1-[4-(trifluoromethyl)phenyl]-, 3-(nitrooxy)propyl ester (9CI) (CA INDEX NAME)



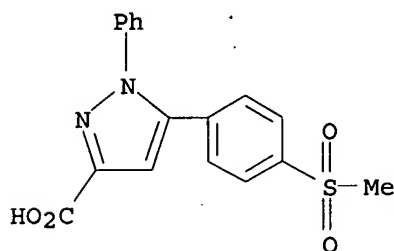
IT 134730-09-9P 641638-77-9P, Methyl 5-[4-(methanesulfonyl)phenyl]-1-phenylpyrazole-3-carboxylate  
 641638-78-0P, 4-[3-(Hydroxymethyl)-1-phenylpyrazol-5-yl]-1-(methanesulfonyl)benzene 641638-79-1P, 4-[3-(Bromomethyl)-1-phenylpyrazol-5-yl]-1-(methanesulfonyl)benzene 641638-82-6P, 3-(Phenylmethoxy)propyl 5-[4-(methanesulfonyl)phenyl]-1-phenylpyrazole-3-carboxylate 641638-83-7P, 1-(Methanesulfonyl)-4-[1-phenyl-3-[[3-(phenylmethoxy)propoxy]thioxomethyl]pyrazol-5-yl]benzene 641638-84-8P, 4-[3-[Difluoro[3-(phenylmethoxy)propoxy]methyl]-1-phenylpyrazol-5-yl]-1-(methanesulfonyl)benzene 641638-88-2P, Methyl 1-(4-chlorophenyl)-5-[4-(methanesulfonyl)phenyl]pyrazole-3-carboxylate 641638-89-3P, 1-[1-(4-Chlorophenyl)-3-(hydroxymethyl)pyrazol-5-yl]-4-(methanesulfonyl)benzene 641638-90-6P, 1-[3-(Bromomethyl)-1-(4-chlorophenyl)pyrazol-5-yl]-4-(methanesulfonyl)benzene 641638-93-9P, Methyl 1-(4-methylphenyl)-5-[4-(methanesulfonyl)phenyl]pyrazole-3-carboxylate 641638-94-0P, 1-[3-(Hydroxymethyl)-1-(4-methylphenyl)pyrazol-5-yl]-4-(methanesulfonyl)benzene 641638-95-1P, 1-[3-(Bromomethyl)-1-(4-methylphenyl)pyrazol-5-yl]-4-(methanesulfonyl)benzene 641638-98-4P, Methyl 5-[4-(methanesulfonyl)phenyl]-1-[4-(trifluoromethyl)phenyl]pyrazole-3-carboxylate 641638-99-5P, 1-[3-(Hydroxymethyl)-1-[4-(trifluoromethyl)phenyl]pyrazol-5-yl]-4-(methanesulfonyl)benzene 641639-00-1P, 1-[3-(Bromomethyl)-1-[4-

(trifluoromethyl)phenyl]pyrazol-5-yl]-4-(methylsulfonyl)benzene  
**641639-03-4P**, Methyl 1-(4-methoxyphenyl)-5-[4-(methylsulfonyl)phenyl]pyrazole-3-carboxylate **641639-04-5P**,  
 1-[3-(Hydroxymethyl)-1-(4-methoxyphenyl)pyrazol-5-yl]-4-(methylsulfonyl)benzene **641639-05-6P**, 1-[3-(Bromomethyl)-1-(4-methoxyphenyl)pyrazol-5-yl]-4-(methylsulfonyl)benzene **641639-40-9P**,  
 Methyl 1-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]pyrazole-3-carboxylate **641639-41-0P**, 1-[1-(4-Fluorophenyl)-3-(hydroxymethyl)pyrazol-5-yl]-4-(methylsulfonyl)benzene **641639-42-1P**, 1-[3-(Bromomethyl)-1-(4-fluorophenyl)pyrazol-5-yl]-4-(methylsulfonyl)benzene **641639-45-4P**, 4-(Methylsulfonyl)-1-[1-phenyl-3-[(3-phenoxybutoxy)methyl]pyrazol-5-yl]benzene **641640-24-6P**, 4-[5-[4-(Methylsulfonyl)phenyl]-1-[4-(trifluoromethyl)phenyl]pyrazol-3-yl]butanoic acid  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of trisubstituted pyrazole cyclooxygenase-2 selective inhibitors)

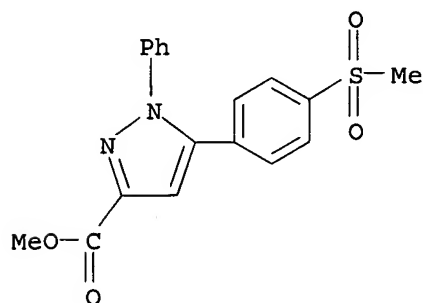
RN 134730-09-9 CAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 5-[4-(methylsulfonyl)phenyl]-1-phenyl- (9CI) (CA INDEX NAME)



RN 641638-77-9 CAPLUS

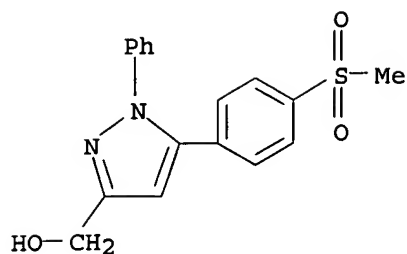
CN 1H-Pyrazole-3-carboxylic acid, 5-[4-(methylsulfonyl)phenyl]-1-phenyl-, methyl ester (9CI) (CA INDEX NAME)



RN 641638-78-0 CAPLUS

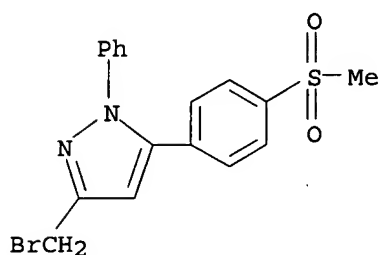
CN 1H-Pyrazole-3-methanol, 5-[4-(methylsulfonyl)phenyl]-1-phenyl- (9CI) (CA INDEX NAME)

10/764,529



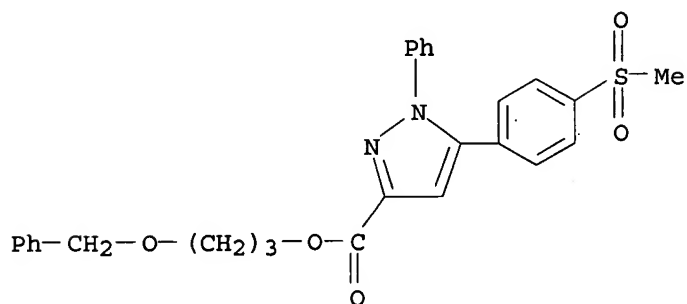
RN 641638-79-1 CAPLUS

CN 1H-Pyrazole, 3-(bromomethyl)-5-[4-(methylsulfonyl)phenyl]-1-phenyl- (9CI)  
(CA INDEX NAME)



RN 641638-82-6 CAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 5-[4-(methylsulfonyl)phenyl]-1-phenyl-,  
3-(phenylmethoxy)propyl ester (9CI) (CA INDEX NAME)

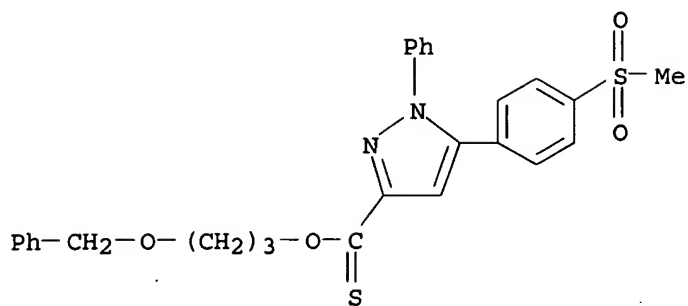


RN 641638-83-7 CAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 5-[4-(methylsulfonyl)phenyl]-1-phenyl-,  
O-[3-(phenylmethoxy)propyl] ester (9CI) (CA INDEX NAME)

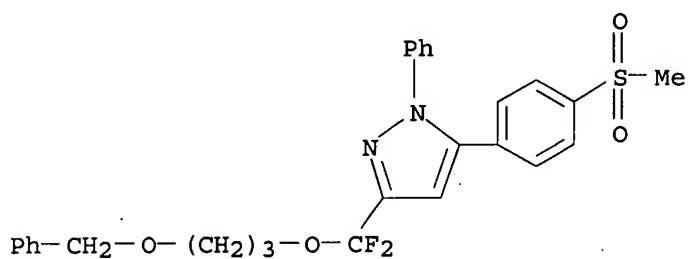


10/764,529



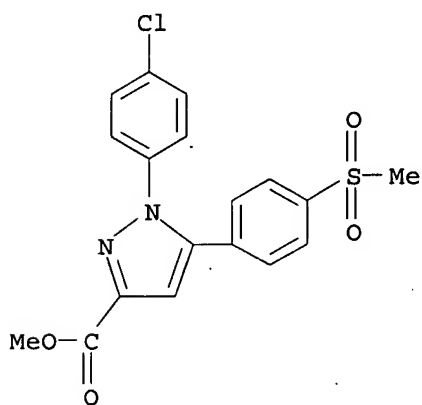
RN 641638-84-8 CAPLUS

CN 1H-Pyrazole, 3-[difluoro[3-(phenylmethoxy)propoxy]methyl]-5-[4-(methylsulfonyl)phenyl]-1-phenyl- (9CI) (CA INDEX NAME)



RN 641638-88-2 CAPLUS

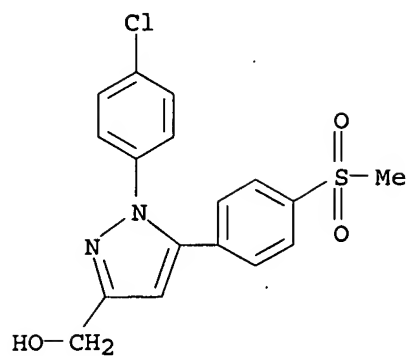
CN 1H-Pyrazole-3-carboxylic acid, 1-(4-chlorophenyl)-5-[4-(methylsulfonyl)phenyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 641638-89-3 CAPLUS

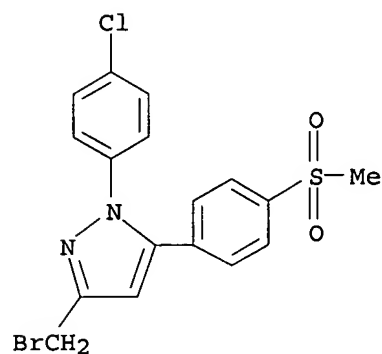
CN 1H-Pyrazole-3-methanol, 1-(4-chlorophenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

10/764,529



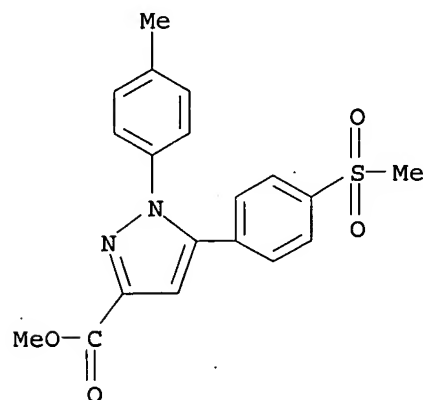
RN 641638-90-6 CAPLUS

CN 1H-Pyrazole, 3-(bromomethyl)-1-(4-chlorophenyl)-5-[4-(methylsulfonyl)phenyl]-(9CI) (CA INDEX NAME)



RN 641638-93-9 CAPLUS

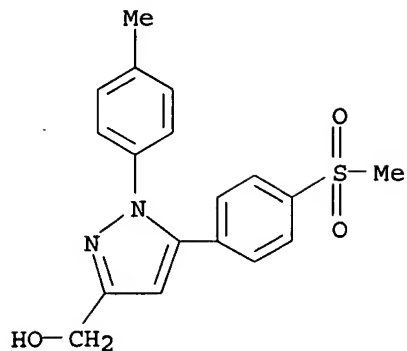
CN 1H-Pyrazole-3-carboxylic acid, 1-(4-methylphenyl)-5-[4-(methylsulfonyl)phenyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 641638-94-0 CAPLUS

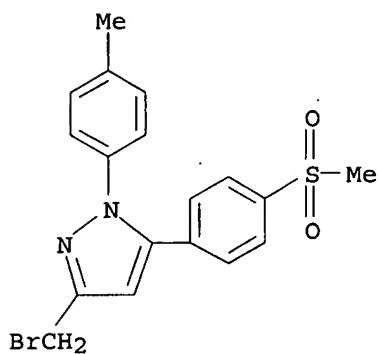
CN 1H-Pyrazole-3-methanol, 1-(4-methylphenyl)-5-[4-(methylsulfonyl)phenyl]-(9CI) (CA INDEX NAME)

10/764,529



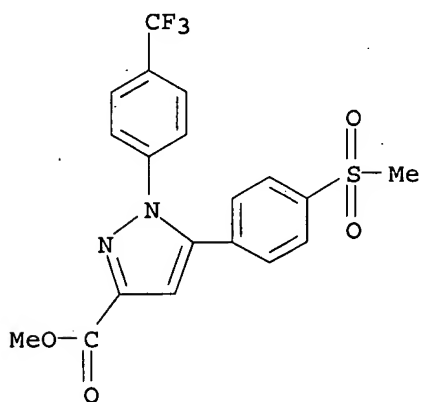
RN 641638-95-1 CAPLUS

CN 1H-Pyrazole, 3-(bromomethyl)-1-(4-methylphenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 641638-98-4 CAPLUS

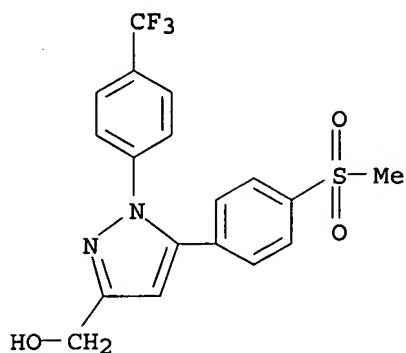
CN 1H-Pyrazole-3-carboxylic acid, 5-[4-(methylsulfonyl)phenyl]-1-[4-(trifluoromethyl)phenyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 641638-99-5 CAPLUS

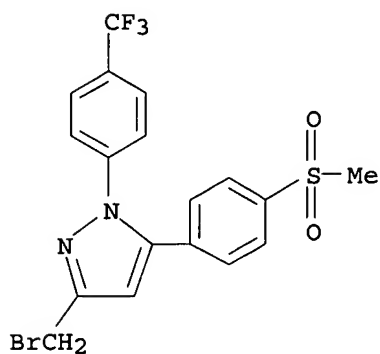
CN 1H-Pyrazole-3-methanol, 5-[4-(methylsulfonyl)phenyl]-1-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

10/764,529



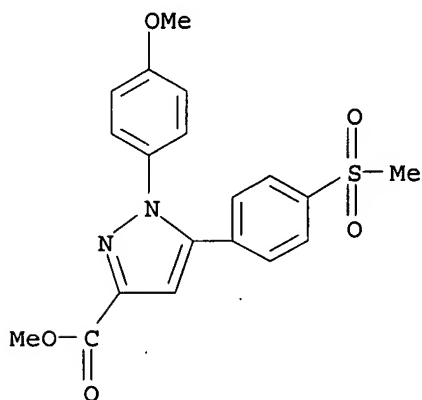
RN 641639-00-1 CAPLUS

CN 1H-Pyrazole, 3-(bromomethyl)-5-[4-(methylsulfonyl)phenyl]-1-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 641639-03-4 CAPLUS

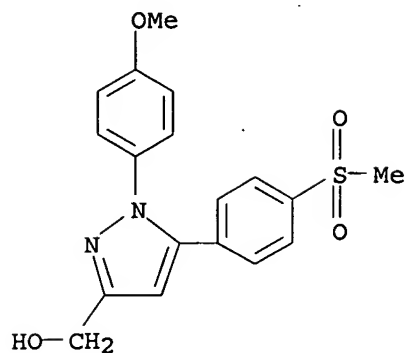
CN 1H-Pyrazole-3-carboxylic acid, 1-(4-methoxyphenyl)-5-[4-(methylsulfonyl)phenyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 641639-04-5 CAPLUS

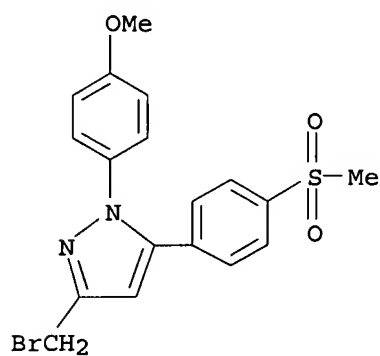
CN 1H-Pyrazole-3-methanol, 1-(4-methoxyphenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

10/764,529



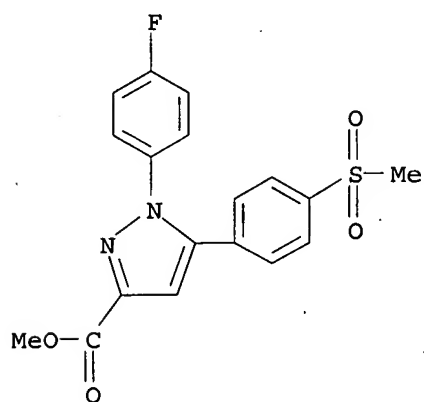
RN 641639-05-6 CAPLUS

CN 1H-Pyrazole, 3-(bromomethyl)-1-(4-methoxyphenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 641639-40-9 CAPLUS

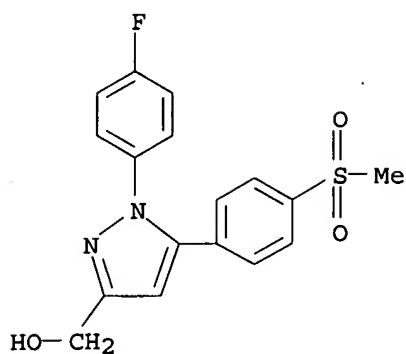
CN 1H-Pyrazole-3-carboxylic acid, 1-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 641639-41-0 CAPLUS

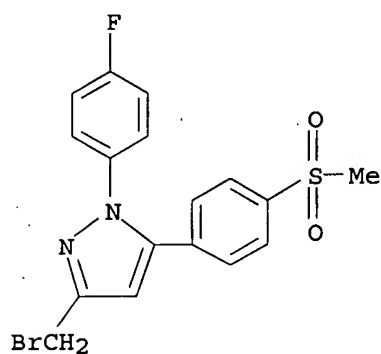
CN 1H-Pyrazole-3-methanol, 1-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

10/764,529



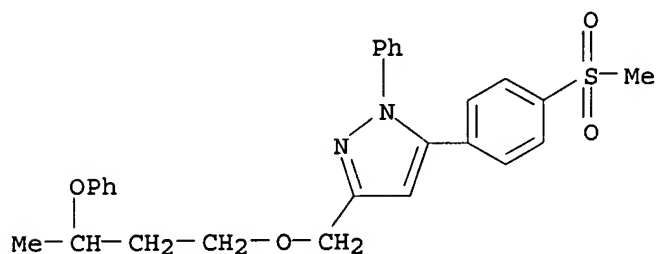
RN 641639-42-1 CAPLUS

CN 1H-Pyrazole, 3-(bromomethyl)-1-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



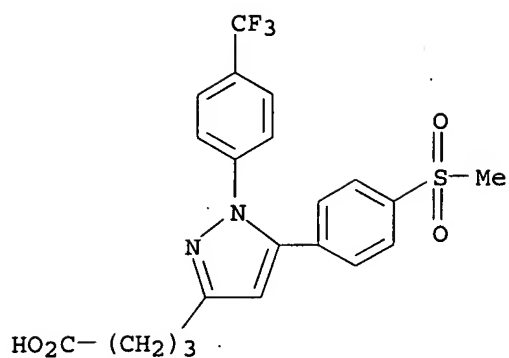
RN 641639-45-4 CAPLUS

CN 1H-Pyrazole, 5-[4-(methylsulfonyl)phenyl]-3-[(3-phenoxybutoxy)methyl]-1-phenyl- (9CI) (CA INDEX NAME)

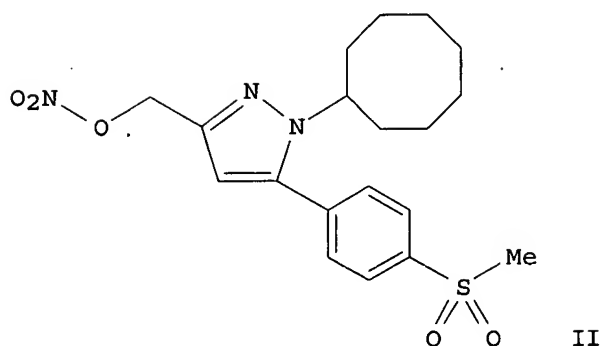
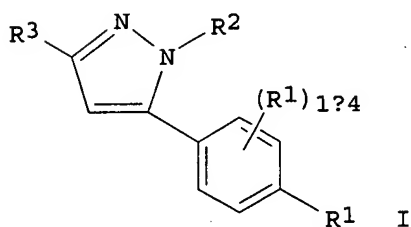


RN 641640-24-6 CAPLUS

CN 1H-Pyrazole-3-butanoic acid, 5-[4-(methylsulfonyl)phenyl]-1-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



GI



AB Title compds. I [R<sub>1</sub> = SO<sub>2</sub>CH<sub>3</sub>, SO<sub>2</sub>NH<sub>2</sub>; R<sub>1</sub>' = H, halo, Me, CH<sub>2</sub>OH; R<sub>2</sub> = alkyl, cycloalkyl, aryl, heterocyclic ring; R<sub>3</sub> = (un)substituted alkyl, acyl, etc.] are prepared. For instance, Me (Z)-2-hydroxy-4-(4-methylthiophenyl)-4-oxobut-2-enoate (preparation given) is reacted with cyclooctylhydrazine trifluoroacetate (preparation given) (MeOH, 70°) to give Me 1-cyclooctyl-5-(4-methylthiophenyl)pyrazole-3-carboxylate. This is reduced (THF, LAH), oxidized to the sulfone (MeOH/H<sub>2</sub>O, oxone) and reacted with NHO<sub>3</sub>/Ac<sub>2</sub>O (CHCl<sub>3</sub>) to give II. Compds. of the invention exhibit cyclooxygenase 2 (COX-2) selectivity; II exhibits 75% inhibition of COX-2 at 10 μM and 35% inhibition of COX 1 at 100 μM. The

invention also provides novel kits comprising at least one COX-2 selective inhibitor, optionally nitrosated and/or nitrosylated and optionally at least one nitric oxide donor and/or optionally at least one therapeutic agent. The novel cyclooxygenase 2 selective inhibitors of the invention can be optionally nitrosated and/or nitrosylated. Therapies are also disclosed that provide methods for: treating inflammation, pain and fever, for improving the gastrointestinal properties of COX-2 selective inhibitors, for facilitating wound healing, etc.

L4 ANSWER 13 OF 62 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:20345 CAPLUS

DOCUMENT NUMBER: 140:77144

TITLE: Preparation of optionally nitrosated and/or nitrosylated oxime and/or hydrazone cyclooxygenase-2 selective inhibitors, compositions and methods of use  
INVENTOR(S): Ranatunge, Ramani R.; Garvey, David S.; Richardson, Stewart K.

PATENT ASSIGNEE(S): Nitromed, Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 74 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004006133	A1	20040108	US 2003-608333	20030630
PRIORITY APPLN. INFO.:			US 2002-392044P	P 20020628
OTHER SOURCE(S): MARPAT 140:77144				

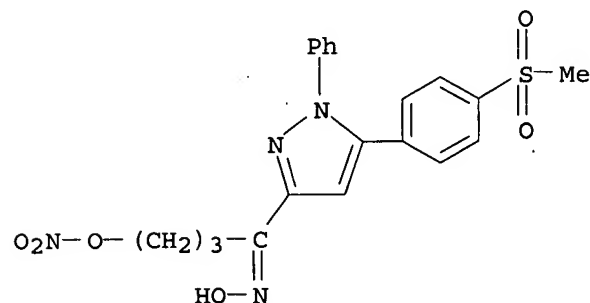
IT 640727-83-9P 640727-97-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

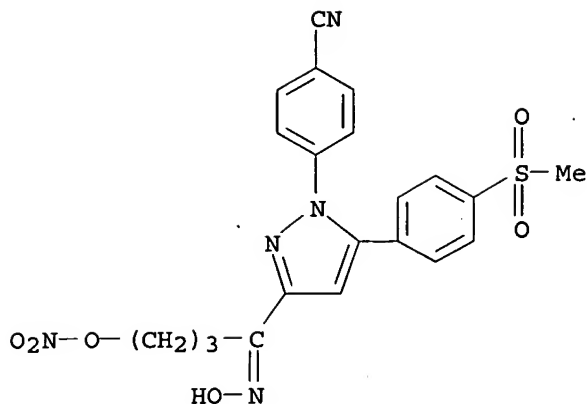
(drug candidate; preparation of optionally nitrosated and/or nitrosylated oxime and/or hydrazone cyclooxygenase-2 selective inhibitors, compns. and methods of use)

RN 640727-83-9 CAPLUS

CN 1-Butanone, 1-[5-[4-(methylsulfonyl)phenyl]-1-phenyl-1H-pyrazol-3-yl]-4-(nitrooxy)-, oxime (9CI) (CA INDEX NAME)







IT 640727-87-3P 640727-88-4P 640728-01-4P

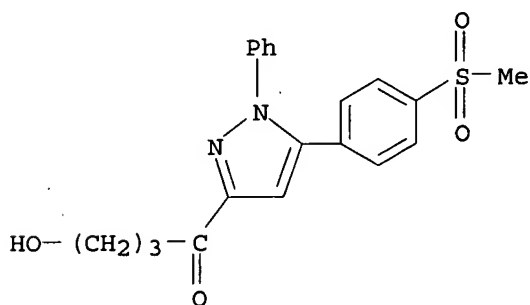
640728-02-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of optionally nitrosated and/or nitrosylated oxime and/or hydrazone cyclooxygenase-2 selective inhibitors, compns. and methods of use)

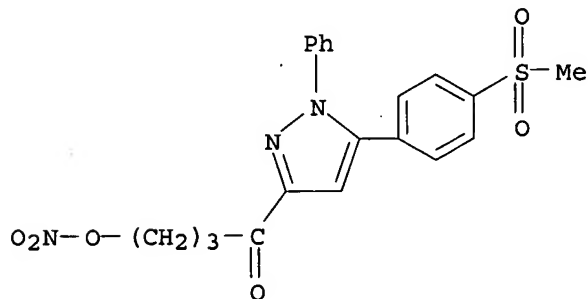
RN 640727-87-3 CAPLUS

CN 1-Butanone, 4-hydroxy-1-[5-[4-(methylsulfonyl)phenyl]-1-phenyl-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



RN 640727-88-4 CAPLUS

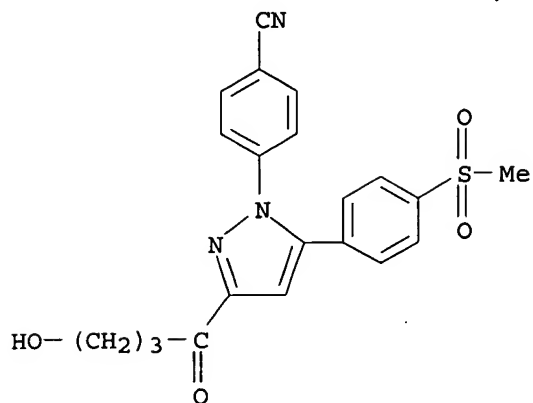
CN 1-Butanone, 1-[5-[4-(methylsulfonyl)phenyl]-1-phenyl-1H-pyrazol-3-yl]-4-(nitrooxy)- (9CI) (CA INDEX NAME)



RN 640728-01-4 CAPLUS

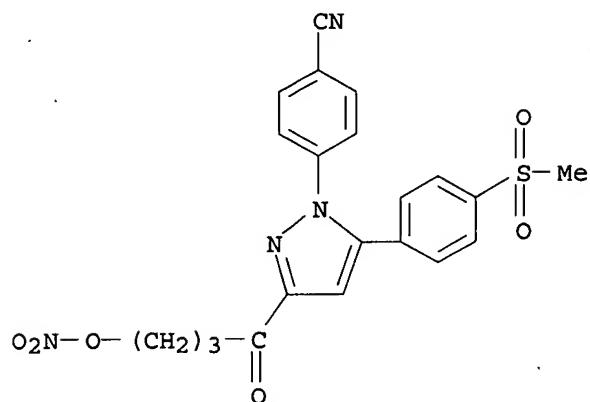
10/764,529

CN Benzonitrile, 4-[3-(4-hydroxy-1-oxobutyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

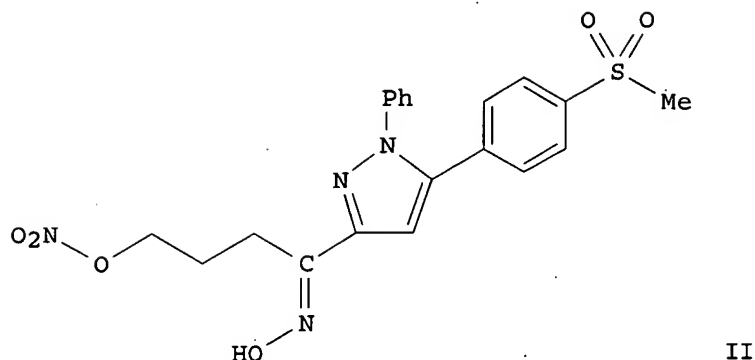
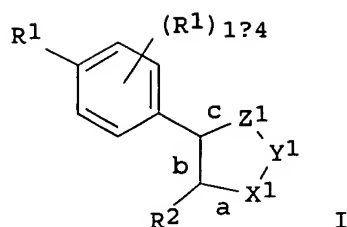


RN 640728-02-5 CAPLUS

CN Benzonitrile, 4-[5-[4-(methylsulfonyl)phenyl]-3-[4-(nitrooxy)-1-oxobutyl]-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



GI



AB The invention describes novel cyclooxygenase 2 (COX-2) selective inhibitors having at least one oxime group or hydrazone group optionally nitrosated and/or nitrosylated (one class shown as I; variables defined below; e.g. II; 15 other classes of compds. are also described in the 1st claim) and novel compns. and kits comprising at least one I and optionally, at least one compound that donates, transfers or releases nitric oxide, stimulates endogenous synthesis of nitric oxide, elevates endogenous levels of endothelium-derived relaxing factor or is a substrate for nitric oxide synthase, and/or at least one therapeutic agent. The invention also provides methods for treating inflammation, pain and fever; for treating and/or improving the gastrointestinal properties of COX-2 selective inhibitors; for facilitating wound healing; for treating and/or preventing renal and/or respiratory toxicity; for treating and/or preventing other disorders resulting from elevated levels of cyclooxygenase-2; and for improving the cardiovascular profile of COX-2 selective inhibitors. Six examples of I were tested for inhibition of COX-1 and COX-2; e.g. 1-[1-cyclohexyl-3-[1-(hydroxyimino)-4-(nitrooxy)butyl]pyrazol-4-yl]-4-(methylsulfonyl)benzene inhibited COX-1 10 % at 100  $\mu$ M and COX-2 100 % at 10  $\mu$ M. Although the methods of preparation are not claimed, 6 example preps. are included. For example, II was prepared in 7 steps (79, 68, 84, 79, 51, 84 and 48 % yields, resp.) starting from di-Me oxalate, NaOMe and 4'-(methylthio)acetophenone in toluene and involving intermediates Me (2Z)-2-hydroxy-4-(4-methylthiophenyl)-4-oxobut-2-enoate, Me 5-(4-methylthiophenyl)-1-phenylpyrazole-3-carboxylate, N-methoxy-N-methyl-5-(4-methylthiophenyl)-1-phenylpyrazole-3-carboxamide, 1-[5-(4-methylthiophenyl)-1-phenylpyrazol-3-yl]-4-(1,1,2,2-tetramethyl-1-silapropoxy)butan-1-one, 4-hydroxy-1-[5-[4-(methylsulfonyl)phenyl]-1-phenylpyrazol-3-yl]butan-1-one, and 1-[5-[4-(methylsulfonyl)phenyl]-1-phenylpyrazol-3-yl]-4-(nitrooxy)butan-1-one. For I: when side b is a double bond, and sides a and c are single bonds, -X1-Y1-Z1- is: -CR4(R5)CR5(R5')CR4(R5)-, -C(O)CR4(R4')CR5(R5')-, -CR4(R4')CR5(R5')C(O)-, -[CR5(R5')]kOC(O)-, etc.; when sides a and c are double bonds and side b is a single bond, -X1-Y1-Z1- is: :CR4OCR5:,

:CR4NR3CR5:, :NSCR4:, :CR4SN:, etc. R1 is S(O)2Me, S(O)2NR8(D1), S(O)2N(D1)C(O)CF3, S(O)(NH)NH(D1), S(O)(NH)N(D1)C(O)CF3, P(O)MeNH(D1), P(O)Me2, C(S)NH(D1), S(O)(NH)Me, P(O)MeOD1, or P(O)MeNH(D1); R1' is H, halo, Me, or CH2OH. R2 is lower alkyl, cycloalkyl, mono, di- or trisubstituted Ph or naphthyl, mono, di- or trisubstituted heteroaryl (wherein the heteroaryl is a monocyclic aromatic ring of 5 atoms, said ring having one heteroatom which is S, O, or N, and, optionally, 1-3 addnl. N atoms; or the heteroaryl is a monocyclic ring of 6 atoms, said ring having one heteroatom which is N, and, optionally, 1-4 addnl. N atoms), benzoheteroaryl, NR10R11, SR11, OR11, R11, alkenyl, alkynyl, unsubstituted, mono, di, tri- or tetrasubstituted cycloalkenyl, mono, di, tri- or tetrasubstituted heterocycloalkyl group of 5-7 members, or a benzoheterocycle, wherein said heterocycloalkyl or benzoheterocycle contains 1 or 2 heteroatoms selected from O, S, or N and, optionally, contains a carbonyl group or a sulfonyl group, styryl, mono or disubstituted styryl, phenylacetylene, mono- or disubstituted phenylacetylene, fluoroalkenyl, mono- or disubstituted bicyclic heteroaryl of 8-10 members, containing 2-5 heteroatoms (wherein at least one heteroatom resides on each ring of said bicyclic heteroaryl, said heteroatoms are each independently O, S and N), K, aryl, arylalkyl, cycloalkylalkyl, -C(O)R11, hydrogen, arylalkenyl, arylalkoxy, alkoxy, aryloxy, cycloalkoxy, arylthio, alkylthio, arylalkylthio, or cycloalkylthio. R3 is hydrogen, haloalkyl (preferably CF3), CN, lower alkyl, [C(Re)(Rf)]p-U-V, K, (un)substituted lower alkyl-Q, lower alkyl-O-lower alkyl-Q, etc., Q, alkylcarbonyl, arylcarbonyl, alkylarylcarbonyl, arylalkylcarbonyl, carboxylic ester, carboxamido, cycloalkyl, mono, di- or trisubstituted Ph or naphthyl, alkenyl, alkynyl, arylalkyl, lower alkyl-OD1, alkoxyalkyl, aminoalkyl, lower alkyl-CO2R10, lower alkyl-C(O)NR10(R10'), heterocyclic alkyl, or heterocyclic ring-C(O)-; with the proviso that one oxime or hydrazone group must be present; addnl. details are given in the claims.

L4 ANSWER 14 OF 62 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:1007863 CAPLUS

DOCUMENT NUMBER: 140:35975

TITLE: Compositions of tricyclic cyclooxygenase-2 selective inhibitors and acetaminophen for treatment and prevention of inflammation, inflammation-mediated disorders and pain

INVENTOR(S): Seibert, Karen

PATENT ASSIGNEE(S): Pharmacia Corporation, USA

SOURCE: U.S. Pat. Appl. Publ., 82 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003236293	A1	20031225	US 2002-246848	20020918
PRIORITY APPLN. INFO.:			US 2001-322932P	P 20010918
OTHER SOURCE(S):	MARPAT 140:35975			

IT 165251-89-8

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

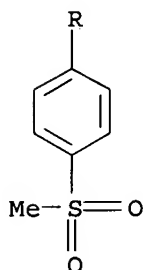
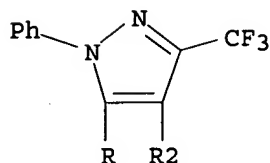
(comps. of tricyclic cyclooxygenase-2 selective inhibitors and acetaminophen for treatment and prevention of inflammation, inflammation-mediated disorders and pain)

RN 165251-89-8 CAPLUS

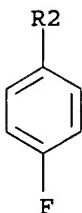
CN 1H-Pyrazole, 4-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-1-phenyl-3-

(trifluoromethyl) - (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



AB A composition is provided comprising a tricyclic cyclooxygenase-2 selective inhibitor and acetaminophen. The composition is effective for the treatment and prevention of inflammation, an inflammation-mediated disorder, and pain. A method of treatment is also claimed wherein the therapeutic effect is through prostaglandin synthesis inhibition.

L4 ANSWER 15 OF 62 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:1001998 CAPLUS

DOCUMENT NUMBER: 140:314411

TITLE: Polar substitutions in the benzenesulfonamide ring of celecoxib afford a potent 1,5-diarylpyrazole class of COX-2 inhibitors

AUTHOR(S): Singh, Sunil K.; Reddy, P. Ganapati; Rao, K. Srinivasa; Lohray, Braj B.; Misra, P.; Rajjak, Shaikh A.; Rao, Yeleswarapu K.; Venkateswarlu, A.

CORPORATE SOURCE: Discovery Chemistry, Discovery Research-Dr. Reddy's Laboratories Ltd, Miyapur, Hyderabad, 500 049, India

SOURCE: Bioorganic & Medicinal Chemistry Letters (2004), 14(2), 499-504

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal

10/764,529

LANGUAGE: English

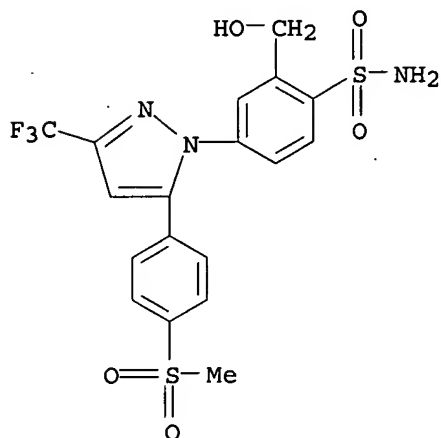
IT 304647-89-0P

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(polar substitutions in benzenesulfonamide ring of celecoxib afford a potent 1,5-diarylpyrazole class of COX-2 inhibitors)

RN 304647-89-0 CAPLUS

CN Benzenesulfonamide, 2-(hydroxymethyl)-4-[5-[4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



AB Several chemical modifications in the N1-benzenesulfonamide ring of celecoxib are presented. The series with a hydroxymethyl group adjacent to the sulfonamide was found to be the most potent modification that yielded many compds. selectively active against COX-2 enzyme in vitro.

REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 16 OF 62 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:971878 CAPLUS

DOCUMENT NUMBER: 140:13075

TITLE: Monotherapy for the treatment of amyotrophic lateral sclerosis with cyclooxygenase-2 (COX 2) inhibitor(s)

INVENTOR(S): Isakson, Peter C.

PATENT ASSIGNEE(S): Pharmacia Corporation, USA

SOURCE: PCT Int. Appl., 182 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003101441	A1	20031211	WO 2003-US14548	20030528
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			

10/764,529

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,  
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,  
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
US 2004063752 A1 20040401 US 2003-444072 20030523  
CA 2487923 AA 20031211 CA 2003-2487923 20030528  
BR 2003011518 A 20050222 BR 2003-11518 20030528  
EP 1509217 A1 20050302 EP 2003-756170 20030528  
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK  
PRIORITY APPLN. INFO.: US 2002-384139P P 20020531  
US 2003-444072 A 20030523  
WO 2003-US14548 W 20030528

OTHER SOURCE(S): MARPAT 140:13075

IT 165251-89-8

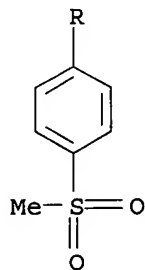
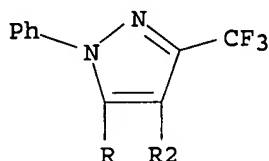
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
(Biological study); USES (Uses)

(monotherapy for treatment of amyotrophic lateral sclerosis with  
selective cyclooxygenase-2 inhibitor(s) over cyclooxygenase-1)

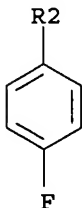
RN 165251-89-8 CAPLUS

CN 1H-Pyrazole, 4-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-1-phenyl-3-  
(trifluoromethyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



AB A method of treating, preventing, or inhibiting amyotrophic lateral

sclerosis (ALS), in a subject in need of such treatment, inhibition or prevention. The method comprises administering to a subject one or more cyclooxygenase-2 selective inhibitor(s), or isomer(s), or pharmaceutically acceptable salt(s), ester(s), or prodrug(s) thereof, wherein the amount of the cyclooxygenase-2 selective inhibitor(s), isomer(s), ester(s), salt(s) or prodrug(s) thereof constitutes an ALS treatment, inhibition or prevention effective amount of the COX 2 inhibitor(s).

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 17 OF 62 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:971836 CAPLUS

DOCUMENT NUMBER: 140:23256

TITLE: Combination therapy for treatment of amyotrophic lateral sclerosis (ALS) with cyclooxygenase-2 (COX 2) inhibitor(s) and a second drug

INVENTOR(S): Isakson, Peter C.

PATENT ASSIGNEE(S): Pharmacia Corporation, USA

SOURCE: PCT Int. Appl., 358 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003101380	A2	20031211	WO 2003-US14547	20030528
WO 2003101380	A3	20041111		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 2004063751	A1	20040401	US 2003-444071	20030523
CA 2487885	AA	20031211	CA 2003-2487885	20030528
BR 2003011524	A	20050510	BR 2003-11524	20030528
EP 1539169	A2	20050615	EP 2003-731134	20030528
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
PRIORITY APPLN. INFO.:			US 2002-384104P	P 20020531
			US 2003-444071	A 20030523
			WO 2003-US14547	W 20030528

OTHER SOURCE(S): MARPAT 140:23256

IT 165251-89-8

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

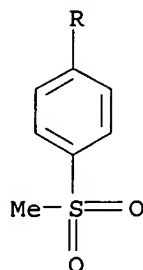
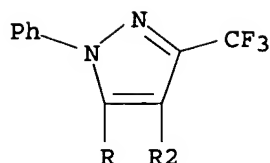
(combination therapy for amyotrophic lateral sclerosis treatment of with COX-2 inhibitor and second drug)

RN 165251-89-8 CAPLUS

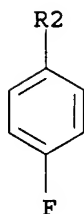
CN 1H-Pyrazole, 4-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-1-phenyl-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



PAGE 1-A



PAGE 2-A



AB A method of treating, preventing, or inhibiting ALS, in a subject in need of such treatment, inhibition or prevention. The method comprises administering to a subject one or more cyclooxygenase-2 selective inhibitor(s) or isomer(s) or pharmaceutically acceptable salt(s), ester(s), or prodrug(s) thereof, in combination with one or more second drugs, wherein the amount of the cyclooxygenase-2 selective inhibitor(s) or isomer(s) or pharmaceutically acceptable salt(s), ester(s), or prodrug(s) thereof in combination with the amount of second drug(s) constitutes an ALS treatment, inhibition or prevention effective amount

L4 ANSWER 18 OF 62 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:855795 CAPLUS

DOCUMENT NUMBER: 139:345939

TITLE: Monotherapy for the treatment of Parkinson's disease with cyclooxygenase 2 (COX2) inhibitor(s)  
 INVENTOR(S): Stephenson, Diane T.; Isakson, Peter C.; Maziasz, Timothy J.

PATENT ASSIGNEE(S): Pharmacia Corporation, USA

SOURCE: PCT Int. Appl., 186 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

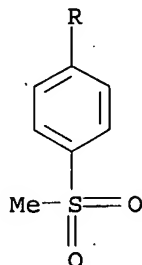
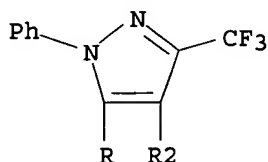
LANGUAGE: English

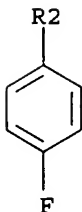
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003088959	A2	20031030	WO 2003-US11517	20030414
WO 2003088959	A3	20031231		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2482510	AA	20031030	CA 2003-2482510	20030414
US 2004006100	A1	20040108	US 2003-412970	20030414
BR 2003009337	A	20050215	BR 2003-9337	20030414
EP 1505962	A2	20050216	EP 2003-746984	20030414
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
PRIORITY APPLN. INFO.:			US 2002-373317P	P 20020418
			WO 2003-US11517	W 20030414
OTHER SOURCE(S):		MARPAT 139:345939		
IT	165251-89-8			
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (cyclooxygenase 2 (COX2) inhibitor for treatment of Parkinson's disease)				
RN	165251-89-8 CAPLUS			
CN	1H-Pyrazole, 4-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-1-phenyl-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)			

PAGE 1-A





AB The invention provides a method for treating, preventing, or inhibiting Parkinson's disease (PD), in a subject in need of such treatment, inhibition or prevention. The method comprises treating the subject with one or more COX2 selective inhibitor(s), ester(s), salt(s) or prodrug(s) thereof, wherein the amount of the cyclooxygenase-2 selective inhibitor(s), ester(s), salt(s) or prodrug(s) thereof constitutes a PD treatment-, inhibition- or prevention-effective amount of the COX2 inhibitor(s).

L4 ANSWER 19 OF 62 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:855794 CAPLUS

DOCUMENT NUMBER: 139:345938

TITLE: Combination therapy including cyclooxygenase 2 (COX2) inhibitor(s) for the treatment of Parkinson's disease  
INVENTOR(S): Stephenson, Diane T.; Isakson, Peter C.; Maziasz, Timothy J.

PATENT ASSIGNEE(S): Pharmacia Corporation, USA

SOURCE: PCT Int. Appl., 266 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003088958	A2	20031030	WO 2003-US11269	20030414
WO 2003088958	A3	20040819		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2481934	AA	20031030	CA 2003-2481934	20030414
US 2004034083	A1	20040219	US 2003-413348	20030414
EP 1494664	A2	20050112	EP 2003-719717	20030414
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003009259	A	20050209	BR 2003-9259	20030414
JP 2005528403	T2	20050922	JP 2003-585710	20030414
PRIORITY APPLN. INFO.:			US 2002-373311P	P 20020418
			WO 2003-US11269	W 20030414

OTHER SOURCE(S): MARPAT 139:345938

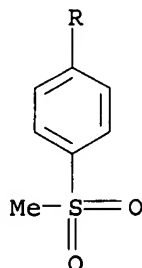
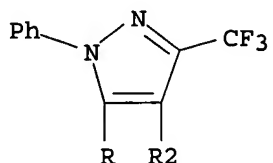
IT 165251-89-8

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
(Biological study); USES (Uses)  
(combination therapy including cyclooxygenase 2 inhibitor for treatment  
of Parkinson's disease)

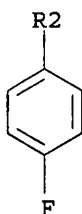
RN 165251-89-8 CAPLUS

CN 1H-Pyrazole, 4-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-1-phenyl-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



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AB The invention discloses a method for treating, preventing, or inhibiting Parkinson's disease (PD) in a subject in need of such treatment, inhibition, or prevention. The method comprises treating the subject with one or more COX2 selective inhibitor(s) or isomer(s) or pharmaceutically acceptable salt(s), ester(s), or prodrug(s) thereof, in combination with one or more second drugs, wherein the amount of the COX2 selective inhibitor(s) or isomer(s) or pharmaceutically acceptable salt(s), ester(s), or prodrug(s) thereof in combination with the amount of second drug(s) constitutes a PD treatment-, inhibition- or prevention-effective amount

L4 ANSWER 20 OF 62 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:570814 CAPLUS

DOCUMENT NUMBER: 139:138734

TITLE: Use of COX-2 inhibitors in combination with antiviral agents for the treatment of papilloma virus infections

10/764,529

INVENTOR(S): Chong, Kong Teck  
PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA  
SOURCE: PCT Int. Appl., 121 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003059347	A1	20030724	WO 2003-US16	20030110
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2472459	AA	20030724	CA 2003-2472459	20030110
US 2003211163	A1	20031113	US 2003-339906	20030110
EP 1463500	A1	20041006	EP 2003-700670	20030110
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
BR 2003006820	A	20041207	BR 2003-6820	20030110
JP 2005519061	T2	20050630	JP 2003-559509	20030110
PRIORITY APPLN. INFO.:			US 2002-347550P	P 20020110
			WO 2003-US16	W 20030110

OTHER SOURCE(S): MARPAT 139:138734

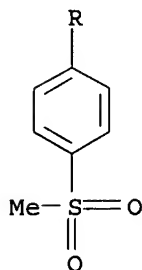
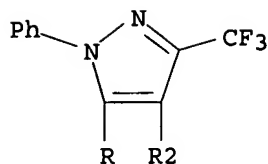
IT 165251-89-8

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(topical COX-2 inhibitors in combination with antiviral agents for treatment of papilloma virus infections)

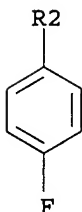
RN 165251-89-8 CAPLUS

CN 1H-Pyrazole, 4-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-1-phenyl-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



AB A method of treating papilloma virus infections comprising administering topically a cyclooxygenase-2 (COX-2) inhibitor or its pharmaceutically acceptable salt in combination with an antiviral agent. An antiviral agent is selected from a podophyllin, a nucleoside analog, an immunomodulator, an antisense oligonucleotide, or a vaccine. For example, celecoxib and valdecoxib topical compns. were prepared in ethanol using 5% parecoxib as a permeation enhancer. The presence of parecoxib enhanced the flux of celecoxib and valdecoxib across the cadaver skin membrane by factor of 11.5 and 8.4, resp.

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 21 OF 62 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:492716 CAPLUS

DOCUMENT NUMBER: 139:63316

TITLE: Methods using a combination of a 3-heteroaryl-2-indolinone and a cyclooxygenase-2 inhibitor for the treatment of neoplasia

INVENTOR(S): Masferrer, Jaime L.; Cherrington, Julie M.; Leahy, Kathleen M.; Zweifel, Ben S.

PATENT ASSIGNEE(S): Pharmacia Corporation, USA

SOURCE: U.S. Pat. Appl. Publ., 66 pp., Cont.-in-part of Appl. No. PCT/US99/30693.  
CODEN: USXXCO

10/764,529

DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 21  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003119895	A1	20030626	US 2002-150546	20020516
WO 2000038730	A2	20000706	WO 1999-US30693	19991222
WO 2000038730	A3	20001102		
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
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EP 1522313	A1	20050413	EP 2004-26577	19991222
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WO 2003097044	A1	20031127	WO 2003-US15582	20030515
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BR 2003010027	A	20050215	BR 2003-10027	20030515
EP 1509224	A1	20050302	EP 2003-734058	20030515
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PRIORITY APPLN. INFO.:			US 1998-113786P	P 19981223
			WO 1999-US30693	A2 19991222
			US 1999-385214	A 19990827
			EP 1999-968939	A3 19991222
			US 2002-150546	A 20020516
			WO 2003-US15582	W 20030515

OTHER SOURCE(S): MARPAT 139:63316

IT 165251-89-8 165251-89-8D, prodrug derivs.

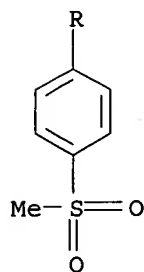
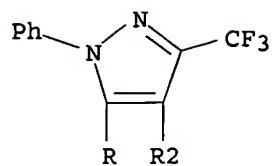
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(heteroaryl indolinone-cyclooxygenase 2 inhibitor combination for treatment of neoplasia)

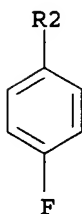
RN 165251-89-8 CAPLUS

CN 1H-Pyrazole, 4-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-1-phenyl-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



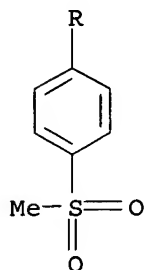
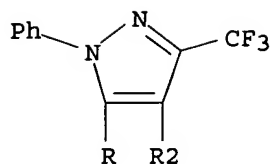
PAGE 2-A



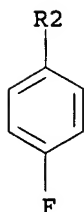
RN 165251-89-8 CAPLUS  
 CN 1H-Pyrazole, 4-(4-fluorophenyl)-5-[4-(methanesulfonyl)phenyl]-1-phenyl-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



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AB The invention provides methods and compns. useful for treatment or prevention of neoplasia by administering a combination comprising a 3-heteroaryl-2-indolinone compound (preparation included) and a COX-2 selective inhibitor. Further provided are compns., pharmaceutical compns., and kits for treatment and prevention of neoplasia.

L4 ANSWER 22 OF 62 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:154262 CAPLUS

DOCUMENT NUMBER: 138:198610

TITLE: Compositions for the treatment and prevention of pain and inflammation with a cyclooxygenase-2 selective inhibitor and chondroitin sulfate

INVENTOR(S): Pulaski, Steven P.; Kundel, Susan

PATENT ASSIGNEE(S): Pharmacia Corporation, USA

SOURCE: PCT Int. Appl., 148 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003015799	A1	20030227	WO 2002-US25673	20020813

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2003114416 A1 20030619 US 2002-215539 20020809

CA 2457452 AA 20030227 CA 2002-2457452 20020813

EP 1416941 A1 20040512 EP 2002-773188 20020813

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK

BR 2002011977 A 20040921 BR 2002-11977 20020813

JP 2005501850 T2 20050120 JP 2003-520758 20020813

PRIORITY APPLN. INFO.: US 2001-312211P P 20010814

US 2002-215539 A 20020809

WO 2002-US25673 W 20020813

OTHER SOURCE(S): MARPAT 138:198610

IT 165252-03-9 165252-03-9D, prodrug derivs.

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

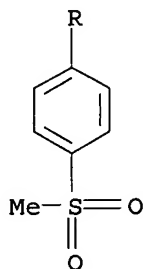
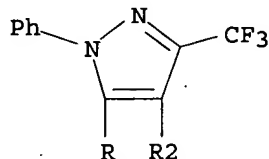
(Biological study); USES (Uses)

(cyclooxygenase 2 inhibitor and chondroitin sulfate for treatment and prevention of pain and inflammation)

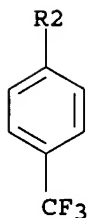
RN 165252-03-9 CAPLUS

CN 1H-Pyrazole, 5-[4-(methylsulfonyl)phenyl]-1-phenyl-3-(trifluoromethyl)-4-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

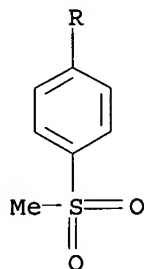
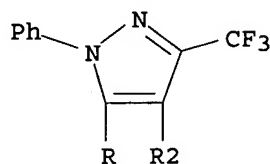


PAGE 2-A

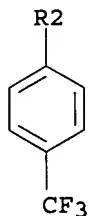


RN 165252-03-9 CAPLUS  
 CN 1H-Pyrazole, 5-[4-(methylsulfonyl)phenyl]-1-phenyl-3-(trifluoromethyl)-4-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



AB A method of treating, preventing, or inhibiting pain, inflammation, or inflammation-associated disorder in a subject in need of such treatment or prevention includes treating the subject with chondroitin sulfate and a cyclooxygenase-2 selective inhibitor, or a prodrug thereof, wherein the amount of chondroitin sulfate and the amount of a cyclooxygenase-2 selective inhibitor or a pharmaceutically acceptable salt or prodrug thereof together constitute a pain- or inflammation-suppressing treatment or prevention effective amount. Glucosamine can optionally be present. Compns.

that contain the combination of chondroitin sulfate and cyclooxygenase-2 selective inhibitor and, optionally, the glucosamine, are disclosed, as are pharmaceutical compns.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 23 OF 62 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:154260 CAPLUS

DOCUMENT NUMBER: 138:198609

TITLE: Compositions for the treatment and prevention of pain and inflammation with a cyclooxygenase-2 selective inhibitor and glucosamine

INVENTOR(S): Pulaski, Steven P.; Kundel, Susan

PATENT ASSIGNEE(S): Pharmacia Corporation, USA

SOURCE: PCT Int. Appl., 145 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003015797	A1	20030227	WO 2002-US25674	20020813
WO 2003015797	C1	20041229		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 2003114418	A1	20030619	US 2002-215816	20020809
CA 2457453	AA	20030227	CA 2002-2457453	20020813
EP 1416940	A1	20040512	EP 2002-768522	20020813
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK			
BR 2002011936	A	20041026	BR 2002-11936	20020813
JP 2005507871	T2	20050324	JP 2003-520756	20020813
PRIORITY APPLN. INFO.:			US 2001-312272P	P 20010814
			US 2002-215216	A 20020809
			US 2002-215816	A 20020809
			WO 2002-US25674	W 20020813

OTHER SOURCE(S): MARPAT 138:198609

IT 165252-03-9

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

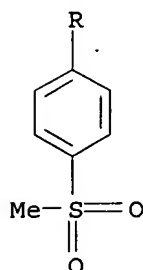
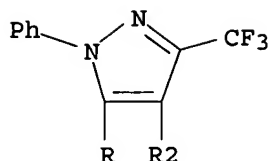
(Biological study); USES (Uses)

(treatment and prevention of pain and inflammation with formulations containing cyclooxygenase-2 selective inhibitors and glucosamine)

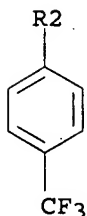
RN 165252-03-9 CAPLUS

CN 1H-Pyrazole, 5-[4-(methylsulfonyl)phenyl]-1-phenyl-3-(trifluoromethyl)-4-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



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AB A method of treating, preventing, or inhibiting pain, inflammation or inflammation-associated disorder in a subject in need of such treatment or prevention provides for treating the subject with glucosamine and a cyclooxygenase-2 selective inhibitor or prodrug thereof, wherein the amount of glucosamine and the amount of a cyclooxygenase-2 selective inhibitor or prodrug thereof together constitute a pain or inflammation suppressing treatment or prevention effective amount of the composition. Comps. and pharmaceutical comps. that contain glucosamine and a cyclooxygenase-2 selective inhibitor are also disclosed.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 24 OF 62 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:43025 CAPLUS

DOCUMENT NUMBER: 138:83362

TITLE: Methods of using a combination of cyclooxygenase-2 selective inhibitors and thalidomide for the treatment of neoplasia

INVENTOR(S): Masferrer, Jaime L.

PATENT ASSIGNEE(S): Pharmacia Corporation, USA

SOURCE: U.S. Pat. Appl. Publ., 41 pp., Cont.-in-part of U. S. Ser. No. 470,951.

CODEN: USXXCO

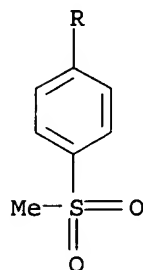
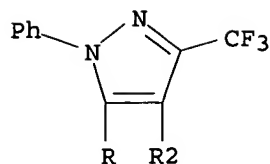
DOCUMENT TYPE: Patent

10/764,529

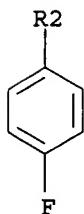
LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 21  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003013739	A1	20030116	US 2002-135793	20020430
EP 1522313	A1	20050413	EP 2004-26577	19991222
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, RO, CY				
CA 2483785	AA	20031113	CA 2003-2483785	20030425
WO 2003092691	A1	20031113	WO 2003-US13080	20030425
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
BR 2003004648	A	20040803	BR 2003-4648	20030425
EP 1499315	A1	20050126	EP 2003-728569	20030425
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
ZA 2003009905	A	20050314	ZA 2003-9905	20031222
PRIORITY APPLN. INFO.:				
			US 1998-113786P	P 19981223
			US 1999-470951	A2 19991222
			US 1999-385214	A 19990827
			EP 1999-968939	A3 19991222
			US 2002-135793	A 20020430
			WO 2003-US13080	W 20030425
OTHER SOURCE(S): MARPAT 138:83362				
IT 165251-89-8				
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)				
(cyclooxygenase-2 inhibitor; combination of cyclooxygenase-2 selective inhibitors and thalidomide for treatment of neoplasia)				
RN 165251-89-8 CAPLUS				
CN 1H-Pyrazole, 4-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-1-phenyl-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)				

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AB The present invention provides compns. and methods for the treatment, prevention or inhibition of neoplasia by administering an effective amount of a cyclooxygenase-2 selective inhibitor in combination with an effective amount of thalidomide.

L4 ANSWER 25 OF 62 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:942791 CAPLUS

DOCUMENT NUMBER: 138:14058

TITLE: Preparation of pyrazolylbenzenesulfonamides as cyclooxygenase inhibitors for treatment of inflammation.

INVENTOR(S): Talley, John J.; Penning, Thomas D.; Collins, Paul W.; Rogier, Donald J., Jr.; Malecha, James W.; Miyashiro, Julie M.; Bertenshaw, Stephen R.; Khanna, Ish K.; Graneto, Matthew J.; Rogers, Roland S.; Carter, Jeffery S.; Docter, Stephen H.; Yu, Stella S.

PATENT ASSIGNEE(S): G.D. Searle and Co., USA

SOURCE: U.S., 55 pp., Cont.-in-part of U.S. 6,413,960.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6492411	B1	20021210	US 2002-125325	20020417
US 5466823	A	19951114	US 1993-160594	19931130
US 5521207	A	19960528	US 1994-223629	19940406
WO 9515316	A1	19950608	WO 1994-US12720	19941114
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, US				
RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5760068	A	19980602	US 1996-648113	19960906
US 6156781	A	20001205	US 1999-449076	19991124
US 6413960	B1	20020702	US 2000-609011	20000530
US 6586603	B1	20030701	US 2002-274679	20021021
US 6716991	B1	20040406	US 2003-378781	20030304
US 2004192930	A1	20040930	US 2003-700019	20031103
US 2005131050	A1	20050616	US 2005-48037	20050131

## PRIORITY APPLN. INFO.:

US 1993-160594	A2	19931130
US 1994-223629	A1	19940406
WO 1994-US12720	A1	19941114
US 1996-648113	A1	19960906
US 1997-957345	B1	19971024
US 1999-449076	A1	19991124
US 2000-609011	A2	20000530
US 2002-125325	A1	20020417
US 2002-274679	A1	20021021
US 2003-378781	A1	20030304
US 2003-700019	A3	20031103

OTHER SOURCE(S): MARPAT 138:14058

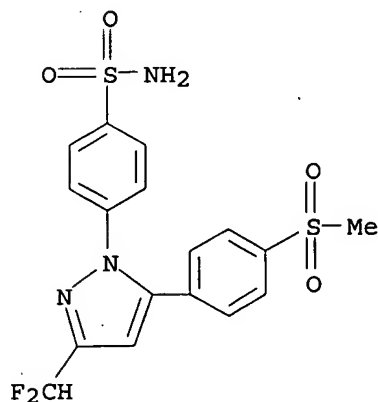
IT 170570-43-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazolylbenzenesulfonamides as cyclooxygenase inhibitors for treatment of inflammation)

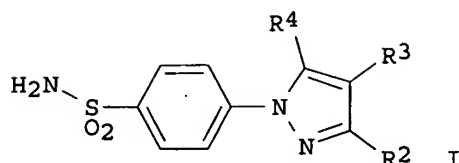
RN 170570-43-1 CAPLUS

CN Benzenesulfonamide, 4-[3-(difluoromethyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



GI





AB A method for the treatment of headache comprises administration of an asthma treating-effective amount of title compds. [I; R2 = H, alkyl, haloalkyl, alkoxy carbonyl, cyano, cyanoalkyl, CO2H, aminocarbonyl, alkylaminocarbonyl, cycloalkylaminocarbonyl, arylaminocarbonyl, carboxyalkylaminocarbonyl, carboxyalkyl, aralkoxy carbonylalkylaminocarbonyl, aminocarbonylalkyl, alkoxy carbonylcyanoalkenyl hydroxyalkyl; R3 = H, alkyl, cyano, hydroxyalkyl, cycloalkyl, alkylsulfonyl, halo; R4 = aralkenyl, aryl, cycloalkyl, cycloalkenyl heterocyclic; R4 is optionally substituted with  $\geq 1$  of alkylthio, alkylsulfonyl, cyano, nitro, haloalkyl, alkyl, OH, alkenyl, hydroxyalkyl, CO2H, cycloalkyl, alkylamino, dialkylamino, alkoxy carbonyl, aminocarbonyl, alkoxy, haloalkoxy, sulfamyl, heterocyclyl, amino; provided R2 and R3 are not both H; further provided that R2  $\neq$  CO2H or Me when R3 = H and when R4 = Ph; further provided that R4  $\neq$  triazolyl when R2 = Me; further provided that R4  $\neq$  aralkenyl when R2 = carboxyl, aminocarbonyl, ethoxy carbonyl; further provided that R4  $\neq$  Ph when R2 = Me and R3 = CO2H; and further provided that R4  $\neq$  unsubstituted thienyl when R2 = CF3], is claimed. Thus, 4,4,4-trifluoro-1-[4-(chloro)phenyl]butane-1,3-dione (preparation given) 4-sulfonamidophenylhydrazine hydrochloride were refluxed 20 h in EtOH to give 4-[5-(4-chlorophenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]benzenesulfonamide. The latter at 10 mg/kg gave 44% inhibition in the rat paw edema test.

REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 26 OF 62 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:927290 CAPLUS

DOCUMENT NUMBER: 138:11413

TITLE: Use of cyclooxygenase-2 selective inhibitors and radiation for inhibition or prevention of cardiovascular disease

INVENTOR(S): Keller, Patricia G.

PATENT ASSIGNEE(S): Pharmacia Corporation, USA

SOURCE: PCT Int. Appl., 160 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

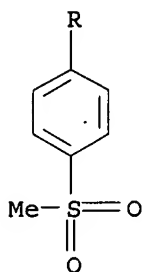
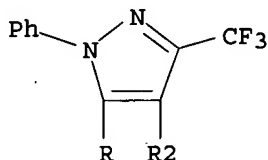
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002096516	A1	20021205	WO 2002-US17552	20020529
WO 2002096516	C1	20030220		

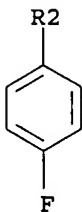
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,

UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,  
 TJ, TM  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,  
 CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,  
 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
 CA 2447657 AA 20021205 CA 2002-2447657 20020529  
 EP 1406696 A1 20040414 EP 2002-739651 20020529  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR  
 BR 2002009776 A 20040713 BR 2002-9776 20020529  
 JP 2004536073 T2 20041202 JP 2002-593022 20020529  
 ZA 2003008822 A 20050214 ZA 2003-8822 20031112  
 PRIORITY APPLN. INFO.: US 2001-294077P P 20010529  
 WO 2002-US17552 W 20020529  
 OTHER SOURCE(S): MARPAT 138:11413  
 IT 165251-89-8  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
 (Biological study); USES (Uses)  
 (cyclooxygenase-2 selective inhibitors and radiation for inhibition or  
 prevention of cardiovascular disease)  
 RN 165251-89-8 CAPLUS  
 CN 1H-Pyrazole, 4-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-1-phenyl-3-  
 (trifluoromethyl)- (9CI) (CA INDEX NAME)

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10/764,529

AB The invention discusses the use of cyclooxygenase-2 selective inhibitor with a dose of radiation for the prevention or inhibition of cardiovascular disease.

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 27 OF 62 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:856309 CAPLUS

DOCUMENT NUMBER: 139:17018

TITLE: Enantioseparation of novel COX-2 anti-inflammatory drugs by capillary electrophoresis

AUTHOR(S): Perez-Maseda, C.; Calvet, C.; Cuberes, R.; Frigola, J.

CORPORATE SOURCE: Medicinal Chemistry Department, Laboratorios Dr. Esteve S.A., Barcelona, E-08041, Spain

SOURCE: Bioforum International (2002), 6(5), 275-277

CODEN: BINTFQ; ISSN: 1434-2693

PUBLISHER: GIT Verlag GmbH & Co. KG

DOCUMENT TYPE: Journal

LANGUAGE: English

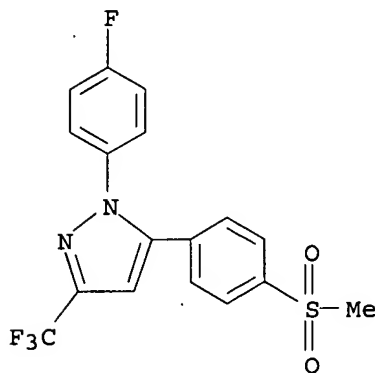
IT 134729-22-9P, E 6302 475590-76-2P, E 6612

RL: ANT (Analyte); PUR (Purification or recovery); ANST (Analytical study); PREP (Preparation)

(enantiosepn. of novel COX-2 anti-inflammatory drugs by capillary electrophoresis)

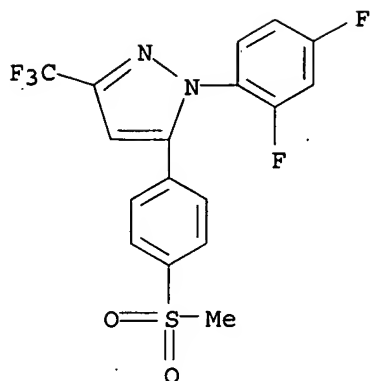
RN 134729-22-9 CAPLUS

CN 1H-Pyrazole, 1-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 475590-76-2 CAPLUS

CN 1H-Pyrazole, 1-(2,4-difluorophenyl)-5-[4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



AB A capillary electrophoresis (CE) method was developed for the enantiosepn. of three novel COX-2 inhibitor drugs (E-6259, E-6036 and E-6087) with anti-inflammatory and analgesic activities using sulfobutylether- $\beta$ -cyclodextrin (SBE- $\beta$ -CD) as a chiral selector. The use of 50 mM sodium tetraborate at pH 9.2, 7.1 mM SBE- $\beta$ -CD and 30 % MeOH (volume/volume), as a background electrolyte (BGE), allowed the complete enantiosepn. of the three neutral racemates and their corresponding metabolites in a single run. Migration times were shortened by adding 1.75 mM dimethyl- $\beta$ -cyclodextrin (DM- $\beta$ -CD) to the previous BGE (dual CD system). The reversal of the migration order of E-6259 enantiomers in the dual CD system was also studied.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ACCESSION NUMBER: 2002:811992 CAPLUS

DOCUMENT NUMBER: 137:310913

TITLE: Preparation of fluoro-substituted benzenesulfonyl pyrazoles and isoxazoles for the treatment of cyclooxygenase-2 mediated disorders such as inflammation

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PATENT ASSIGNEE(S): Pharmacia Corporation, USA

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EP 1251126	A3	20021030		
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US 2003032657	A1	20030213	US 2002-124209	20020416
US 6673818	B2	20040106		
US 2003149078	A1	20030807	US 2002-319916	20021213
US 6699884	B2	20040302		
US 2004138261	A1	20040715	US 2003-734829	20031212
PRIORITY APPLN. INFO.:			US 2001-285264P	P 20010420

US 2002-124209

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OTHER SOURCE(S):

MARPAT 137:310913

IT 473299-26-2P, 5-[3,5-Difluoro-4-(methylsulfonyl)phenyl]-1-(4-fluorophenyl)-3-(trifluoromethyl)-1H-pyrazole 473299-31-9P, 1-(3-Chloro-4-methylphenyl)-3-(difluoromethyl)-5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-1H-pyrazole 473299-32-0P, 5-[3,5-Difluoro-4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)-1-[3-(trifluoromethyl)phenyl]-1H-pyrazole 473299-33-1P, 5-[3,5-Difluoro-4-(methylsulfonyl)phenyl]-1-[4-(trifluoromethoxy)phenyl]-3-(trifluoromethyl)-1H-pyrazole 473299-35-3P, 1-(3-Chloro-4-methylphenyl)-5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)-1H-pyrazole 473299-36-4P, 1-(4-Chlorophenyl)-5-[2,5-difluoro-4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)-1H-pyrazole 473299-37-5P, 5-[2,5-Difluoro-4-(methylsulfonyl)phenyl]-1-(4-methoxyphenyl)-3-(trifluoromethyl)-1H-pyrazole 473299-39-7P, 5-[3,5-Difluoro-4-(methylsulfonyl)phenyl]-1-(4-methoxyphenyl)-3-(trifluoromethyl)-1H-pyrazole 473299-40-0P, 5-[3,5-Difluoro-4-(methylsulfonyl)phenyl]-1-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazole 473299-42-2P, 5-[2,5-Difluoro-4-(methylsulfonyl)phenyl]-1-[4-(trifluoromethoxy)phenyl]-3-(trifluoromethyl)-1H-pyrazole 473300-45-7P, 1-Phenyl-5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)-1H-pyrazole 473300-46-8P, 1-(3-Chlorophenyl)-5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)-1H-pyrazole 473300-47-9P, 1-(4-Chlorophenyl)-5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)-1H-pyrazole 473300-48-0P, 1-(3-Bromophenyl)-5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)-1H-pyrazole 473300-49-1P, 1-(4-Bromophenyl)-5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)-1H-pyrazole 473300-50-4P, 1-(3-Fluorophenyl)-5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)-1H-pyrazole 473300-51-5P, 1-(3-Methylphenyl)-5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)-1H-pyrazole 473300-52-6P, 1-(3-Cyanophenyl)-5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)-1H-pyrazole 473300-53-7P, 1-(4-Cyanophenyl)-5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)-1H-pyrazole 473300-54-8P, 1-(4-Trifluoromethylphenyl)-5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)-1H-pyrazole 473300-55-9P, 1-(3-Trifluoromethoxyphenyl)-5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)-1H-pyrazole 473300-56-0P, 1-(3,4-Dichlorophenyl)-5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)-1H-pyrazole 473300-57-1P, 1-(3,4-Dibromophenyl)-5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)-1H-pyrazole 473300-58-2P\* \*\* , 1-(3,4-Difluorophenyl)-5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)-1H-pyrazole \*\*\*473300-59-3P, 1-(3,5-Dichlorophenyl)-5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)-1H-pyrazole 473300-60-6P, 1-(3,5-Dibromophenyl)-5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)-1H-pyrazole 473300-61-7P, 1-(3,5-Difluorophenyl)-5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)-1H-pyrazole 473300-62-8P, 1-(3,4-Dimethylphenyl)-5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)-1H-pyrazole 473300-63-9P, 1-(3,5-Dimethylphenyl)-5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)-1H-pyrazole 473300-64-0P, 1-(3-Methyl-4-chlorophenyl)-5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)-1H-pyrazole 473300-65-1P, 1-(3-Methyl-4-

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 473303-82-1P, 1-(3-Methyl-4-fluorophenyl)-5-[3,6-difluoro-4-(methylsulfonyl)phenyl]-3-(difluoromethyl)-1H-pyrazole  
 473303-83-2P, 1-(4-Methyl-3-fluorophenyl)-5-[3,6-difluoro-4-(methylsulfonyl)phenyl]-3-(difluoromethyl)-1H-pyrazole  
 473303-84-3P, 1-(3-Methyl-4-bromophenyl)-5-[3,6-difluoro-4-(methylsulfonyl)phenyl]-3-(difluoromethyl)-1H-pyrazole  
 473303-85-4P, 1-(4-Methyl-3-bromophenyl)-5-[3,6-difluoro-4-(methylsulfonyl)phenyl]-3-(difluoromethyl)-1H-pyrazole  
 473303-86-5P, 1-(3-Methyl-4-trifluoromethylphenyl)-5-[3,6-difluoro-4-(methylsulfonyl)phenyl]-3-(difluoromethyl)-1H-pyrazole  
 473303-87-6P

, 1-(4-Methyl-3-trifluoromethylphenyl)-5-[3,6-difluoro-4-(methylsulfonyl)phenyl]-3-(difluoromethyl)-1H-pyrazole  
 473303-88-7P, 1-(3-Methyl-4-trifluoromethoxyphenyl)-5-[3,6-difluoro-4-(methylsulfonyl)phenyl]-3-(difluoromethyl)-1H-pyrazole  
 473303-89-8P, 1-(4-Methyl-3-trifluoromethoxyphenyl)-5-[3,6-difluoro-4-(methylsulfonyl)phenyl]-3-(difluoromethyl)-1H-pyrazole  
 473303-90-1P, 1-(3-Cyano-4-methylphenyl)-5-[3,6-difluoro-4-(methylsulfonyl)phenyl]-3-(difluoromethyl)-1H-pyrazole  
 473303-91-2P, 1-(4-Cyano-3-methylphenyl)-5-[3,6-difluoro-4-(methylsulfonyl)phenyl]-3-(difluoromethyl)-1H-pyrazole  
 473303-92-3P, 1-(3-Chloro-4-methoxyphenyl)-5-[3,6-difluoro-4-(methylsulfonyl)phenyl]-3-(difluoromethyl)-1H-pyrazole  
 473303-93-4P, 1-(4-Chloro-3-methoxyphenyl)-5-[3,6-difluoro-4-(methylsulfonyl)phenyl]-3-(difluoromethyl)-1H-pyrazole

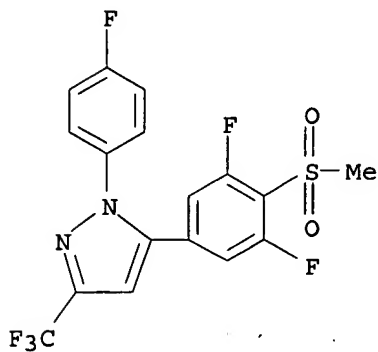
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

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(drug candidate; preparation of fluoro-substituted benzenesulfonyl pyrazoles and isoxazoles for treatment of cyclooxygenase-2 mediated disorders such as inflammation)

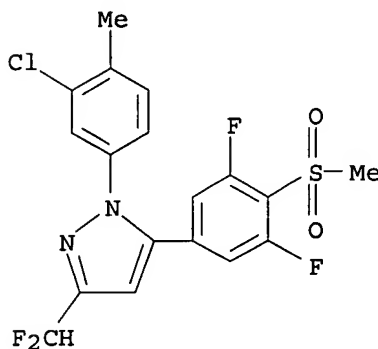
RN 473299-26-2 CAPLUS

CN 1H-Pyrazole, 5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-1-(4-fluorophenyl)-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



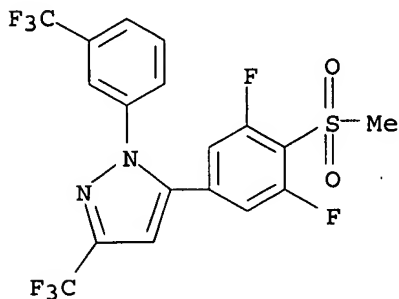
RN 473299-31-9 CAPLUS

CN 1H-Pyrazole, 1-(3-chloro-4-methylphenyl)-3-(difluoromethyl)-5-[3,5-difluoro-4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 473299-32-0 CAPLUS

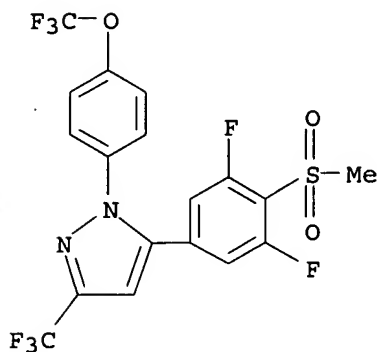
CN 1H-Pyrazole, 5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)-1-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 473299-33-1 CAPLUS

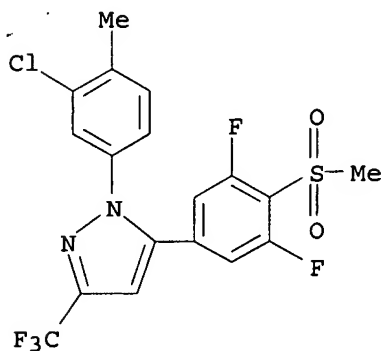
10/764,529

CN 1H-Pyrazole, 5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-1-[4-(trifluoromethoxy)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



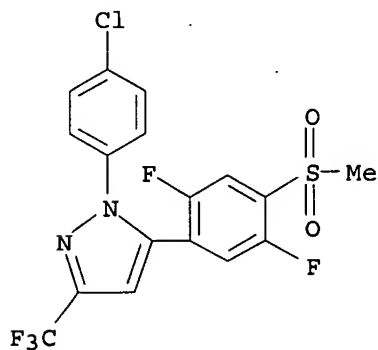
RN 473299-35-3 CAPLUS

CN 1H-Pyrazole, 1-(3-chloro-4-methylphenyl)-5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 473299-36-4 CAPLUS

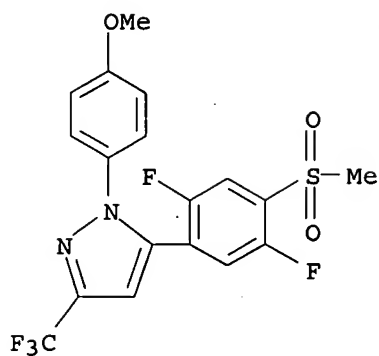
CN 1H-Pyrazole, 1-(4-chlorophenyl)-5-[2,5-difluoro-4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 473299-37-5 CAPLUS

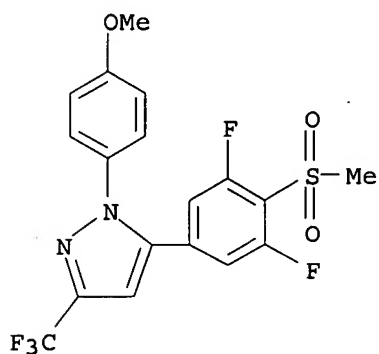
CN 1H-Pyrazole, 5-[2,5-difluoro-4-(methylsulfonyl)phenyl]-1-(4-methoxyphenyl)-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

10/764,529



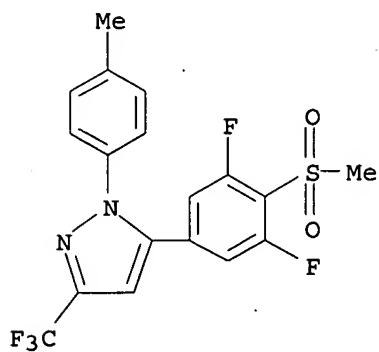
RN 473299-39-7 CAPLUS

CN 1H-Pyrazole, 5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-1-(4-methoxyphenyl)-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 473299-40-0 CAPLUS

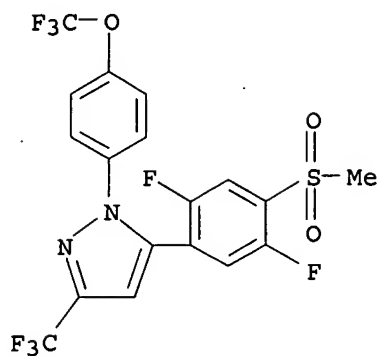
CN 1H-Pyrazole, 5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-1-(4-methylphenyl)-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 473299-42-2 CAPLUS

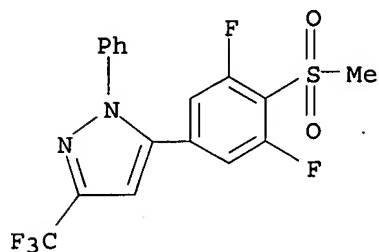
CN 1H-Pyrazole, 5-[2,5-difluoro-4-(methylsulfonyl)phenyl]-1-[4-(trifluoromethoxy)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

10/764,529



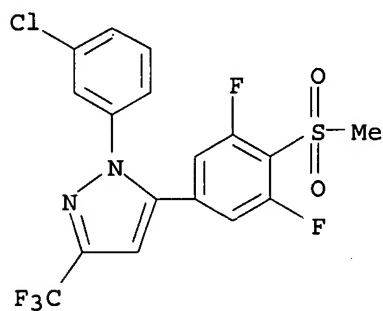
RN 473300-45-7 CAPLUS

CN 1H-Pyrazole, 5-[3,5-difluoro-4-(methanesulfonyl)phenyl]-1-phenyl-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 473300-46-8 CAPLUS

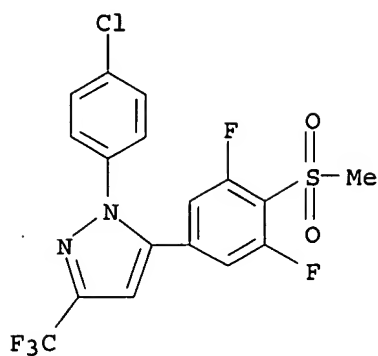
CN 1H-Pyrazole, 1-(3-chlorophenyl)-5-[3,5-difluoro-4-(methanesulfonyl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 473300-47-9 CAPLUS

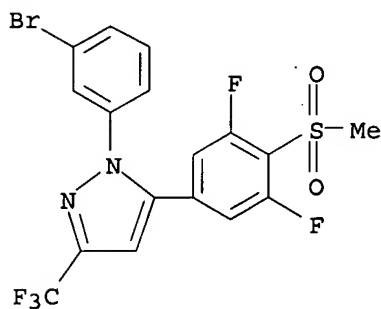
CN 1H-Pyrazole, 1-(4-chlorophenyl)-5-[3,5-difluoro-4-(methanesulfonyl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

10/764,529



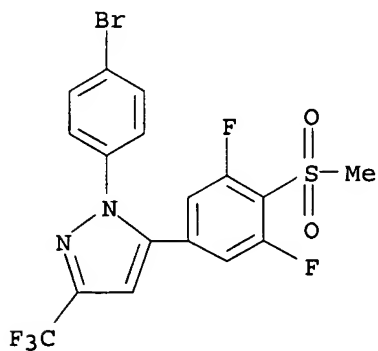
RN 473300-48-0 CAPLUS

CN 1H-Pyrazole, 1-(3-bromophenyl)-5-[3,5-difluoro-4-(methanesulfonyl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 473300-49-1 CAPLUS

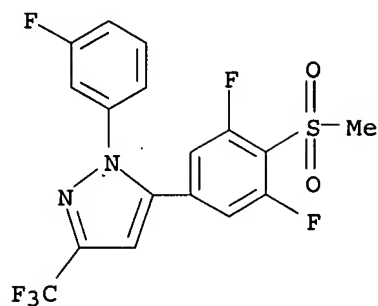
CN 1H-Pyrazole, 1-(4-bromophenyl)-5-[3,5-difluoro-4-(methanesulfonyl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 473300-50-4 CAPLUS

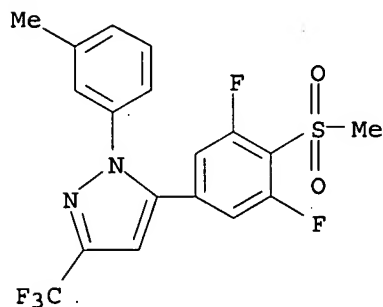
CN 1H-Pyrazole, 5-[3,5-difluoro-4-(methanesulfonyl)phenyl]-1-(3-fluorophenyl)-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

10/764,529



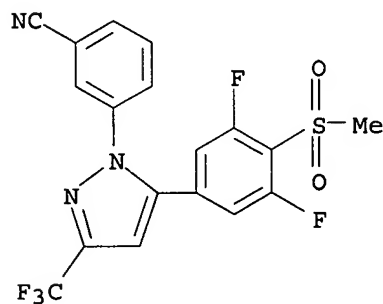
RN 473300-51-5 CAPLUS

CN 1H-Pyrazole, 5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-1-(3-methylphenyl)-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 473300-52-6 CAPLUS

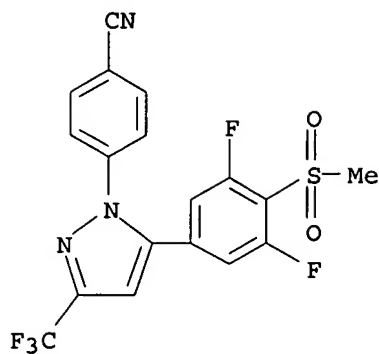
CN Benzonitrile, 3-[5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



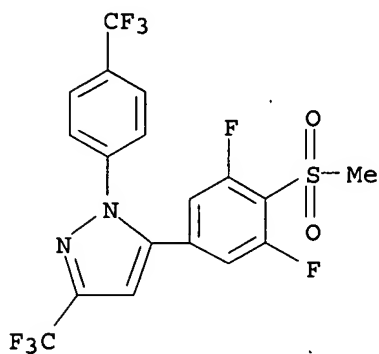
RN 473300-53-7 CAPLUS

CN Benzonitrile, 4-[5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

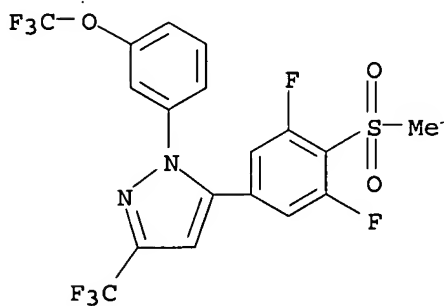
10/764,529



RN 473300-54-8 CAPLUS  
CN 1H-Pyrazole, 5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)-  
1-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



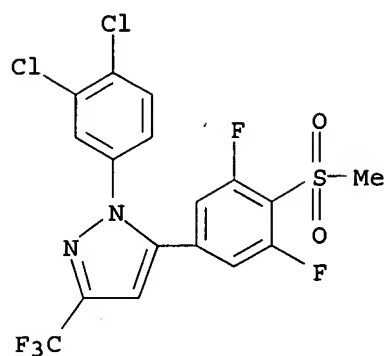
RN 473300-55-9 CAPLUS  
CN 1H-Pyrazole, 5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-1-[3-(  
(trifluoromethoxy)phenyl)-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 473300-56-0 CAPLUS  
CN 1H-Pyrazole, 1-(3,4-dichlorophenyl)-5-[3,5-difluoro-4-(  
(methylsulfonyl)phenyl)-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

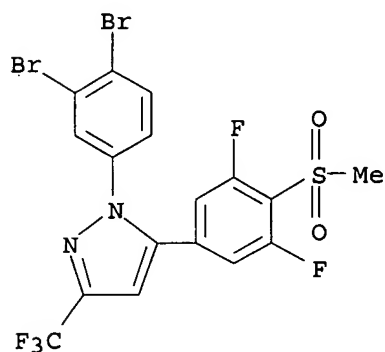


10/764,529



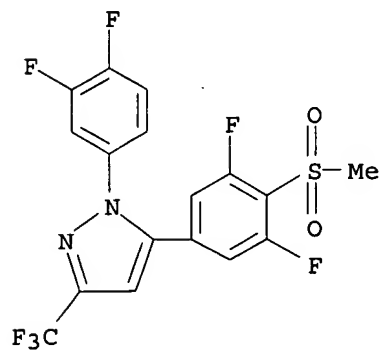
RN 473300-57-1 CAPLUS

CN 1H-Pyrazole, 1-(3,4-dibromophenyl)-5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 473300-58-2 CAPLUS

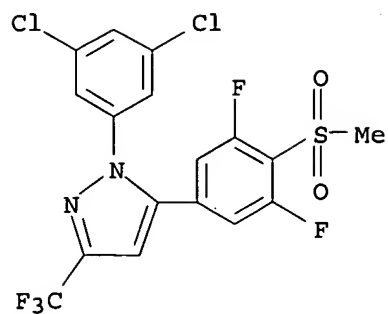
CN 1H-Pyrazole, 5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-1-(3,4-difluorophenyl)-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 473300-59-3 CAPLUS

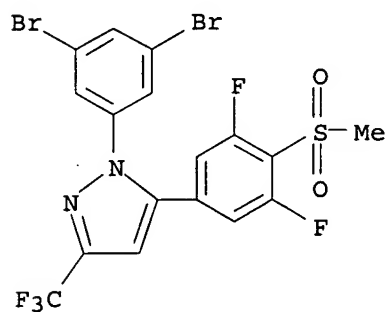
CN 1H-Pyrazole, 1-(3,5-dichlorophenyl)-5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

10/764,529



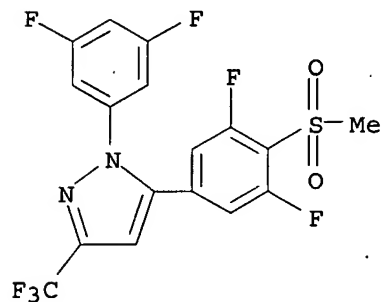
RN 473300-60-6 CAPLUS

CN 1H-Pyrazole, 1-(3,5-dibromophenyl)-5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 473300-61-7 CAPLUS

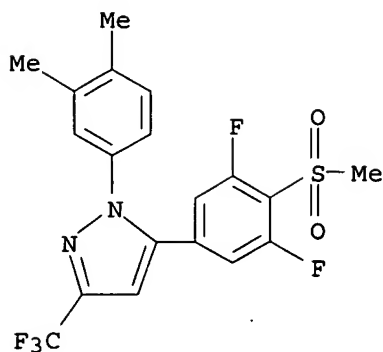
CN 1H-Pyrazole, 5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-1-(3,5-difluorophenyl)-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 473300-62-8 CAPLUS

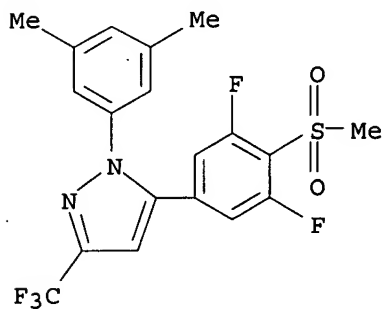
CN 1H-Pyrazole, 5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-1-(3,4-dimethylphenyl)-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

10/764,529



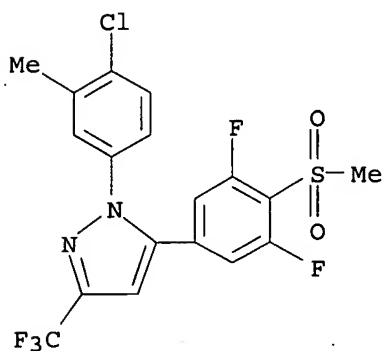
RN 473300-63-9 CAPLUS

CN 1H-Pyrazole, 5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-1-(3,5-dimethylphenyl)-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 473300-64-0 CAPLUS

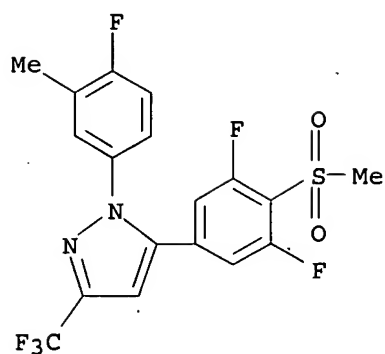
CN 1H-Pyrazole, 1-(4-chloro-3-methylphenyl)-5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 473300-65-1 CAPLUS

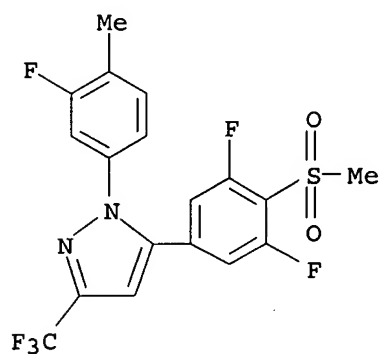
CN 1H-Pyrazole, 5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-1-(4-fluoro-3-methylphenyl)-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

10/764,529



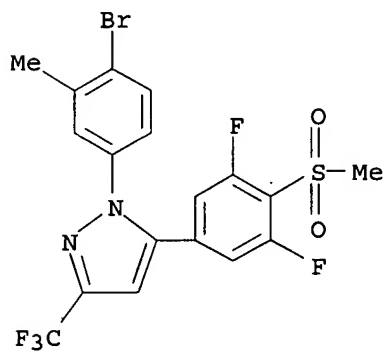
RN 473300-66-2 CAPLUS

CN 1H-Pyrazole, 5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-1-(3-fluoro-4-methylphenyl)-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 473300-67-3 CAPLUS

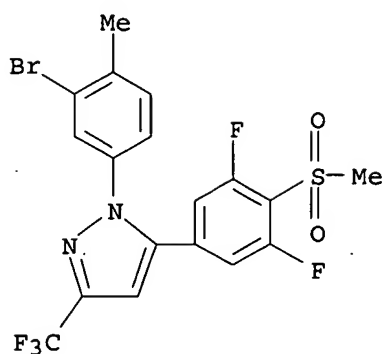
CN 1H-Pyrazole, 1-(4-bromo-3-methylphenyl)-5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 473300-68-4 CAPLUS

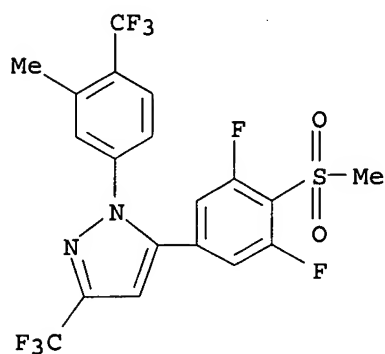
CN 1H-Pyrazole, 1-(3-bromo-4-methylphenyl)-5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

10/764,529



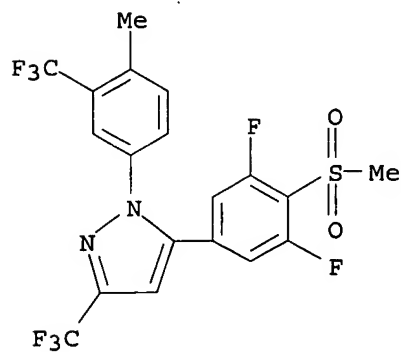
RN 473300-69-5 CAPLUS

CN 1H-Pyrazole, 5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-1-[3-methyl-4-(trifluoromethyl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 473300-70-8 CAPLUS

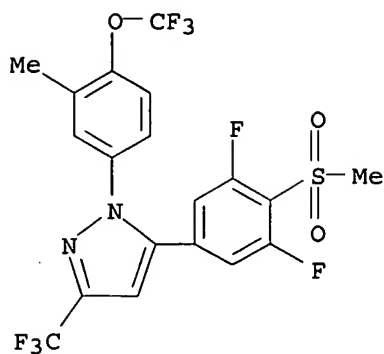
CN 1H-Pyrazole, 5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-1-[4-methyl-3-(trifluoromethyl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 473300-71-9 CAPLUS

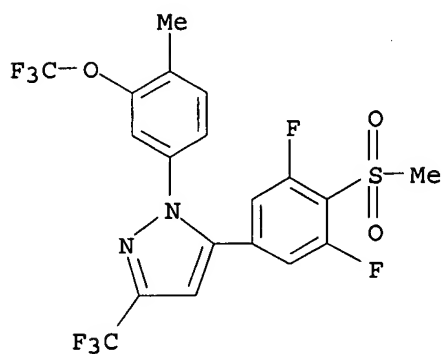
CN 1H-Pyrazole, 5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-1-[3-methyl-4-(trifluoromethoxy)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

10/764,529



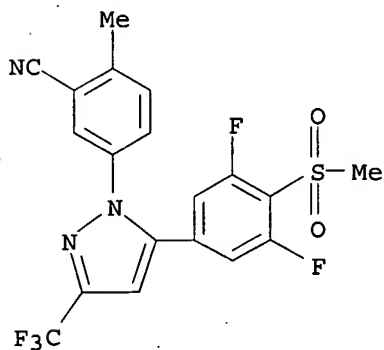
RN 473300-72-0 CAPLUS

CN 1H-Pyrazole, 5-[3,5-difluoro-4-(methanesulfonyl)phenyl]-1-[4-methyl-3-(trifluoromethoxy)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 473300-73-1 CAPLUS

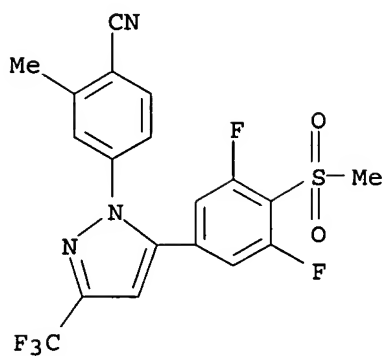
CN Benzonitrile, 5-[5-[3,5-difluoro-4-(methanesulfonyl)phenyl]-3-(trifluoromethyl)-1H-pyrazol-1-yl]-2-methyl- (9CI) (CA INDEX NAME)



RN 473300-74-2 CAPLUS

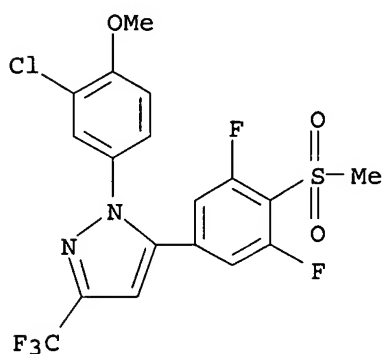
CN Benzonitrile, 4-[5-[3,5-difluoro-4-(methanesulfonyl)phenyl]-3-(trifluoromethyl)-1H-pyrazol-1-yl]-2-methyl- (9CI) (CA INDEX NAME)

10/764,529



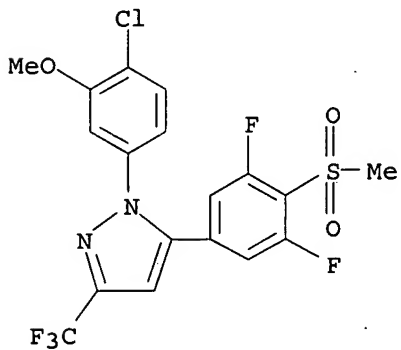
RN 473300-75-3 CAPLUS

CN 1H-Pyrazole, 1-(3-chloro-4-methoxyphenyl)-5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 473300-76-4 CAPLUS

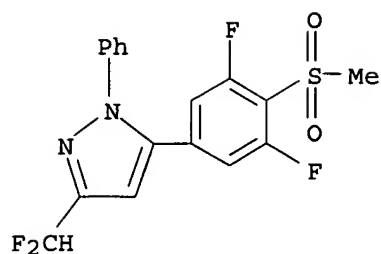
CN 1H-Pyrazole, 1-(4-chloro-3-methoxyphenyl)-5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 473300-85-5 CAPLUS

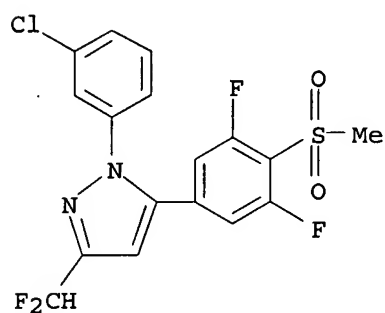
CN 1H-Pyrazole, 3-(difluoromethyl)-5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-1-phenyl- (9CI) (CA INDEX NAME)

10/764,529



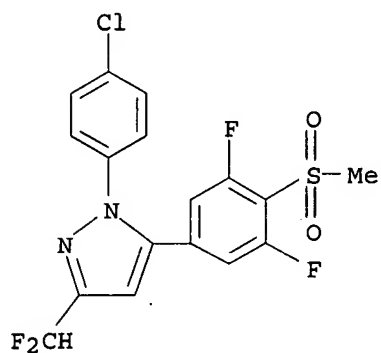
RN 473300-86-6 CAPLUS

CN 1H-Pyrazole, 1-(3-chlorophenyl)-3-(difluoromethyl)-5-[3,5-difluoro-4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 473300-87-7 CAPLUS

CN 1H-Pyrazole, 1-(4-chlorophenyl)-3-(difluoromethyl)-5-[3,5-difluoro-4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

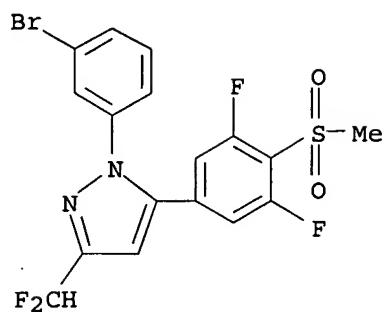


RN 473300-88-8 CAPLUS

CN 1H-Pyrazole, 1-(3-bromophenyl)-3-(difluoromethyl)-5-[3,5-difluoro-4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

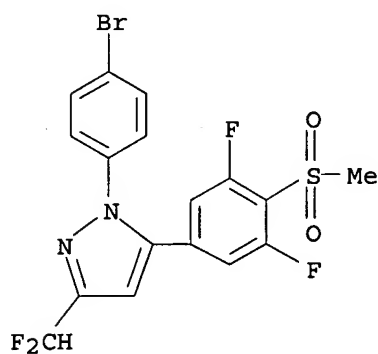


10/764,529



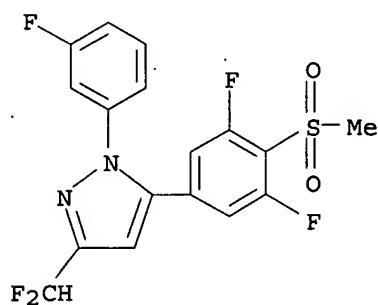
RN 473300-89-9 CAPLUS

CN 1H-Pyrazole, 1-(4-bromophenyl)-3-(difluoromethyl)-5-[3,5-difluoro-4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 473300-90-2 CAPLUS

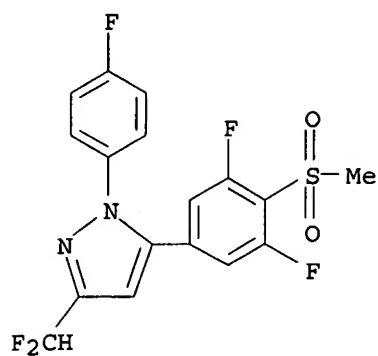
CN 1H-Pyrazole, 3-(difluoromethyl)-5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-1-(3-fluorophenyl)- (9CI) (CA INDEX NAME)



RN 473300-91-3 CAPLUS

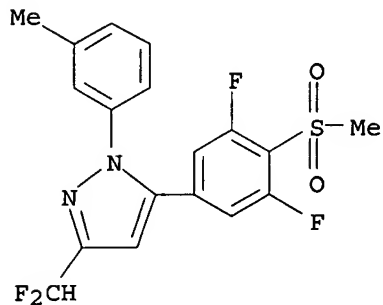
CN 1H-Pyrazole, 3-(difluoromethyl)-5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-1-(4-fluorophenyl)- (9CI) (CA INDEX NAME)

10/764,529



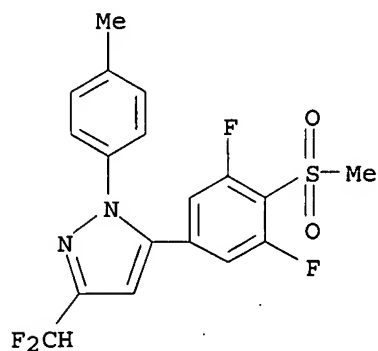
RN 473300-92-4 CAPLUS

CN 1H-Pyrazole, 3-(difluoromethyl)-5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-  
1-(3-methylphenyl)- (9CI) (CA INDEX NAME)



RN 473300-93-5 CAPLUS

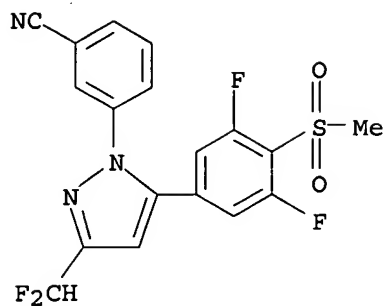
CN 1H-Pyrazole, 3-(difluoromethyl)-5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-  
1-(4-methylphenyl)- (9CI) (CA INDEX NAME)



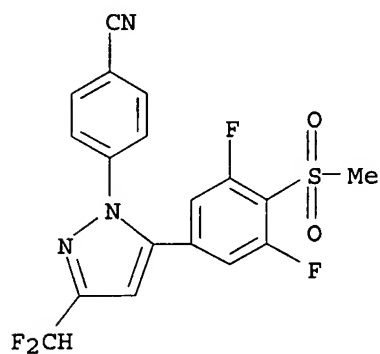
RN 473300-94-6 CAPLUS

CN Benzonitrile, 3-[3-(difluoromethyl)-5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

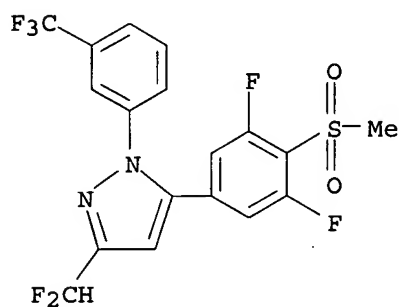
10/764,529



RN 473300-95-7 CAPLUS  
CN Benzonitrile, 4-[3-(difluoromethyl)-5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

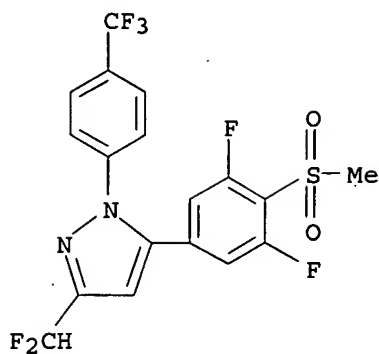


RN 473300-96-8 CAPLUS  
CN 1H-Pyrazole, 3-(difluoromethyl)-5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-1-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



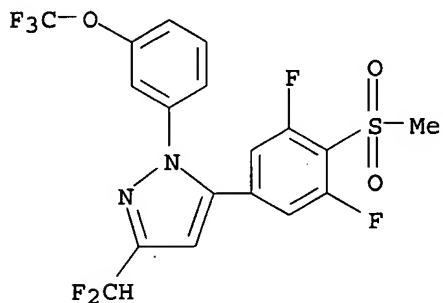
RN 473300-97-9 CAPLUS  
CN 1H-Pyrazole, 3-(difluoromethyl)-5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-1-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

10/764,529



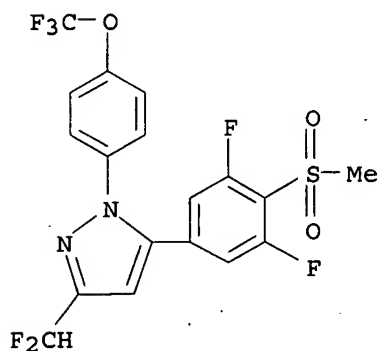
RN 473300-98-0 CAPLUS

CN 1H-Pyrazole, 3-(difluoromethyl)-5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-1-[3-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



RN 473300-99-1 CAPLUS

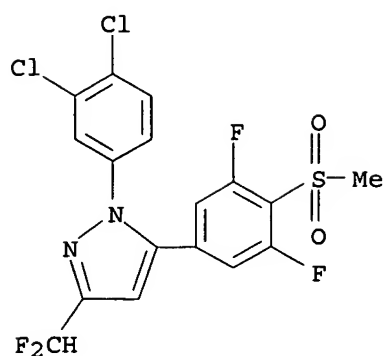
CN 1H-Pyrazole, 3-(difluoromethyl)-5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-1-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



RN 473301-00-7 CAPLUS

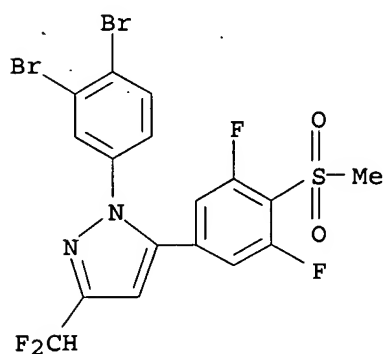
CN 1H-Pyrazole, 1-(3,4-dichlorophenyl)-3-(difluoromethyl)-5-[3,5-difluoro-4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

10/764,529



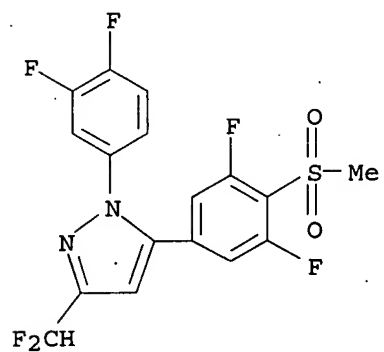
RN 473301-01-8 CAPLUS

CN 1H-Pyrazole, 1-(3,4-dibromophenyl)-3-(difluoromethyl)-5-[3,5-difluoro-4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 473301-02-9 CAPLUS

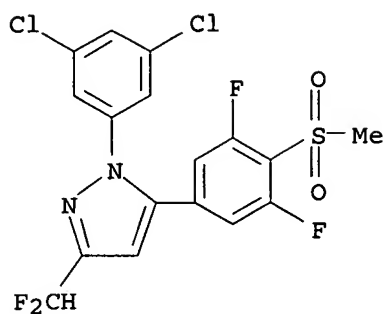
CN 1H-Pyrazole, 3-(difluoromethyl)-5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-1-(3,4-difluorophenyl)- (9CI) (CA INDEX NAME)



RN 473301-03-0 CAPLUS

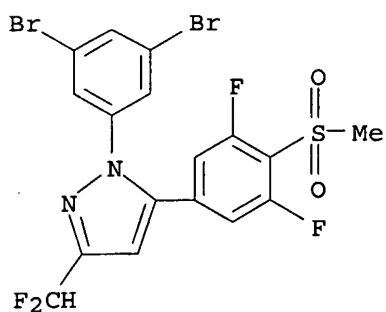
CN 1H-Pyrazole, 1-(3,5-dichlorophenyl)-3-(difluoromethyl)-5-[3,5-difluoro-4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

10/764,529



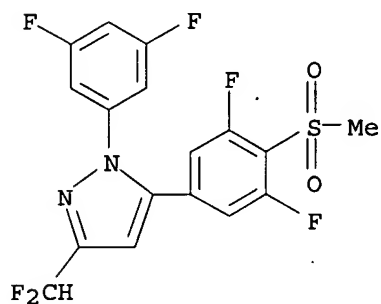
RN 473301-04-1 CAPLUS

CN 1H-Pyrazole, 1-(3,5-dibromophenyl)-3-(difluoromethyl)-5-[3,5-difluoro-4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 473301-05-2 CAPLUS

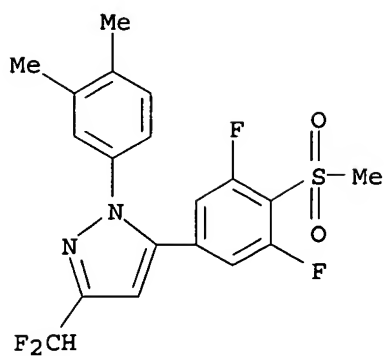
CN 1H-Pyrazole, 3-(difluoromethyl)-5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-1-(3,5-difluorophenyl)- (9CI) (CA INDEX NAME)



RN 473301-06-3 CAPLUS

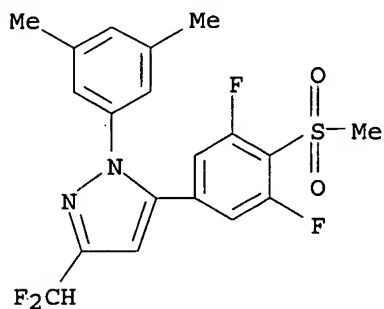
CN 1H-Pyrazole, 3-(difluoromethyl)-5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-1-(3,4-dimethylphenyl)- (9CI) (CA INDEX NAME)

10/764,529



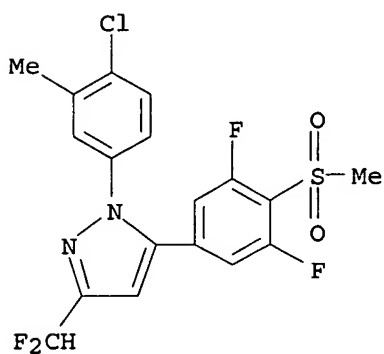
RN 473301-07-4 CAPLUS

CN 1H-Pyrazole, 3-(difluoromethyl)-5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-  
1-(3,5-dimethylphenyl)- (9CI) (CA INDEX NAME)



RN 473301-08-5 CAPLUS

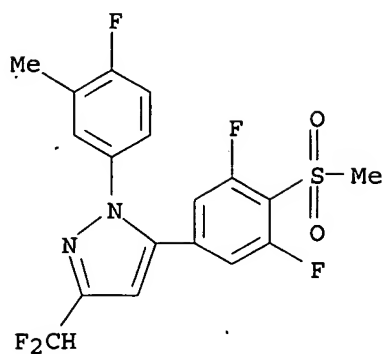
CN 1H-Pyrazole, 1-(4-chloro-3-methylphenyl)-3-(difluoromethyl)-5-[3,5-  
difluoro-4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 473301-09-6 CAPLUS

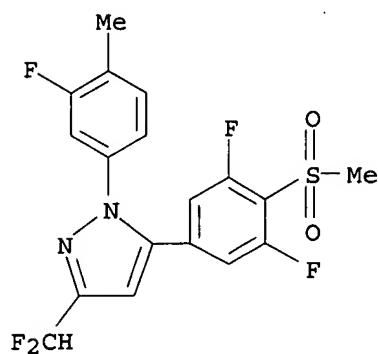
CN 1H-Pyrazole, 3-(difluoromethyl)-5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-  
1-(4-fluoro-3-methylphenyl)- (9CI) (CA INDEX NAME)

10/764,529



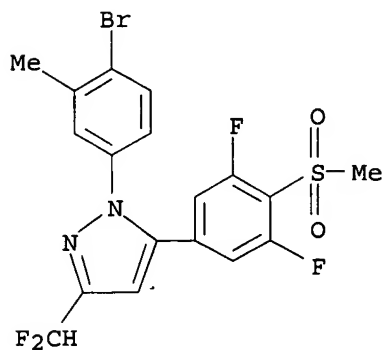
RN 473301-11-0 CAPLUS

CN 1H-Pyrazole, 3-(difluoromethyl)-5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-1-(3-fluoro-4-methylphenyl)- (9CI) (CA INDEX NAME)



RN 473301-12-1 CAPLUS

CN 1H-Pyrazole, 1-(4-bromo-3-methylphenyl)-3-(difluoromethyl)-5-[3,5-difluoro-4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

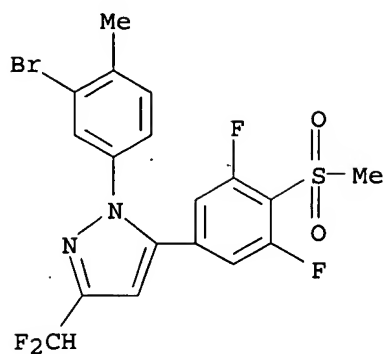


RN 473301-13-2 CAPLUS

CN 1H-Pyrazole, 1-(3-bromo-4-methylphenyl)-3-(difluoromethyl)-5-[3,5-difluoro-4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

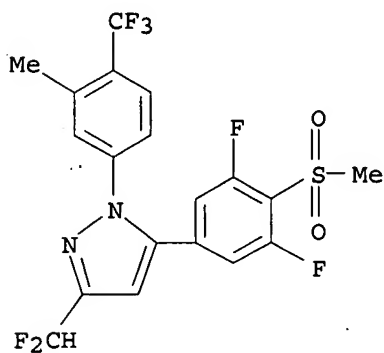


10/764,529



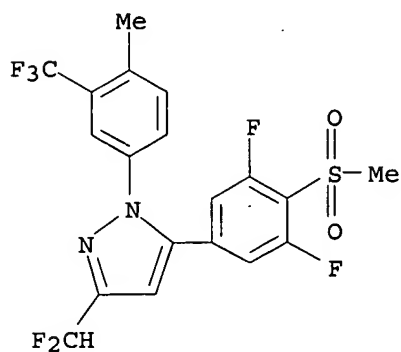
RN 473301-14-3 CAPLUS

CN 1H-Pyrazole, 3-(difluoromethyl)-5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-  
1-[3-methyl-4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 473301-15-4 CAPLUS

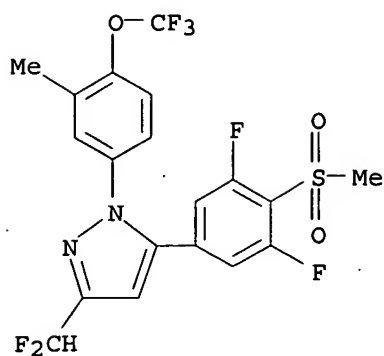
CN 1H-Pyrazole, 3-(difluoromethyl)-5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-  
1-[4-methyl-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 473301-16-5 CAPLUS

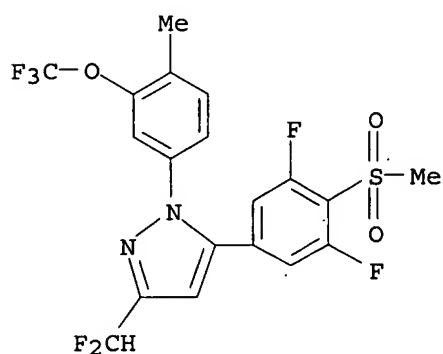
CN 1H-Pyrazole, 3-(difluoromethyl)-5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-  
1-[3-methyl-4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

10/764,529



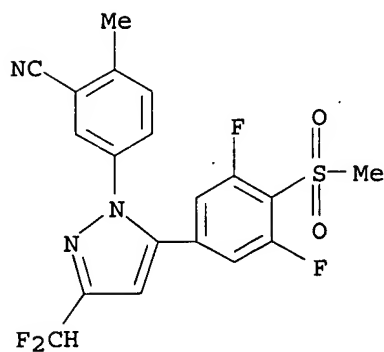
RN 473301-17-6 CAPLUS

CN 1H-Pyrazole, 3-(difluoromethyl)-5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-1-[4-methyl-3-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



RN 473301-18-7 CAPLUS

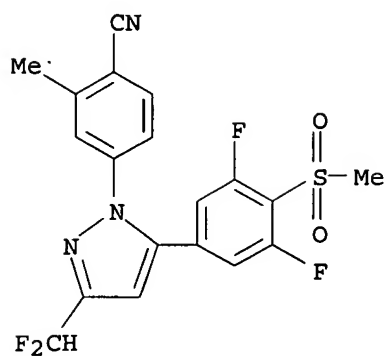
CN Benzonitrile, 5-[3-(difluoromethyl)-5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-1H-pyrazol-1-yl]-2-methyl- (9CI) (CA INDEX NAME)



RN 473301-19-8 CAPLUS

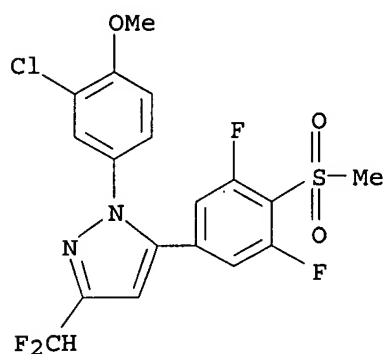
CN Benzonitrile, 4-[3-(difluoromethyl)-5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-1H-pyrazol-1-yl]-2-methyl- (9CI) (CA INDEX NAME)

10/764,529



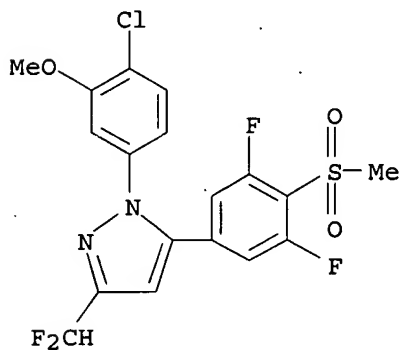
RN 473301-20-1 CAPLUS

CN 1H-Pyrazole, 1-(3-chloro-4-methoxyphenyl)-3-(difluoromethyl)-5-[3,5-difluoro-4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 473301-21-2 CAPLUS

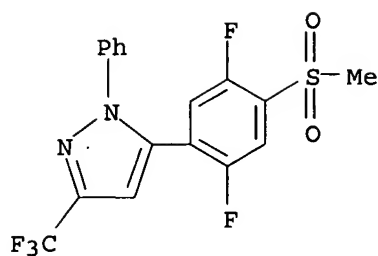
CN 1H-Pyrazole, 1-(4-chloro-3-methoxyphenyl)-3-(difluoromethyl)-5-[3,5-difluoro-4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 473303-13-8 CAPLUS

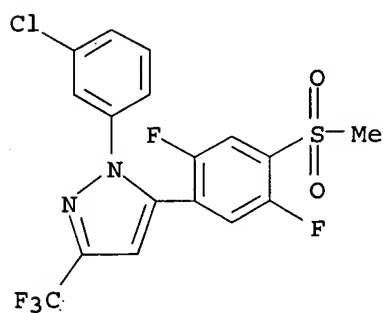
CN 1H-Pyrazole, 5-[2,5-difluoro-4-(methylsulfonyl)phenyl]-1-phenyl-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

10/764,529



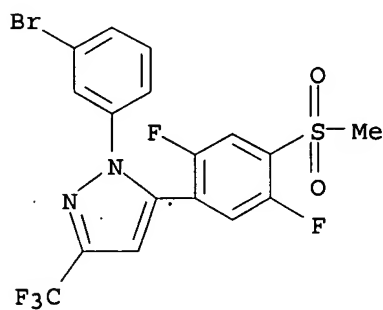
RN 473303-14-9 CAPLUS

CN 1H-Pyrazole, 1-(3-chlorophenyl)-5-[2,5-difluoro-4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 473303-15-0 CAPLUS

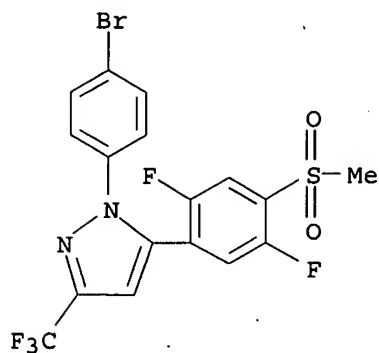
CN 1H-Pyrazole, 1-(3-bromophenyl)-5-[2,5-difluoro-4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 473303-16-1 CAPLUS

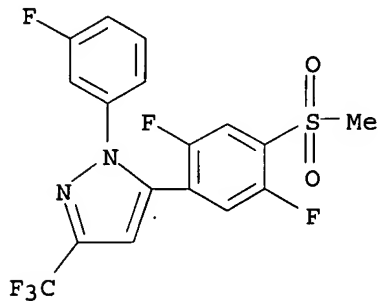
CN 1H-Pyrazole, 1-(4-bromophenyl)-5-[2,5-difluoro-4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

10/764,529



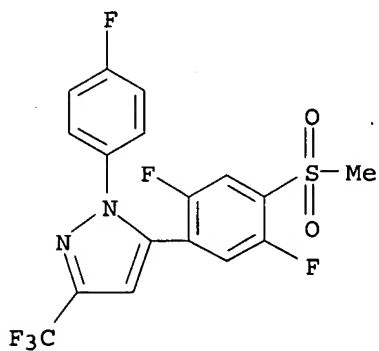
RN 473303-17-2 CAPLUS

CN 1H-Pyrazole, 5-[2,5-difluoro-4-(methylsulfonyl)phenyl]-1-(3-fluorophenyl)-  
3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 473303-18-3 CAPLUS

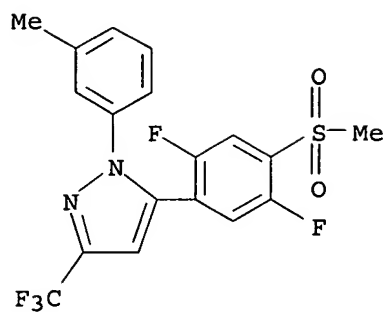
CN 1H-Pyrazole, 5-[2,5-difluoro-4-(methylsulfonyl)phenyl]-1-(4-fluorophenyl)-  
3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



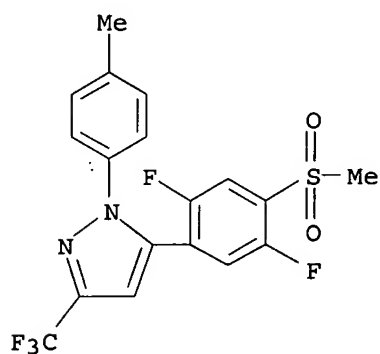
RN 473303-19-4 CAPLUS

CN 1H-Pyrazole, 5-[2,5-difluoro-4-(methylsulfonyl)phenyl]-1-(3-methylphenyl)-  
3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

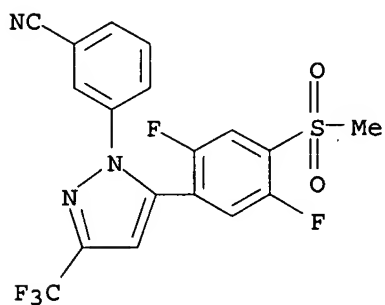
10/764,529



RN 473303-20-7 CAPLUS  
CN 1H-Pyrazole, 5-[2,5-difluoro-4-(methylsulfonyl)phenyl]-1-(4-methylphenyl)-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

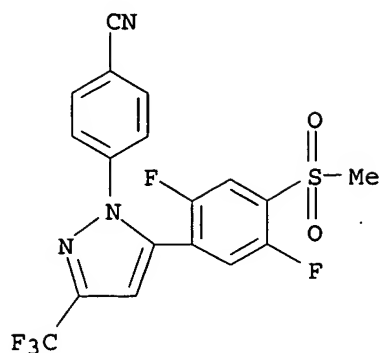


RN 473303-21-8 CAPLUS  
CN Benzonitrile, 3-[5-[2,5-difluoro-4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



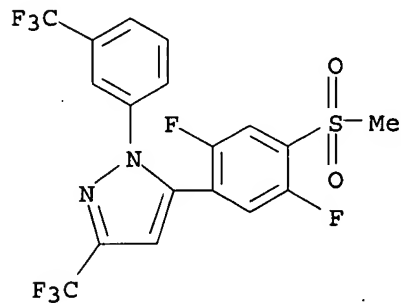
RN 473303-22-9 CAPLUS  
CN Benzonitrile, 4-[5-[2,5-difluoro-4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

10/764,529



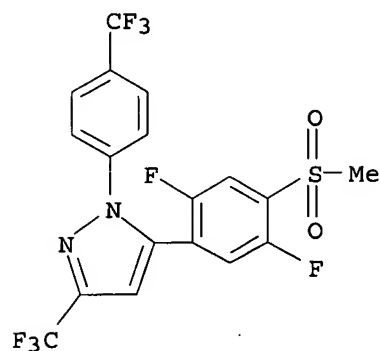
RN 473303-23-0 CAPLUS

CN 1H-Pyrazole, 5-[2,5-difluoro-4-(methanesulfonyl)phenyl]-3-(trifluoromethyl)-  
1-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 473303-24-1 CAPLUS

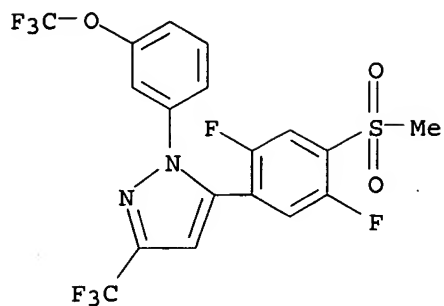
CN 1H-Pyrazole, 5-[2,5-difluoro-4-(methanesulfonyl)phenyl]-3-(trifluoromethyl)-  
1-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



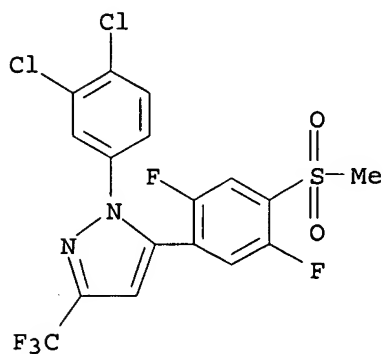
RN 473303-25-2 CAPLUS

CN 1H-Pyrazole, 5-[2,5-difluoro-4-(methanesulfonyl)phenyl]-1-[3-(  
trifluoromethoxy)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

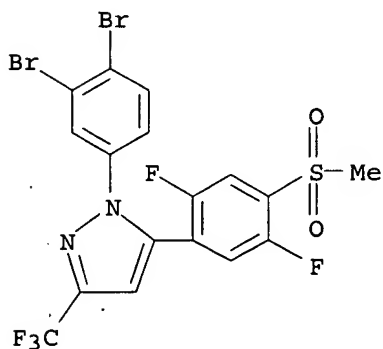
10/764,529



RN 473303-26-3 CAPLUS  
CN 1H-Pyrazole, 1-(3,4-dichlorophenyl)-5-[2,5-difluoro-4-(methanesulfonyl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



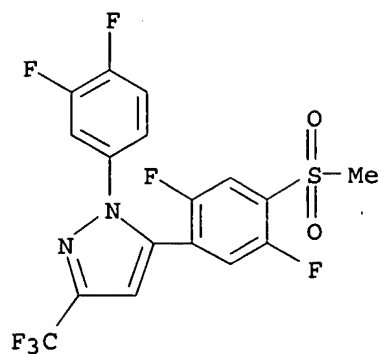
RN 473303-27-4 CAPLUS  
CN 1H-Pyrazole, 1-(3,4-dibromophenyl)-5-[2,5-difluoro-4-(methanesulfonyl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 473303-28-5 CAPLUS  
CN 1H-Pyrazole, 5-[2,5-difluoro-4-(methanesulfonyl)phenyl]-1-(3,4-difluorophenyl)-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

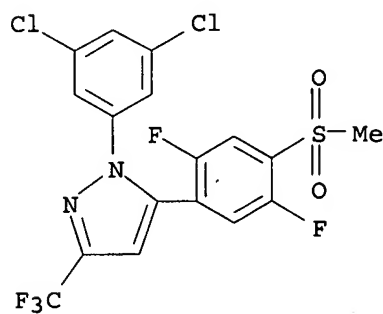


10/764,529



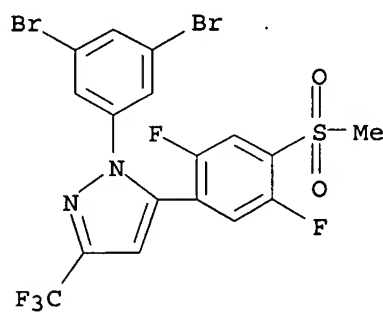
RN 473303-29-6 CAPLUS

CN 1H-Pyrazole, 1-(3,5-dichlorophenyl)-5-[2,5-difluoro-4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 473303-30-9 CAPLUS

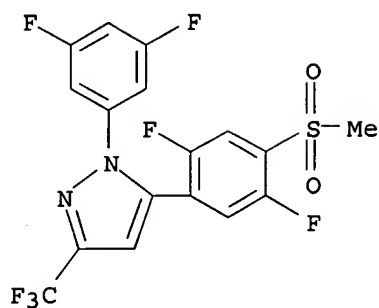
CN 1H-Pyrazole, 1-(3,5-dibromophenyl)-5-[2,5-difluoro-4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 473303-31-0 CAPLUS

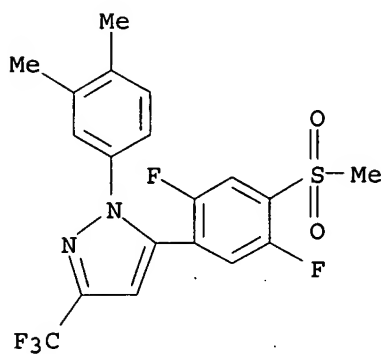
CN 1H-Pyrazole, 5-[2,5-difluoro-4-(methylsulfonyl)phenyl]-1-(3,5-difluorophenyl)-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

10/764,529



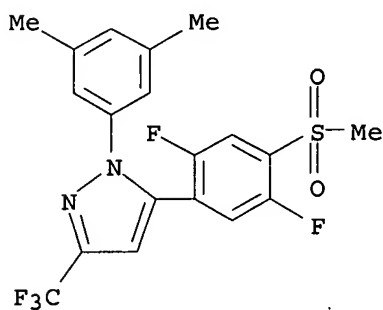
RN 473303-33-2 CAPLUS

CN 1H-Pyrazole, 5-[2,5-difluoro-4-(methanesulfonyl)phenyl]-1-(3,4-dimethylphenyl)-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 473303-34-3 CAPLUS

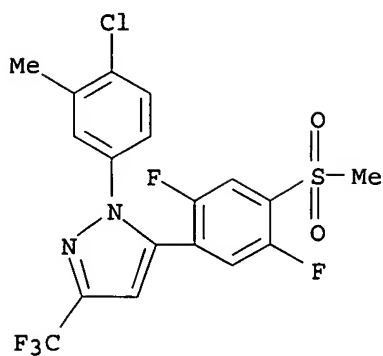
CN 1H-Pyrazole, 5-[2,5-difluoro-4-(methanesulfonyl)phenyl]-1-(3,5-dimethylphenyl)-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 473303-35-4 CAPLUS

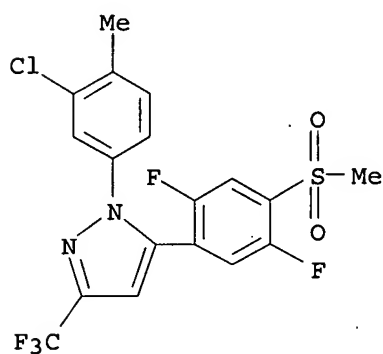
CN 1H-Pyrazole, 1-(4-chloro-3-methylphenyl)-5-[2,5-difluoro-4-(methanesulfonyl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

10/764,529



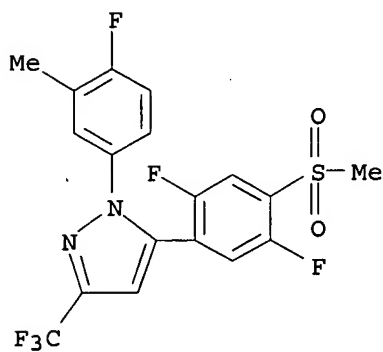
RN 473303-36-5 CAPLUS

CN 1H-Pyrazole, 1-(3-chloro-4-methylphenyl)-5-[2,5-difluoro-4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 473303-37-6 CAPLUS

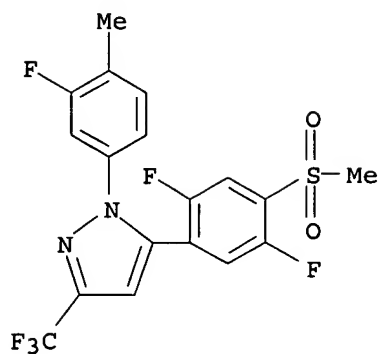
CN 1H-Pyrazole, 5-[2,5-difluoro-4-(methylsulfonyl)phenyl]-1-(4-fluoro-3-methylphenyl)-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 473303-38-7 CAPLUS

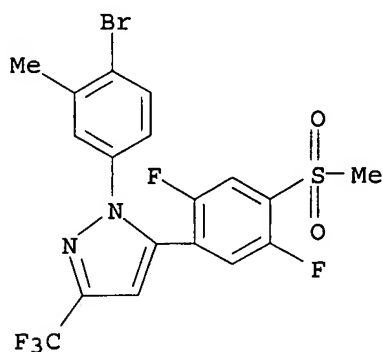
CN 1H-Pyrazole, 5-[2,5-difluoro-4-(methylsulfonyl)phenyl]-1-(3-fluoro-4-methylphenyl)-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

10/764,529



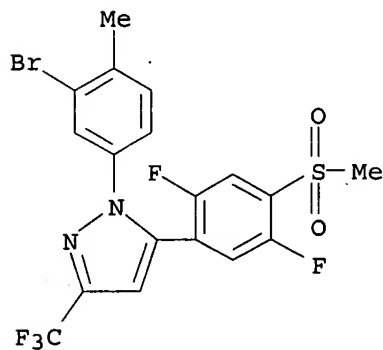
RN 473303-39-8 CAPLUS

CN 1H-Pyrazole, 1-(4-bromo-3-methylphenyl)-5-[2,5-difluoro-4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 473303-40-1 CAPLUS

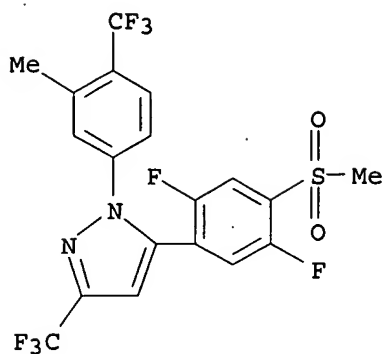
CN 1H-Pyrazole, 1-(3-bromo-4-methylphenyl)-5-[2,5-difluoro-4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 473303-41-2 CAPLUS

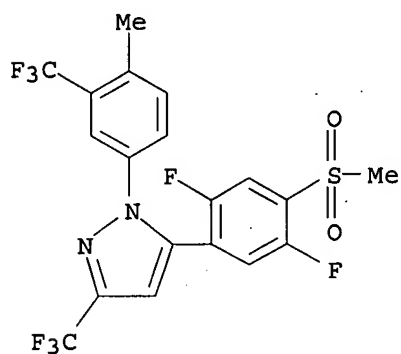
CN 1H-Pyrazole, 5-[2,5-difluoro-4-(methylsulfonyl)phenyl]-1-[3-methyl-4-(trifluoromethyl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

10/764,529



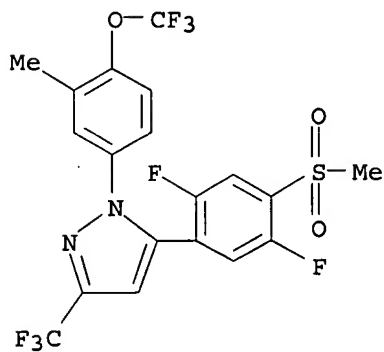
RN 473303-42-3 CAPLUS

CN 1H-Pyrazole, 5-[2,5-difluoro-4-(methylsulfonyl)phenyl]-1-[4-methyl-3-(trifluoromethyl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 473303-43-4 CAPLUS

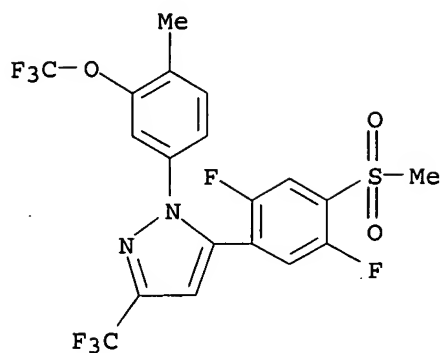
CN 1H-Pyrazole, 5-[2,5-difluoro-4-(methylsulfonyl)phenyl]-1-[3-methyl-4-(trifluoromethoxy)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



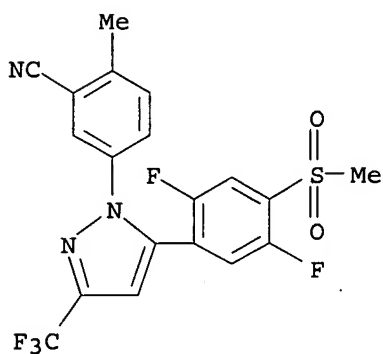
RN 473303-44-5 CAPLUS

CN 1H-Pyrazole, 5-[2,5-difluoro-4-(methylsulfonyl)phenyl]-1-[4-methyl-3-(trifluoromethoxy)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

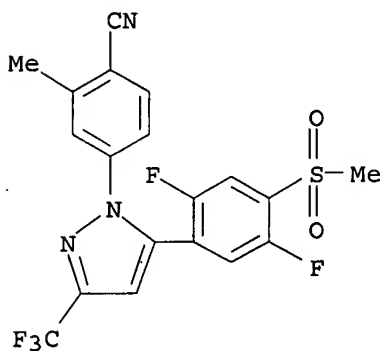
10/764,529



RN 473303-45-6 CAPLUS  
CN Benzonitrile, 5-[5-[2,5-difluoro-4-(methanesulfonyl)phenyl]-3-(trifluoromethyl)-1H-pyrazol-1-yl]-2-methyl- (9CI) (CA INDEX NAME)

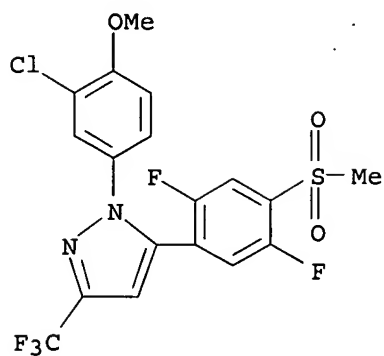


RN 473303-46-7 CAPLUS  
CN Benzonitrile, 4-[5-[2,5-difluoro-4-(methanesulfonyl)phenyl]-3-(trifluoromethyl)-1H-pyrazol-1-yl]-2-methyl- (9CI) (CA INDEX NAME)



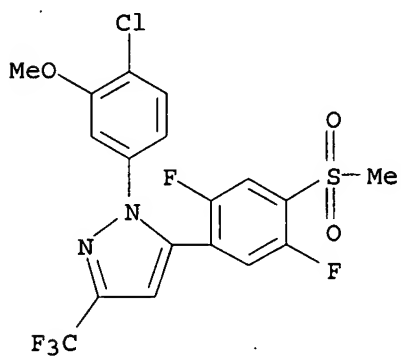
RN 473303-47-8 CAPLUS  
CN 1H-Pyrazole, 1-(3-chloro-4-methoxyphenyl)-5-[2,5-difluoro-4-(methanesulfonyl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

10/764,529



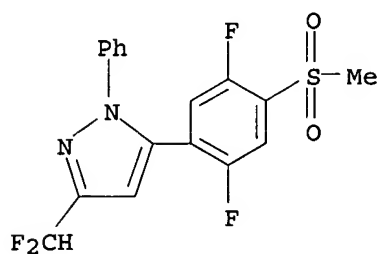
RN 473303-48-9 CAPLUS

CN 1H-Pyrazole, 1-(4-chloro-3-methoxyphenyl)-5-[2,5-difluoro-4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 473303-57-0 CAPLUS

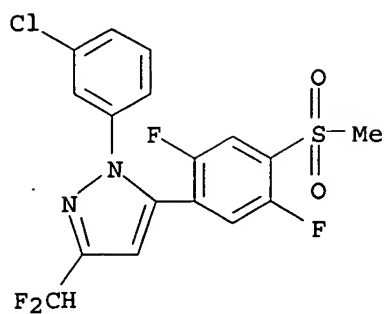
CN 1H-Pyrazole, 3-(difluoromethyl)-5-[2,5-difluoro-4-(methylsulfonyl)phenyl]-1-phenyl- (9CI) (CA INDEX NAME)



RN 473303-58-1 CAPLUS

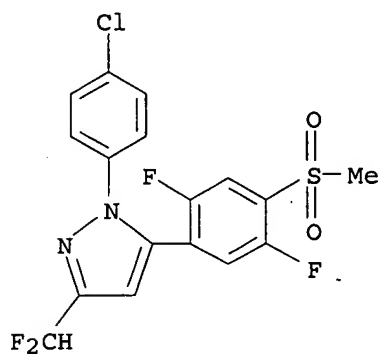
CN 1H-Pyrazole, 1-(3-chlorophenyl)-3-(difluoromethyl)-5-[2,5-difluoro-4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

10/764,529



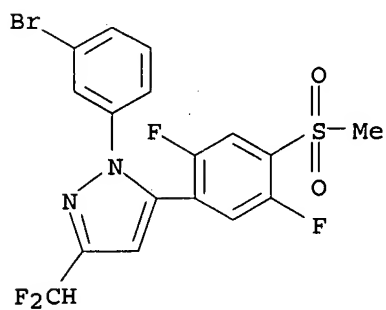
RN 473303-59-2 CAPLUS

CN 1H-Pyrazole, 1-(4-chlorophenyl)-3-(difluoromethyl)-5-[2,5-difluoro-4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 473303-60-5 CAPLUS

CN 1H-Pyrazole, 1-(3-bromophenyl)-3-(difluoromethyl)-5-[2,5-difluoro-4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

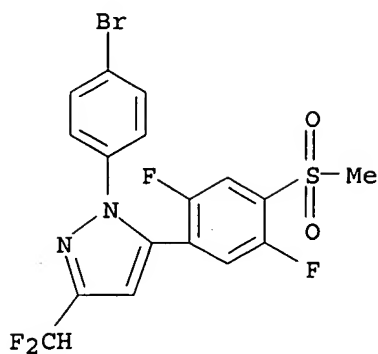


RN 473303-61-6 CAPLUS

CN 1H-Pyrazole, 1-(4-bromophenyl)-3-(difluoromethyl)-5-[2,5-difluoro-4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

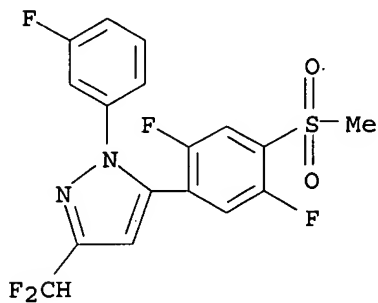


10/764,529



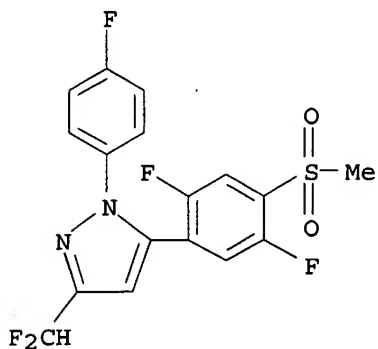
RN 473303-62-7 CAPLUS

CN 1H-Pyrazole, 3-(difluoromethyl)-5-[2,5-difluoro-4-(methylsulfonyl)phenyl]-1-(3-fluorophenyl)-(9CI) (CA INDEX NAME)



RN 473303-63-8 CAPLUS

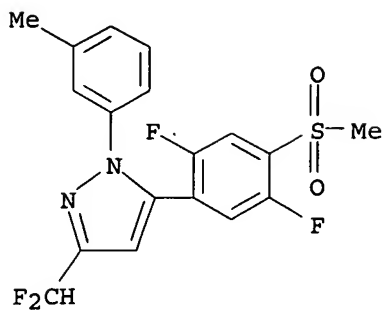
CN 1H-Pyrazole, 3-(difluoromethyl)-5-[2,5-difluoro-4-(methylsulfonyl)phenyl]-1-(4-fluorophenyl)-(9CI) (CA INDEX NAME)



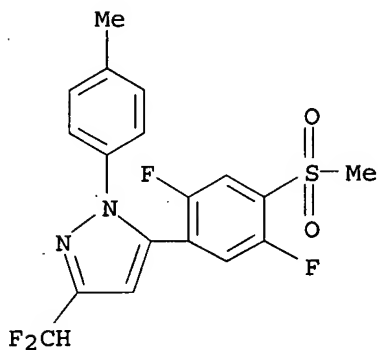
RN 473303-64-9 CAPLUS

CN 1H-Pyrazole, 3-(difluoromethyl)-5-[2,5-difluoro-4-(methylsulfonyl)phenyl]-1-(3-methylphenyl)-(9CI) (CA INDEX NAME)

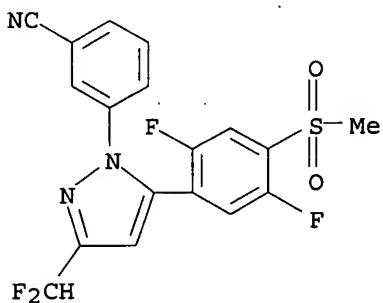
10/764,529



RN 473303-65-0 CAPLUS  
CN 1H-Pyrazole, 3-(difluoromethyl)-5-[2,5-difluoro-4-(methylsulfonyl)phenyl]-1-(4-methylphenyl)- (9CI) (CA INDEX NAME)

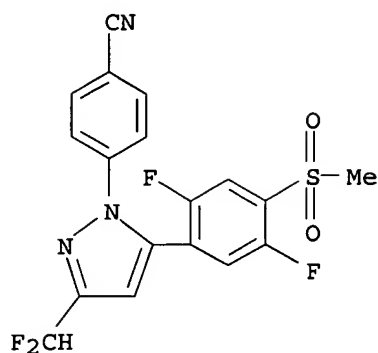


RN 473303-66-1 CAPLUS  
CN Benzonitrile, 3-[3-(difluoromethyl)-5-[2,5-difluoro-4-(methylsulfonyl)phenyl]-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



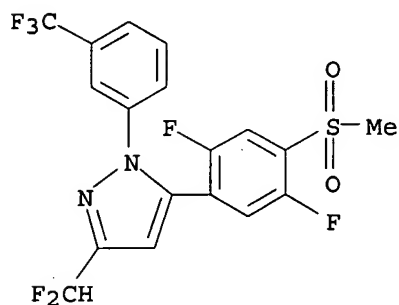
RN 473303-67-2 CAPLUS  
CN Benzonitrile, 4-[3-(difluoromethyl)-5-[2,5-difluoro-4-(methylsulfonyl)phenyl]-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

10/764,529



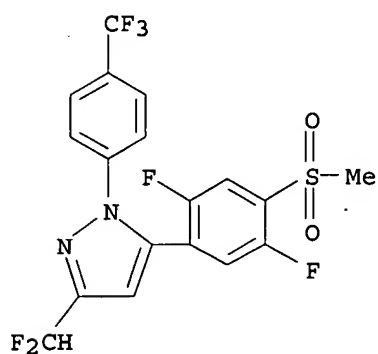
RN 473303-68-3 CAPLUS

CN 1H-Pyrazole, 3-(difluoromethyl)-5-[2,5-difluoro-4-(methanesulfonyl)phenyl]-1-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 473303-69-4 CAPLUS

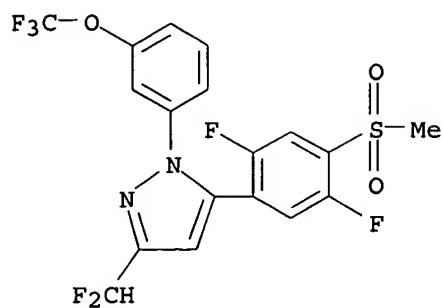
CN 1H-Pyrazole, 3-(difluoromethyl)-5-[2,5-difluoro-4-(methanesulfonyl)phenyl]-1-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 473303-70-7 CAPLUS

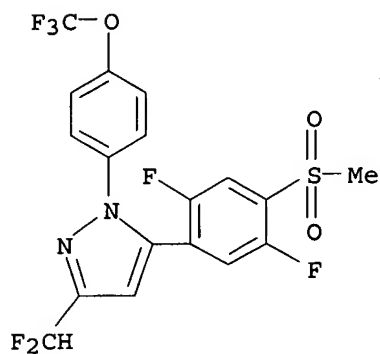
CN 1H-Pyrazole, 3-(difluoromethyl)-5-[2,5-difluoro-4-(methanesulfonyl)phenyl]-1-[3-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

10/764,529



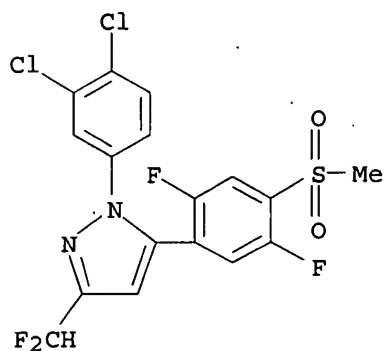
RN 473303-71-8 CAPLUS

CN 1H-Pyrazole, 3-(difluoromethyl)-5-[2,5-difluoro-4-(methylsulfonyl)phenyl]-1-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



RN 473303-72-9 CAPLUS

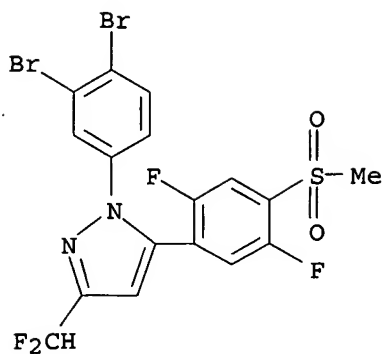
CN 1H-Pyrazole, 1-(3,4-dichlorophenyl)-3-(difluoromethyl)-5-[2,5-difluoro-4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 473303-73-0 CAPLUS

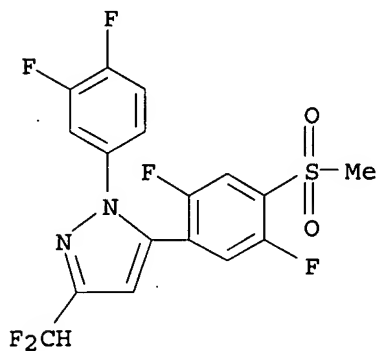
CN 1H-Pyrazole, 1-(3,4-dibromophenyl)-3-(difluoromethyl)-5-[2,5-difluoro-4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

10/764,529



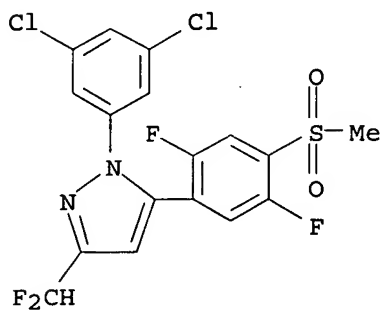
RN 473303-74-1 CAPLUS

CN 1H-Pyrazole, 3-(difluoromethyl)-5-[2,5-difluoro-4-(methylsulfonyl)phenyl]-1-(3,4-difluorophenyl)- (9CI) (CA INDEX NAME)



RN 473303-75-2 CAPLUS

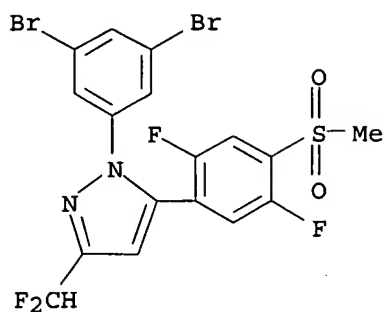
CN 1H-Pyrazole, 1-(3,5-dichlorophenyl)-3-(difluoromethyl)-5-[2,5-difluoro-4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 473303-76-3 CAPLUS

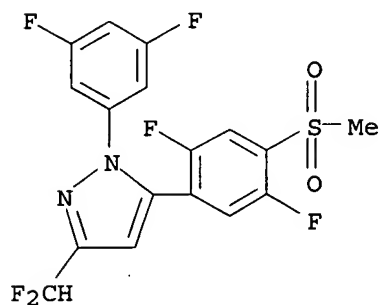
CN 1H-Pyrazole, 1-(3,5-dibromophenyl)-3-(difluoromethyl)-5-[2,5-difluoro-4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

10/764,529



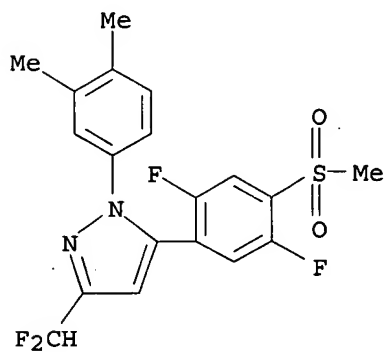
RN 473303-77-4 CAPLUS

CN 1H-Pyrazole, 3-(difluoromethyl)-5-[2,5-difluoro-4-(methylsulfonyl)phenyl]-1-(3,5-difluorophenyl)-(9CI) (CA INDEX NAME)



RN 473303-78-5 CAPLUS

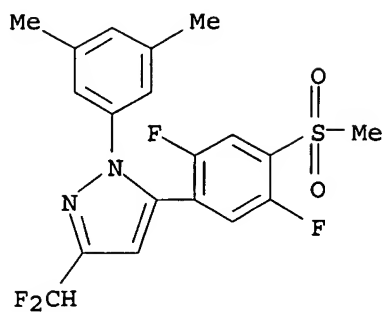
CN 1H-Pyrazole, 3-(difluoromethyl)-5-[2,5-difluoro-4-(methylsulfonyl)phenyl]-1-(3,4-dimethylphenyl)-(9CI) (CA INDEX NAME)



RN 473303-79-6 CAPLUS

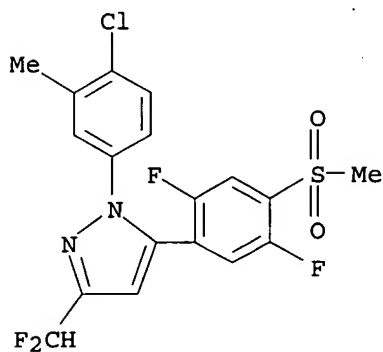
CN 1H-Pyrazole, 3-(difluoromethyl)-5-[2,5-difluoro-4-(methylsulfonyl)phenyl]-1-(3,5-dimethylphenyl)-(9CI) (CA INDEX NAME)

10/764,529



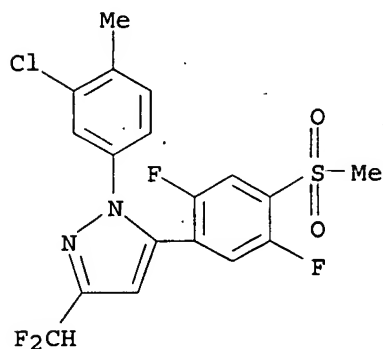
RN 473303-80-9 CAPLUS

CN 1H-Pyrazole, 1-(4-chloro-3-methylphenyl)-3-(difluoromethyl)-5-[2,5-difluoro-4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 473303-81-0 CAPLUS

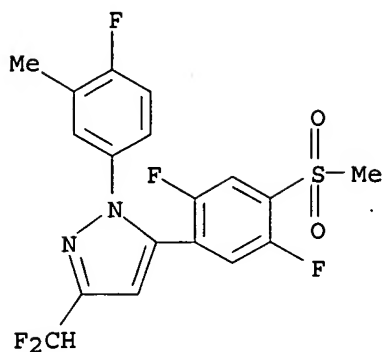
CN 1H-Pyrazole, 1-(3-chloro-4-methylphenyl)-3-(difluoromethyl)-5-[2,5-difluoro-4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 473303-82-1 CAPLUS

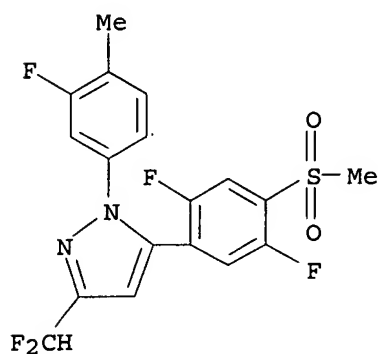
CN 1H-Pyrazole, 3-(difluoromethyl)-5-[2,5-difluoro-4-(methylsulfonyl)phenyl]-1-(4-fluoro-3-methylphenyl)- (9CI) (CA INDEX NAME)

10/764,529



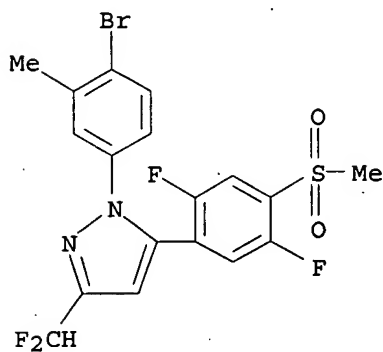
RN 473303-83-2 CAPLUS

CN 1H-Pyrazole, 3-(difluoromethyl)-5-[2,5-difluoro-4-(methylsulfonyl)phenyl]-  
1-(3-fluoro-4-methylphenyl)- (9CI) (CA INDEX NAME)



RN 473303-84-3 CAPLUS

CN 1H-Pyrazole, 1-(4-bromo-3-methylphenyl)-3-(difluoromethyl)-5-[2,5-difluoro-  
4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

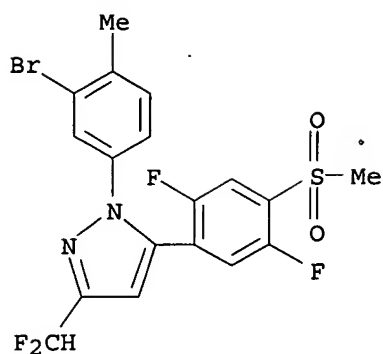


RN 473303-85-4 CAPLUS

CN 1H-Pyrazole, 1-(3-bromo-4-methylphenyl)-3-(difluoromethyl)-5-[2,5-difluoro-  
4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

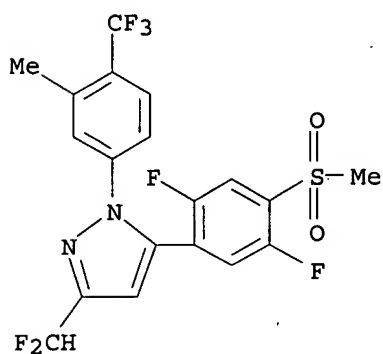


10/764,529



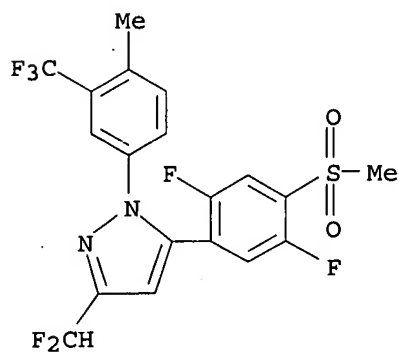
RN 473303-86-5 CAPLUS

CN 1H-Pyrazole, 3-(difluoromethyl)-5-[2,5-difluoro-4-(methylsulfonyl)phenyl]-1-[3-methyl-4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 473303-87-6 CAPLUS

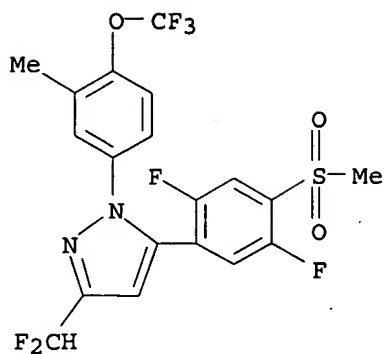
CN 1H-Pyrazole, 3-(difluoromethyl)-5-[2,5-difluoro-4-(methylsulfonyl)phenyl]-1-[4-methyl-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 473303-88-7 CAPLUS

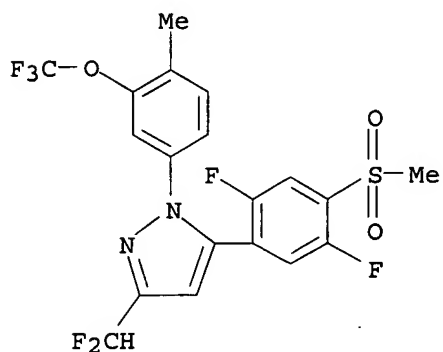
CN 1H-Pyrazole, 3-(difluoromethyl)-5-[2,5-difluoro-4-(methylsulfonyl)phenyl]-1-[3-methyl-4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

10/764,529



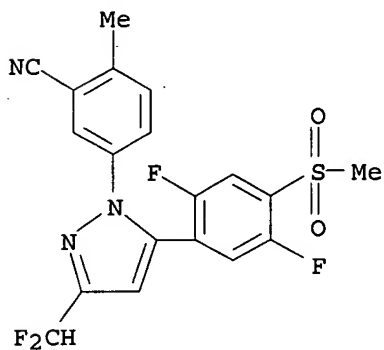
RN 473303-89-8 CAPLUS

CN 1H-Pyrazole, 3-(difluoromethyl)-5-[2,5-difluoro-4-(methylsulfonyl)phenyl]-1-[4-methyl-3-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



RN 473303-90-1 CAPLUS

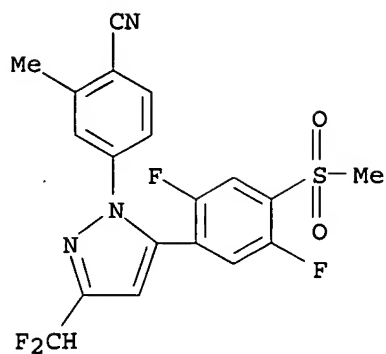
CN Benzonitrile, 5-[3-(difluoromethyl)-5-[2,5-difluoro-4-(methylsulfonyl)phenyl]-1H-pyrazol-1-yl]-2-methyl- (9CI) (CA INDEX NAME)



RN 473303-91-2 CAPLUS

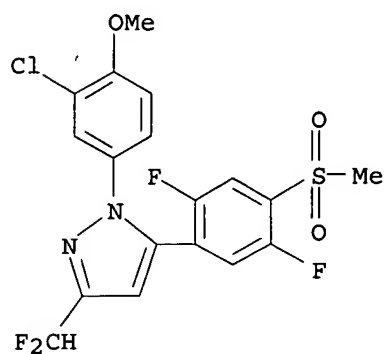
CN Benzonitrile, 4-[3-(difluoromethyl)-5-[2,5-difluoro-4-(methylsulfonyl)phenyl]-1H-pyrazol-1-yl]-2-methyl- (9CI) (CA INDEX NAME)

10/764,529



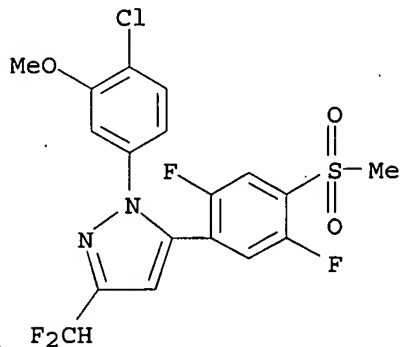
RN 473303-92-3 CAPLUS

CN 1H-Pyrazole, 1-(3-chloro-4-methoxyphenyl)-3-(difluoromethyl)-5-[2,5-difluoro-4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

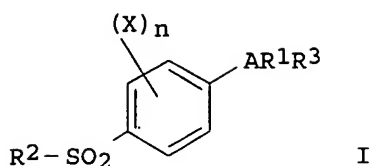


RN 473303-93-4 CAPLUS

CN 1H-Pyrazole, 1-(4-chloro-3-methoxyphenyl)-3-(difluoromethyl)-5-[2,5-difluoro-4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



GI



AB Fluoro-substituted benzenesulfonyl compds. (shown as I (e.g. 1-(3-chloro-4-methylphenyl)-5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)-1H-pyrazole), or a pharmaceutically-acceptable salt, tautomer or prodrug thereof) for treating cyclooxygenase-2 mediated disorders such as inflammation are described. In I, A is a 5- or 6-member ring substituent selected from partially saturated or unsatd. heterocyclic and carbocyclic rings; X is fluoro;  $n \geq 2$ ; R1 is cyclohexyl, pyridinyl, or Ph, optionally substituted with 1-3 radicals selected from C1-2-alkyl, C1-2-haloalkyl, cyano, carboxy, C1-2-alkoxycarbonyl, hydroxy, C1-2-hydroxyalkyl, C1-2-haloalkoxy, amino, C1-2-alkylamino, phenylamino, nitro, C1-2-alkoxy-C1-2-alkyl, C1-2-alkylsulfinyl, halo, C1-2-alkoxy and C1-3-alkylthio; R2 is alkyl or amino. R3 represents  $\geq 1$  radicals selected from hydrido, halo, C1-2-alkyl, C2-3-alkenyl, C2-3-alkynyl, oxo, cyano, carboxy, cyano-C1-3-alkyl, heterocyclyloxy, C1-3-alkoxy, C1-3-alkylthio, alkylcarbonyl, cycloalkyl, Ph, C1-3-haloalkyl, heterocyclyl, cycloalkenyl, phenyl-C1-3-alkyl, heterocyclyl-C1-3-alkyl, C1-3-alkylthio-C1-3-alkyl, C1-3-hydroxyalkyl, C1-3-alkoxycarbonyl, phenylcarbonyl, phenyl-C1-3-alkylcarbonyl, phenyl-C2-3-alkenyl, C1-3-alkoxy-C1-3-alkyl, phenylthio-C1-3-alkyl, phenyloxyalkyl, alkoxyphenylalkoxyalkyl, alkoxyphenylalkyl, aminocarbonyl, aminocarbonyl-C1-3-alkyl, C1-3-alkylaminocarbonyl, N-phenylaminocarbonyl, N-(C1-3-alkyl)-N-phenylaminocarbonyl, C1-3-alkylaminocarbonyl-C1-3-alkyl, carboxy-C1-3-alkyl, C1-3-alkylamino, N-arylamino, N-aralkylamino, N-(C1-3-alkyl)-N-aralkylamino, N-(C1-3-alkyl)-N-arylamino, amino-C1-3-alkyl, C1-3-alkylaminoalkyl, N-phenylamino-C1-3-alkyl, N-phenyl-C1-3-alkylaminoalkyl, N-(C1-3-alkyl)-N-(phenyl-C1-3-alkyl)amino-C1-3-alkyl, N-(C1-3-alkyl)-N-phenylamino-C1-3-alkyl, phenyloxy, phenylalkoxy, phenylthio, phenyl-C1-3-alkylthio, C1-3-alkylsulfinyl, C1-3-alkylsulfonyl, aminosulfonyl, C1-3-alkylaminosulfonyl, N-phenylaminosulfonyl, phenylsulfonyl, and N-(C1-3-alkyl)-N-phenylaminosulfonyl. The selective inhibition of COX-2 compared to COX-1 is reported for 10 examples of I; e.g. 1-(3-chloro-4-methylphenyl)-5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)-1H-pyrazole shows IC50 values of 0.09 and  $>100 \mu\text{M}$ , resp. Although the methods of preparation are not claimed, 15 example preps. are included and hundreds of pyrazoles and isoxazoles are listed in the claims.

L4 ANSWER 29 OF 62 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:777650 CAPLUS

DOCUMENT NUMBER: 137:299910

TITLE: Therapeutic combinations containing COX-2 inhibitors for cardiovascular and inflammatory diseases treatment  
INVENTOR(S): Seibert, Karen; Keller, Bradley T.; Isakson, Peter C.; Krul, Elaine S.

PATENT ASSIGNEE(S): Pharmacia Corporation, USA

SOURCE: PCT Int. Appl., 316 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002078626	A2	20021010	WO 2002-US9346	20020328
WO 2002078626	A3	20040429		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2442328	AA	20021010	CA 2002-2442328	20020328
US 2003199482	A1	20031023	US 2002-107809	20020328
EP 1435956	A2	20040714	EP 2002-725362	20020328
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
CN 1527709	A	20040908	CN 2002-810210	20020328
JP 2005507854	T2	20050324	JP 2002-576894	20020328
US 2004186154	A1	20040923	US 2004-473045	20040506
PRIORITY APPLN. INFO.:			US 2001-279239P	P 20010328
			WO 2002-US9346	W 20020328

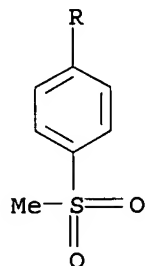
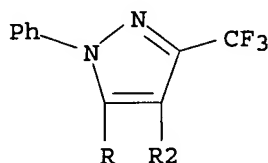
IT 165251-89-8

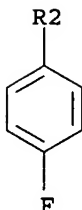
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (therapeutic combinations containing COX-2 inhibitors for cardiovascular and inflammatory diseases treatment)

RN 165251-89-8 CAPLUS

CN 1H-Pyrazole, 4-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-1-phenyl-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

PAGE 1-A





AB The present invention provides therapeutic combinations and methods for treating or preventing a hypercholesterolemia-related or an inflammation-related condition in a subject in need of such treatment or prevention. One therapeutic combination comprises an ASBT inhibitor combined with COX-2 inhibitor. A further therapeutic combination comprises an ASBT inhibitor, a COX-2 inhibitor and an HMG Co-A reductase inhibitor. Another therapeutic combination comprises a chromene COX-2 inhibitor and an HMG Co-A reductase inhibitor. Thus, a tablet composition contained benzothiepine 5, celecoxib 20, lactose 54, microcryst. cellulose 15, HPMC 3, Croscarmellose sodium 2, and Mg stearate 1 mg/tablet.

L4 ANSWER 30 OF 62 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:505977 CAPLUS

DOCUMENT NUMBER: 137:375361

TITLE: Enantioseparation of novel COX-2 anti-inflammatory drugs by capillary electrophoresis using single and dual cyclodextrin systems

AUTHOR(S): Calvet, Carmen; Cuberes, Rosa; Perez-Maseda, Carlos; Frigola, Jordi

CORPORATE SOURCE: Medicinal Chemistry Department, Laboratorios Dr. Esteve S. A., Barcelona, E-08041, Spain

SOURCE: Electrophoresis (2002), 23(11), 1702-1708  
CODEN: ELCTDN; ISSN: 0173-0835

PUBLISHER: Wiley-VCH Verlag GmbH

DOCUMENT TYPE: Journal

LANGUAGE: English

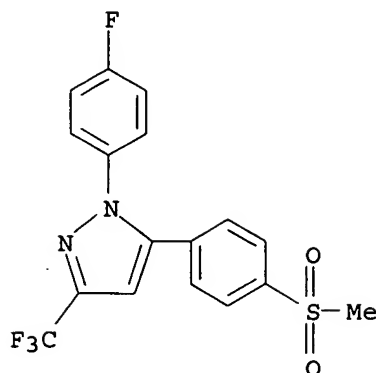
IT 134729-22-9 475590-76-2

RL: ANT (Analyte); ANST (Analytical study)

(enantiosepn. of novel COX-2 anti-inflammatory drugs by capillary electrophoresis using single and dual cyclodextrin systems)

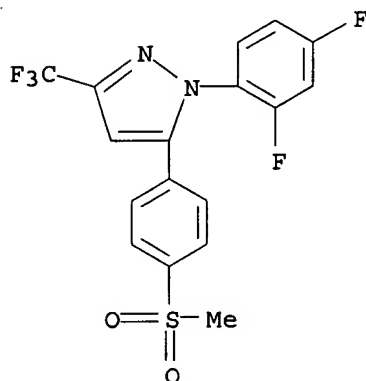
RN 134729-22-9 CAPLUS

CN 1H-Pyrazole, 1-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 475590-76-2 CAPLUS

CN 1H-Pyrazole, 1-(2,4-difluorophenyl)-5-[4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



AB A capillary electrophoresis method was developed for the enantiosepn. of three novel cyclooxygenase-2 (COX-2) inhibitor drugs (E-6259, E-6036 and E-6087) with anti-inflammatory and analgesic activities using sulfobutyl ether- $\beta$ -cyclodextrin (SBE- $\beta$ -CD) as a chiral selector. The use of 50 mM sodium tetraborate at pH 9.2 with 30% volume/volume methanol, containing 7.1 mM SBE- $\beta$ -CD, as a background electrolyte (BGE) allowed the complete enantiosepn. of the three neutral racemic mixts: (resolution = 2.4, 3.0 and 8.7, resp.) and their corresponding metabolites (oxidation products) in a single run. Migration times were shortened with some loss of enantioresoln. by adding 1.75 mM dimethyl- $\beta$ -cyclodextrin (DM- $\beta$ -CD) to the previous BGE (dual CD system). The reversal of the migration order of E-6259 enantiomers in the dual CD system was also studied. Furthermore, the addition of DM- $\beta$ -CD to the BGE introduced a new chemoselectivity in the system that allowed E-6259 to be separated from the structurally similar compound E-6036.

REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 31 OF 62 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:71857 CAPLUS

DOCUMENT NUMBER: 136:139826

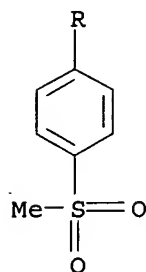
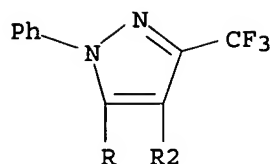
TITLE: Selective cyclooxygenase-2 inhibitors and

INVENTOR(S): Hassan, Fred; Forbes, James C.  
 PATENT ASSIGNEE(S): Pharmacia Corporation, USA  
 SOURCE: PCT Int. Appl., 218 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 4  
 PATENT INFORMATION:

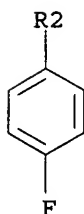
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002005799	A2	20020124	WO 2001-US22103	20010713
WO 2002005799	A3	20021121		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2415697	AA	20020124	CA 2001-2415697	20010713
AU 2001082886	A5	20020130	AU 2001-82886	20010713
US 2002077328	A1	20020620	US 2001-905292	20010713
EP 1299122	A2	20030409	EP 2001-961637	20010713
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004503588	T2	20040205	JP 2002-511732	20010713
PRIORITY APPLN. INFO.:			US 2000-218101P	P 20000713
			US 2001-284248P	P 20010417
			US 2001-296196P	P 20010606
			WO 2001-US22103	W 20010713
OTHER SOURCE(S): MARPAT 136:139826				
IT 165251-89-8				
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (cyclooxygenase-2 inhibitors and vasomodulators for generalized pain and headache pain treatment)				
RN 165251-89-8 CAPLUS				
CN 1H-Pyrazole, 4-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-1-phenyl-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)				



PAGE 1-A



PAGE 2-A



AB A therapeutic combination useful in the treatment, amelioration, prevention, or delay of pain comprising a high energy form of a selective cyclooxygenase-2 inhibitor, a vasomodulator, and a pharmaceutically acceptable excipient, carrier, or diluent, the cyclooxygenase-2 inhibitor and vasomodulator each being present in an amount effective to contribute to the treatment, prevention, or delay of pain. Thus, capsules contained celecoxib 200, Labrasol 280, diethylene glycol monoethyl ether 280, and propylene glycol laurate 140/capsule.

L4 ANSWER 32 OF 62 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:798213 CAPLUS

DOCUMENT NUMBER: 135:344477

TITLE: Preparation of 2-fluorobenzenesulfonyl-heterocycles with COX-1 and COX-2 inhibiting activity for pharmaceutical use in the treatment of inflammation  
 INVENTOR(S): Brown, David L.; Graneto, Matthew J.; Ludwig, Cindy L.; Talley, John J.

PATENT ASSIGNEE(S): Pharmacia Corporation, USA

SOURCE: PCT Int. Appl., 242 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001081332	A2	20011101	WO 2001-US12983	20010420
WO 2001081332	A3	20020404		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002183362	A1	20021205	US 2001-839424	20010420
EP 1296971	A2	20030402	EP 2001-927279	20010420
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 6600052	B1	20030729	US 2001-838986	20010420
JP 2003531201	T2	20031021	JP 2001-578423	20010420
US 2004092552	A1	20040513	US 2003-258493	20030711
PRIORITY APPLN. INFO.:			US 2000-199533P	P 20000425
			US 2000-253380P	P 20001127
			WO 2001-US12983	W 20010420

OTHER SOURCE(S): MARPAT 135:344477

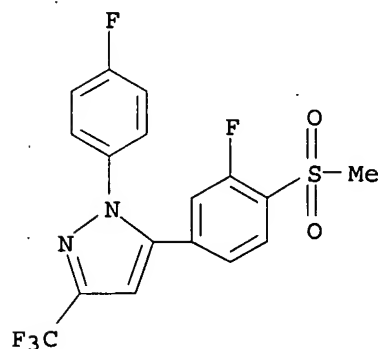
IT 370874-38-7P 370874-40-1P 370874-41-2P  
 370874-42-3P 370874-43-4P 370874-44-5P  
 370874-45-6P 370874-47-8P 370874-48-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2-fluorobenzenesulfonyl-heterocycles with COX-1 and COX-2 inhibiting activity for pharmaceutical use in the treatment of inflammation)

RN 370874-38-7 CAPLUS

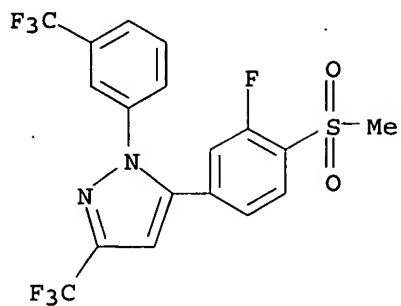
CN 1H-Pyrazole, 5-[3-fluoro-4-(methylsulfonyl)phenyl]-1-(4-fluorophenyl)-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 370874-40-1 CAPLUS

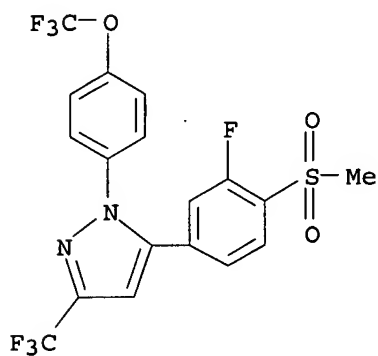
CN 1H-Pyrazole, 5-[3-fluoro-4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)-1-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

10/764,529



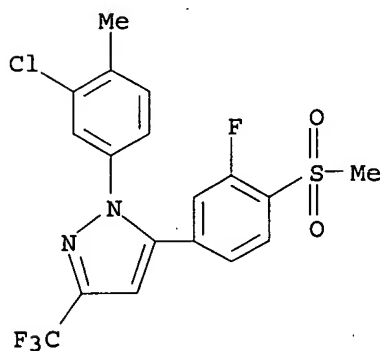
RN 370874-41-2 CAPLUS

CN 1H-Pyrazole, 5-[3-fluoro-4-(methanesulfonyl)phenyl]-1-[4-(trifluoromethoxy)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 370874-42-3 CAPLUS

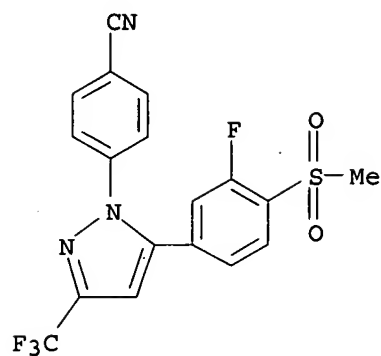
CN 1H-Pyrazole, 1-(3-chloro-4-methylphenyl)-5-[3-fluoro-4-(methanesulfonyl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 370874-43-4 CAPLUS

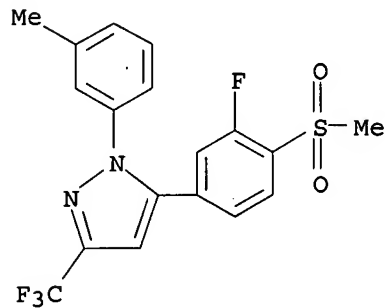
CN Benzonitrile, 4-[5-[3-fluoro-4-(methanesulfonyl)phenyl]-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

10/764,529



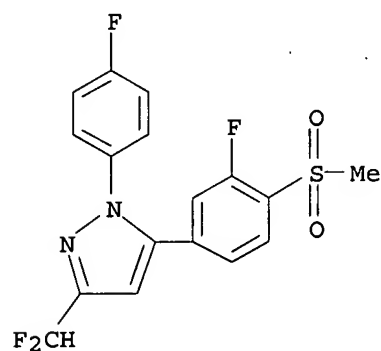
RN 370874-44-5 CAPLUS

CN 1H-Pyrazole, 5-[3-fluoro-4-(methanesulfonyl)phenyl]-1-(3-methylphenyl)-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



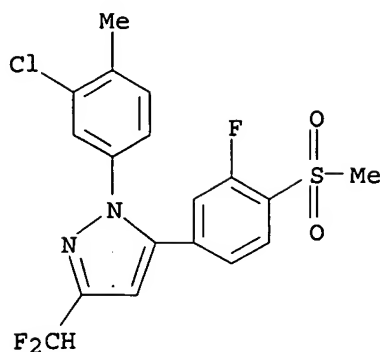
RN 370874-45-6 CAPLUS

CN 1H-Pyrazole, 3-(difluoromethyl)-5-[3-fluoro-4-(methanesulfonyl)phenyl]-1-(4-fluorophenyl)- (9CI) (CA INDEX NAME)



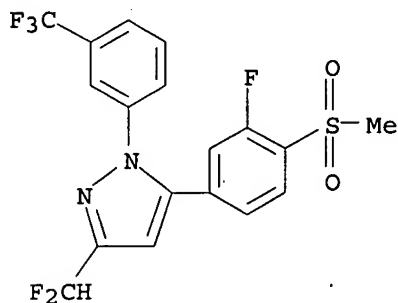
RN 370874-47-8 CAPLUS

CN 1H-Pyrazole, 1-(3-chloro-4-methylphenyl)-3-(difluoromethyl)-5-[3-fluoro-4-(methanesulfonyl)phenyl]- (9CI) (CA INDEX NAME)

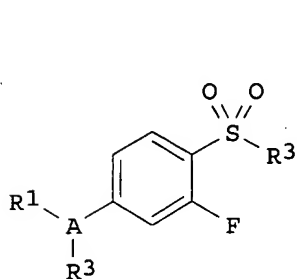


RN 370874-48-9 CAPLUS

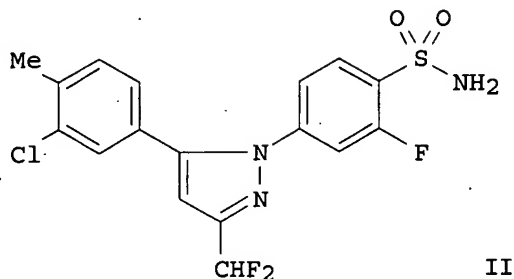
CN 1H-Pyrazole, 3-(difluoromethyl)-5-[3-fluoro-4-(methylsulfonyl)phenyl]-1-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



GI



I



II

AB 2-Fluorobenzenesulfonyl-heterocycles, such as I [A = 5 or 6 membered heterocycle or carbocycle, such as pyrazole, thiophene, isoxazole, furan; R1 = cyclohexyl, pyridinyl, Ph; R2 = Me, NH<sub>2</sub>; R3 = H, oxo, CN, halogen, alkyl, alkenyl, carboxyl, haloalkyl, heterocyclyl, cycloalkenyl, aminocarbonyl, etc.] with COX-1 and COX-2 inhibiting activity, were prepared for therapeutic use as anti-inflammatory agents. Thus, pyrazole II was prepared via a multistep synthetic sequence in which the last step was a cyclocondensation reaction of 4-H<sub>2</sub>NSO<sub>2</sub>-3-F-C<sub>6</sub>H<sub>3</sub>NHNH<sub>2</sub> and 3-Cl-4-Me-C<sub>6</sub>H<sub>3</sub>COCH<sub>2</sub>COCHF<sub>2</sub> achieved by refluxing for 1 h. concentrated HCl in EtOH to give II with 53% yield. The prepared heterocycles were tested for COX-1 and -2 inhibiting activity.

L4 ANSWER 33 OF 62 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:699248 CAPLUS

DOCUMENT NUMBER: 136:2171

TITLE: QSAR and k-Nearest Neighbor Classification Analysis of Selective Cyclooxygenase-2 Inhibitors Using Topologically-Based Numerical Descriptors

AUTHOR(S): Kauffman, Gregory W.; Jurs, Peter C.

CORPORATE SOURCE: Department of Chemistry, The Pennsylvania State University, University Park, PA, 16802, USA

SOURCE: Journal of Chemical Information and Computer Sciences (2001), 41(6), 1553-1560  
CODEN: JCISD8; ISSN: 0095-2338

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

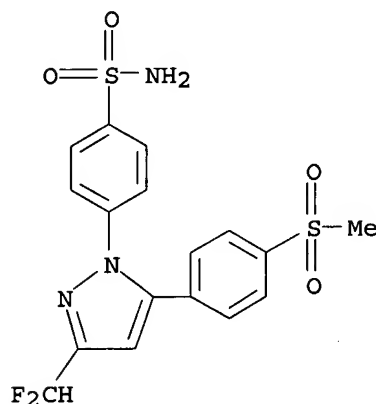
IT 170570-43-1

RL: BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(cyclooxygenase-2 inhibitor; QSAR and k-nearest neighbor classification anal. of selective cyclooxygenase-2 inhibitors using topol.-based numerical descriptors)

RN 170570-43-1 CAPLUS

CN Benzenesulfonamide, 4-[3-(difluoromethyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



AB Exptl. IC50 data for 314 selective cyclooxygenase-2 (COX-2) inhibitors are used to develop quantitation and classification models as a potential screening mechanism for larger libraries of target compds. Exptl. log(IC50) values ranged from 0.23 to  $\geq 5.00$ . Numerical descriptors encoding solely topol. information are calculated for all structures and are used as inputs for linear regression, computational neural network, and classification anal. routines. Evolutionary optimization algorithms are then used to search the descriptor space for information-rich subsets which minimize the rms error of a diverse training set of compds. An eight-descriptor model was identified as a robust predictor of exptl. log(IC50) values, producing a root-mean-square error of 0.625 log units for an external prediction set of inhibitors which took no part in model development. A k-nearest neighbor classification study of the data set discriminating between active and inactive members produced a nine-descriptor model able to accurately classify 83.3% of the prediction set compds. correctly.

REFERENCE COUNT: 50 THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS

## RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 34 OF 62 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:167997 CAPLUS

DOCUMENT NUMBER: 134:207814

TITLE: Preparation of sulfonylphenylpyrazoles as COX-2 inhibitors

INVENTOR(S): Kolasa, Teodozyj; Patel, Meena V.

PATENT ASSIGNEE(S): Abbott Laboratories, USA

SOURCE: PCT Int. Appl., 101 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001016138	A1	20010308	WO 2000-US23214	20000824
W: CA, JP, MX				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2379421	AA	20010308	CA 2000-2379421	20000824
EP 1206474	A1	20020522	EP 2000-955867	20000824
EP 1206474	B1	20040526		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
AT 267830	E	20040615	AT 2000-955867	20000824
PT 1206474	T	20041029	PT 2000-955867	20000824
ES 2222919	T3	20050216	ES 2000-955867	20000824
US 6472416	B1	20021029	US 2000-648202	20000825
PRIORITY APPLN. INFO.:			US 1999-151247P	P 19990827
			US 1999-384954	A 19990827
			WO 2000-US23214	W 20000824

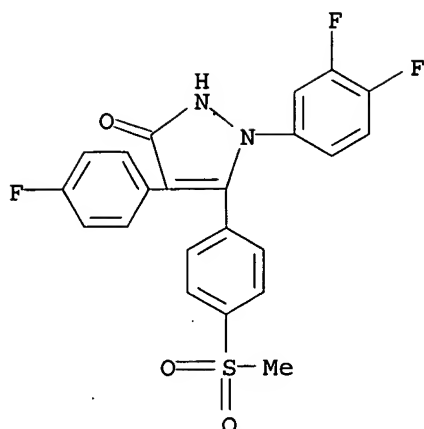
OTHER SOURCE(S): MARPAT 134:207814

IT 329076-51-9P 329076-52-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of sulfonylphenylpyrazoles as COX-2 inhibitors)

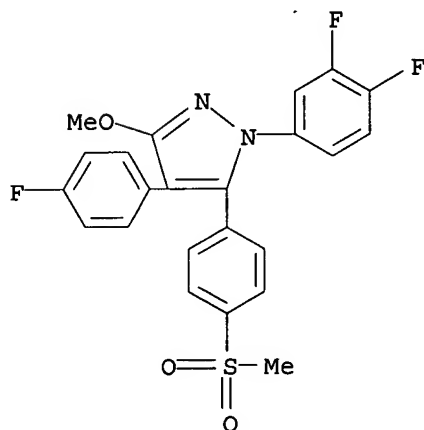
RN 329076-51-9 CAPLUS

CN 3H-Pyrazol-3-one, 1-(3,4-difluorophenyl)-4-(4-fluorophenyl)-1,2-dihydro-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 329076-52-0 CAPLUS

CN 1H-Pyrazole, 1-(3,4-difluorophenyl)-4-(4-fluorophenyl)-3-methoxy-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. [I-III; one of R1 and R2 = IV, V (wherein R7 = alkyl, NH2, (di)alkylamino; X4 = SO2, SO(NR8); R8 = H, alkyl, cycloalkyl; R9 = H, halo) and the other of R1 and R2 = hydroxyalkyl, halo, alkyl, etc.; R3 = alkyl, alkenyl, aryl, etc.; R4 = H, alkyl, alkenyl, etc.; X1 = O, NR4, S; X2 = O(CH2)n, S(CH2)n, NR4(CH2)n (n = 0-1), etc.; X3 = absent, CH2, CR15R16 (R15, R16 = H, alkyl); R5, R6 = H, alkyl, aryl, etc.; R5 and R6 taken together with the atoms to which they are attached = (un)substituted 5-7 membered ring, optionally aromatic, and optionally containing 1-2 heteroatoms

selected from O, N, and S], useful in the treatment of cyclooxygenase-2 mediated diseases, were prepared E.g., a multi-step synthesis of the pyrazolooxazine VI which showed IC50 of 720 nM against COX-2, was given.

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS



RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 35 OF 62 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:150965 CAPLUS

DOCUMENT NUMBER: 135:286

TITLE: The anti-inflammatory effect of FR188582, a highly selective inhibitor of cyclooxygenase-2, with an ulcerogenic sparing effect in rats

AUTHOR(S): Ochi, Takehiro; Yamane-Sugiyama, Aiko; Ohkubo, Yoshitaka; Sakane, Kazuo; Tanaka, Hirokazu

CORPORATE SOURCE: Department of Immunology and Inflammation, Medicinal Biology Research Laboratories, Fujisawa Pharmaceutical Co., Ltd., Osaka, 532-8514, Japan

SOURCE: Japanese Journal of Pharmacology (2001), 85(2), 175-182

CODEN: JJPAAZ; ISSN: 0021-5198

PUBLISHER: Japanese Pharmacological Society

DOCUMENT TYPE: Journal

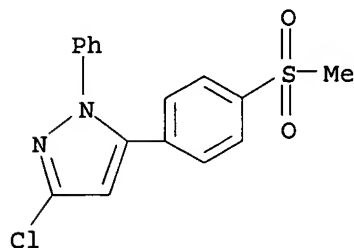
LANGUAGE: English

IT 189699-82-9

RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (anti-inflammatory and ulcerogenic effect of FR188582, a cyclooxygenase-2 inhibitor, in rats)

RN 189699-82-9 CAPLUS

CN 1H-Pyrazole, 3-chloro-5-[4-(methylsulfonyl)phenyl]-1-phenyl- (9CI) (CA INDEX NAME)



AB The anti-inflammatory and ulcerogenic effects of FR188582, 3-chloro-5-[4-(methylsulfonyl)phenyl]-1-phenyl-1H-pyrazole, were investigated. In a recombinant human cyclooxygenase (COX) enzyme activity, FR188582 inhibited COX-2 with an IC<sub>50</sub> value of 0.017  $\mu$ M, and the inhibition of prostaglandin (PG) E<sub>2</sub> formation by FR188582 was over 6000 times more selective for COX-2 than COX-1. Oral administration of FR188582 dose-dependently inhibited adjuvant arthritis. This effect was threefold more potent than that of indomethacin. FR188582 and indomethacin dose-dependently suppressed the formation of immunoreactive PGE<sub>2</sub>, but not immunoreactive leukotriene B<sub>4</sub>, in arthritic paw. Unlike indomethacin, FR188582 did not induce visible gastric lesions in rats at doses up to 32 mg/kg, p.o. Furthermore, FR188582 did not inhibit the level of immunoreactive PGE<sub>2</sub> and immunoreactive 6-keto PGF<sub>1 $\alpha$</sub>  in rat gastric mucosa. These results suggest that FR188582, a highly selective COX-2 inhibitor, has a potent anti-inflammatory effect mediated by inhibition of PGE<sub>2</sub> in inflamed tissues. The safety profile of FR188582 appears to be improved over the safety profile of indomethacin.

REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 36 OF 62 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2000:790480 CAPLUS  
 DOCUMENT NUMBER: 133:335232  
 TITLE: Preparation of pyrazoles as antiinflammatory agents  
 INVENTOR(S): Lohray, Vidya Bhushan; Sunil, Kumar Singh; Akella, Venkateswarlu; Lohray, Braj Bhushan; Pamulapati, Ganapathi Reddy; Ramanujam, Rajagopalan; Parimal, Misra  
 PATENT ASSIGNEE(S): Reddy's Research Foundation, India  
 SOURCE: PCT Int. Appl., 134 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000066562	A1	20001109	WO 2000-IB556	20000502
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: IN 1999-MA508 A 19990503

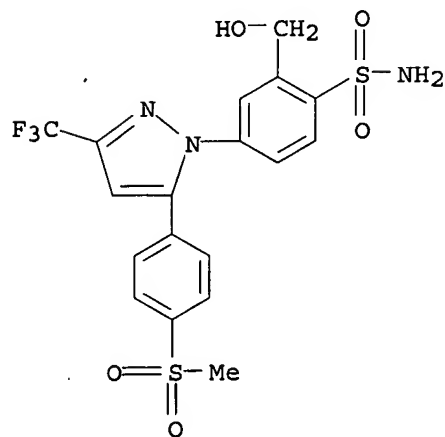
OTHER SOURCE(S): MARPAT 133:335232

IT 304647-89-0P 304648-08-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of pyrazoles as antiinflammatory agents)

RN 304647-89-0 CAPLUS

CN Benzenesulfonamide, 2-(hydroxymethyl)-4-[5-[4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

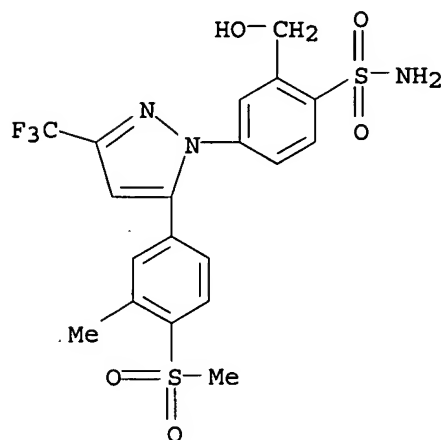


RN 304648-08-6 CAPLUS

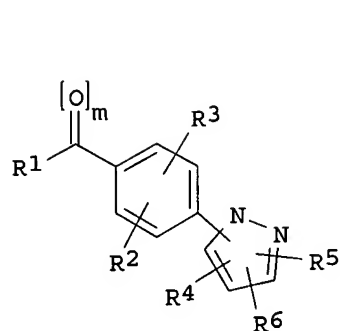
CN Benzenesulfonamide, 2-(hydroxymethyl)-4-[5-[3-methyl-4-

10/764,529

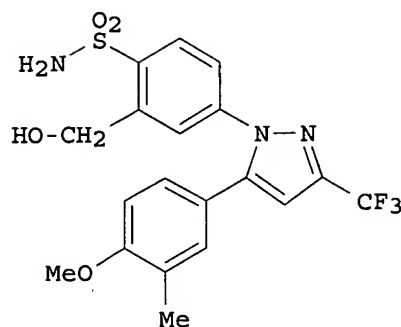
(methylsulfonyl)phenyl]-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



GI



I



II

AB The title compds. [I; R<sub>1</sub> = NH<sub>2</sub>, alkyl, alkylamino, etc.; R<sub>2</sub> = CN, NO<sub>2</sub>, N<sub>3</sub>, etc.; R<sub>3</sub> = H, halo, OH, etc.; R<sub>4</sub>-R<sub>6</sub> = H, halo, OH, etc.; m = 0-2], useful for the treatment and/or prophylaxis of diseases of cyclooxygenase, more particularly COX-2, were prepared E.g., a multi-step synthesis of the pyrazole II which showed IC<sub>50</sub> of 0.56 ± 0.03 (100 μM) against COX-2 vs. IC<sub>50</sub> of 264 ± 0.5 (100 μM) against COX-1, was given.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 37 OF 62 CAPLUS COPYRIGHT 2005 ACS on STN

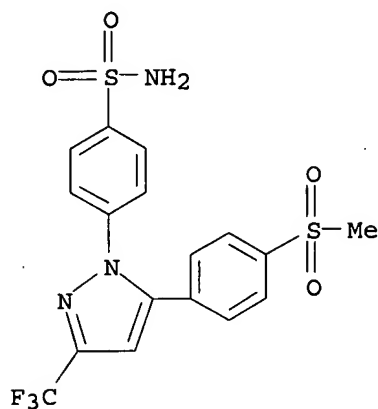
ACCESSION NUMBER: 2000:512989 CAPLUS

DOCUMENT NUMBER: 134:25105

TITLE: Three-dimensional quantitative structural activity relationship (3D-QSAR) studies of some 1,5-diarylpyrazoles: Analogue based design of selective cyclooxygenase-2 inhibitors

AUTHOR(S): Desiraju, Gautam R.; Gopalakrishnan, Bulusu; Jetty, Ram K. R.; Raveendra, Dayam; Sarma, Jagarlapudi A. R.

P.; Subramanya, Hosahalli S.  
 CORPORATE SOURCE: School of Chemistry, University of Hyderabad,  
 Hyderabad, 500 046, India  
 SOURCE: Molecules [online computer file] (2000), 5(7), 945-955  
 CODEN: MOLEFW; ISSN: 1420-3049  
 URL: <http://www.mdpi.org/molecules/papers/50700945.pdf>  
 PUBLISHER: Molecular Diversity Preservation International  
 DOCUMENT TYPE: Journal; (online computer file)  
 LANGUAGE: English  
 IT 312304-51-1  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)  
 (3D-QSAR studies of some 1,5-diarylpyrazoles: design of selective cyclooxygenase-2 inhibitors)  
 RN 312304-51-1 CAPLUS  
 CN Benzenesulfonamide, 4-[5-[4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



AB Selective cyclooxygenase inhibitors have attracted much attention in recent times in the design of new non-steroidal anti-inflammatory drugs (NSAID). 3D-QSAR studies have been performed on a series of 1,5-diarylpyrazoles that act as selective cyclooxygenase-2 (COX-2) inhibitors, using three different methods: comparative mol. field anal. (ComFA) with partial least squares (PLS) fit; mol. field anal. (MFA) and; receptor surface anal. (RSA) with genetic function algorithms (GFA). The analyses were carried out on 30 analogs of which 25 were used in the training set and the rest considered for the test set. These studies produced reasonably good predictive models with high cross-validated and conventional  $r^2$  values in all the three cases.

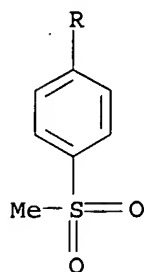
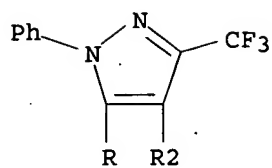
REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 38 OF 62 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 2000:456913 CAPLUS  
 DOCUMENT NUMBER: 133:84241  
 TITLE: Combination therapy of radiation and a cyclooxygenase 2 (COX-2) inhibitor for the treatment of neoplasia  
 INVENTOR(S): McKearn, John P.; Masferrer, Jaime L.; Milas, Luka  
 PATENT ASSIGNEE(S): G.D. Searle and Co., USA  
 SOURCE: PCT Int. Appl., 96 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English

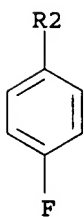
FAMILY ACC. NUM. COUNT: 21  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000038716	A1	20000706	WO 1999-US30669	19991222
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6649645	B1	20031118	US 1999-385214	19990827
CA 2356547	AA	20000706	CA 1999-2356547	19991222
EP 1140181	A1	20011010	EP 1999-968939	19991222
EP 1140181	B1	20041110		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9916544	A	20020108	BR 1999-16544	19991222
JP 2002535249	T2	20021022	JP 2000-590667	19991222
AU 769665	B2	20040129	AU 2000-27134	19991222
AU 2000027134	A5	20000731		
AT 281845	E	20041115	AT 1999-968939	19991222
PT 1140181	T	20050228	PT 1999-968939	19991222
EP 1522313	A1	20050413	EP 2004-26577	19991222
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, RO, CY				
ES 2232205	T3	20050516	ES 1999-968939	19991222
NO 2001003064	A	20010823	NO 2001-3064	20010620
US 2004053934	A1	20040318	US 2003-460866	20030613
US 2004053935	A1	20040318	US 2003-461983	20030613
PRIORITY APPLN. INFO.:			US 1998-113786P	P 19981223
			US 1999-385214	A 19990827
			EP 1999-968939	A3 19991222
			WO 1999-US30669	W 19991222
IT 165251-89-8 165251-89-8D, derivs.				
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)				
(COX-2 inhibitor-radiotherapy combination for neoplasia treatment)				
RN 165251-89-8 CAPLUS				
CN 1H-Pyrazole, 4-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-1-phenyl-3-(trifluoromethyl)-(9CI) (CA INDEX NAME)				

PAGE 1-A

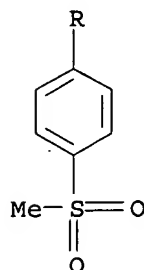
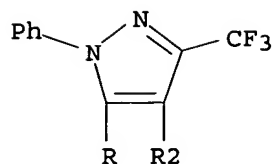


PAGE 2-A

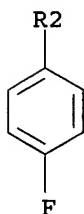


RN 165251-89-8 CAPLUS  
CN 1H-Pyrazole, 4-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-1-phenyl-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



AB Methods are provided to treat or prevent neoplasia disorders in a mammal using a combination of radiation therapy and a COX-2 inhibitor.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 39 OF 62 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2000:227637 CAPLUS

DOCUMENT NUMBER: 132:251149

TITLE: Preparation of phenylpyrazoles as cyclooxygenase-2 inhibitors

INVENTOR(S): Konishi, Nobukiyo; Nakamura, Katsuya; Yamamoto, Hirofumi; Manabe, Takashi

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 90 pp.  
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000018741	A2	20000406	WO 1999-JP5289	19990927
WO 2000018741	A3	20000608		

10/764,529

W: BR, CA, CN, JP, KR, US

RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,  
PT, SE

PRIORITY APPLN. INFO.:

AU 1998-6231

A 19980930

AU 1999-2243

A 19990816

OTHER SOURCE(S): MARPAT 132:251149

IT 262850-06-6P 262850-08-8P 262850-10-2P

262850-11-3P 262850-14-6P 262850-25-9P

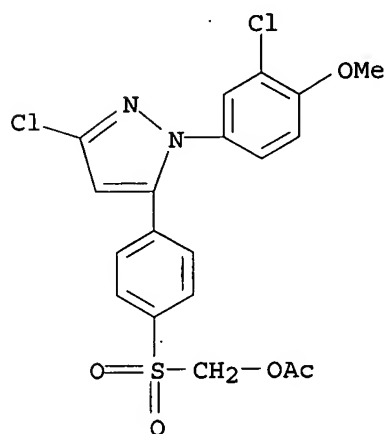
262850-27-1P 262850-97-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of phenylpyrazoles as cyclooxygenase-2 inhibitors)

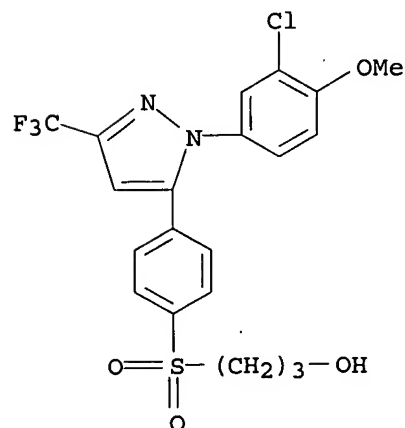
RN 262850-06-6 CAPLUS

CN Methanol, [[4-[3-chloro-1-(3-chloro-4-methoxyphenyl)-1H-pyrazol-5-yl]phenyl]sulfonyl]-, acetate (ester) (9CI) (CA INDEX NAME)



RN 262850-08-8 CAPLUS

CN 1-Propanol, 3-[[4-[1-(3-chloro-4-methoxyphenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



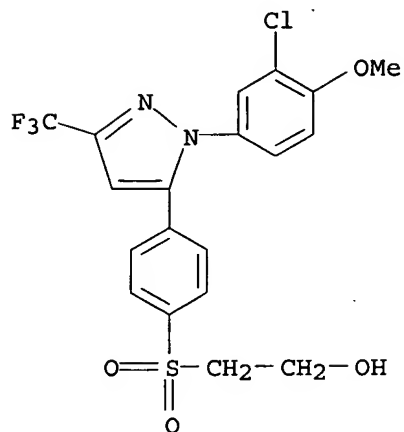
RN 262850-10-2 CAPLUS

CN Ethanol, 2-[[4-[1-(3-chloro-4-methoxyphenyl)-3-(trifluoromethyl)-1H-



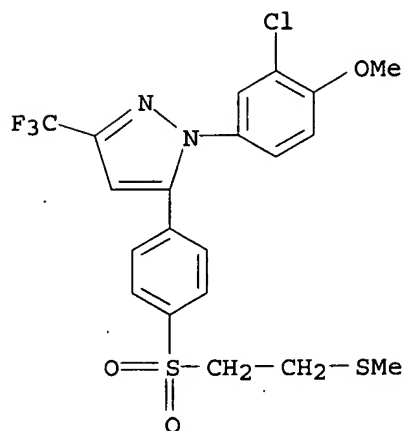
10/764,529

pyrazol-5-yl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



RN 262850-11-3 CAPLUS

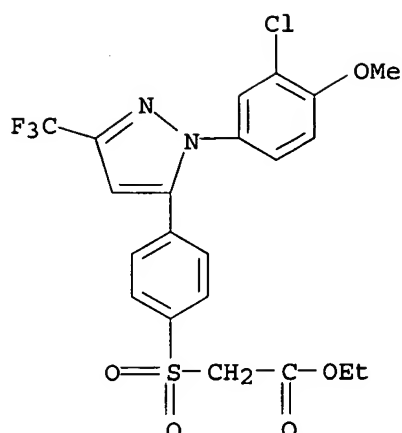
CN 1H-Pyrazole, 1-(3-chloro-4-methoxyphenyl)-5-[4-[[2-(methylthio)ethyl]sulfonyl]phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 262850-14-6 CAPLUS

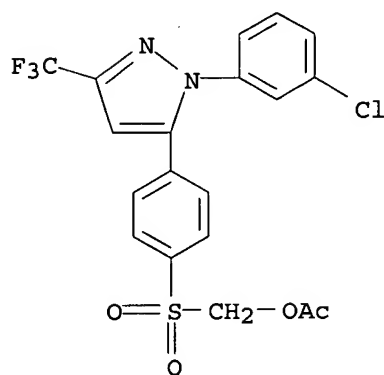
CN Acetic acid, [[4-[1-(3-chloro-4-methoxyphenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]phenyl]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

10/764,529



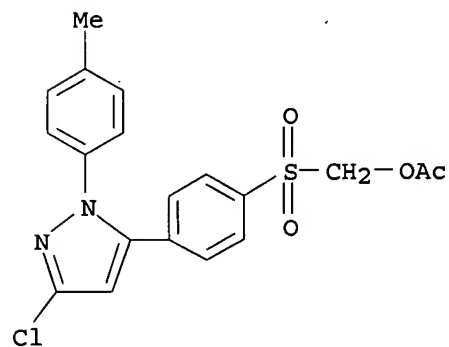
RN 262850-25-9 CAPLUS

CN Methanol, [[4-[1-(3-chlorophenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]phenyl]sulfonyl]-, acetate (ester) (9CI) (CA INDEX NAME)



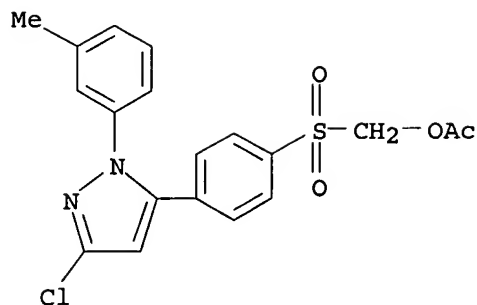
RN 262850-27-1 CAPLUS

CN Methanol, [[4-[3-chloro-1-(4-methylphenyl)-1H-pyrazol-5-yl]phenyl]sulfonyl]-, acetate (ester) (9CI) (CA INDEX NAME)



RN 262850-97-5 CAPLUS

CN Methanol, [[4-[3-chloro-1-(3-methylphenyl)-1H-pyrazol-5-yl]phenyl]sulfonyl]-, acetate (ester) (9CI) (CA INDEX NAME)



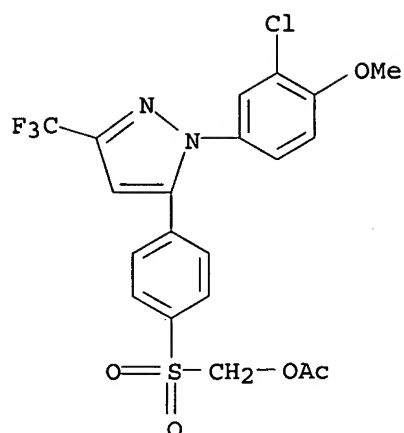
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 262850-20-4P 262850-21-5P 262850-22-6P  
 262850-23-7P 262850-30-6P 262850-31-7P  
 262850-32-8P 262850-33-9P 262850-34-0P  
 262850-36-2P 262850-37-3P 262850-38-4P  
 262850-40-8P 262850-41-9P 262850-42-0P  
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 262850-88-4P 262850-89-5P 262850-91-9P  
 262850-92-0P 262850-93-1P 262850-94-2P  
 262850-95-3P 262850-98-6P 262851-00-3P  
 262851-01-4P 262851-03-6P 262851-04-7P  
 262851-06-9P 262851-07-0P 262851-09-2P  
 262851-10-5P 262851-11-6P 262851-14-9P  
 262851-15-0P 262851-21-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of phenylpyrazoles as cyclooxygenase-2 inhibitors)

RN 262850-07-7 CAPLUS

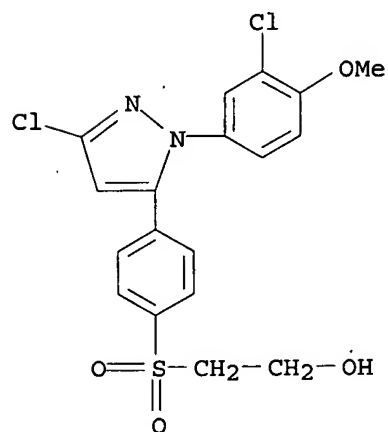
CN Methanol, [[4-[1-(3-chloro-4-methoxyphenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]phenyl]sulfonyl]-, acetate (ester) (9CI) (CA INDEX NAME)

10/764,529



RN 262850-09-9 CAPLUS

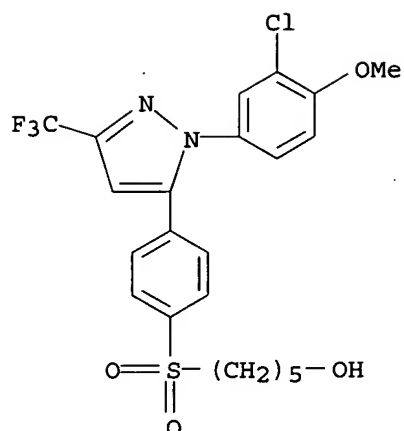
CN Ethanol, 2-[[4-[3-chloro-1-(3-chloro-4-methoxyphenyl)-1H-pyrazol-5-yl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



RN 262850-12-4 CAPLUS

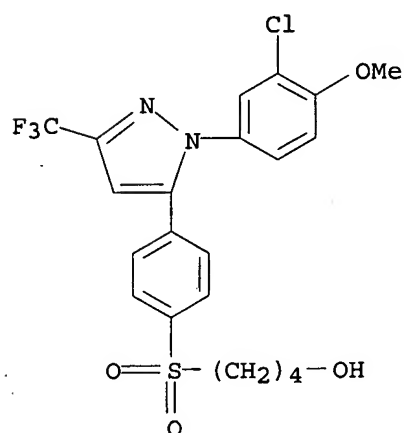
CN 1-Pentanol, 5-[[4-[1-(3-chloro-4-methoxyphenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

10/764,529



RN 262850-13-5 CAPLUS

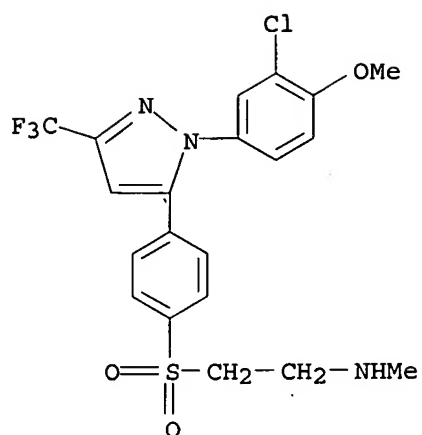
CN 1-Butanol, 4-[[4-[1-(3-chloro-4-methoxyphenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



RN 262850-15-7 CAPLUS

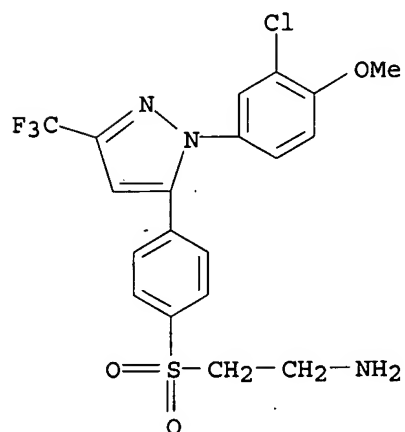
CN Ethanamine, 2-[[4-[1-(3-chloro-4-methoxyphenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]phenyl]sulfonyl]-N-methyl- (9CI) (CA INDEX NAME)

10/764,529



RN 262850-16-8 CAPLUS

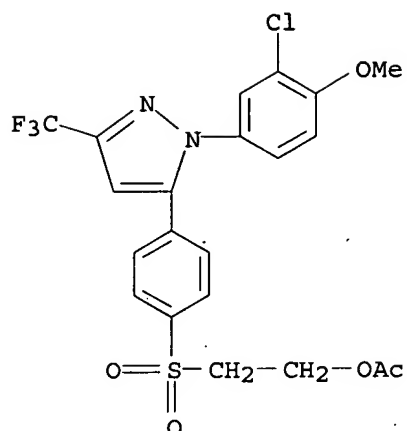
CN Ethanamine, 2-[[4-[1-(3-chloro-4-methoxyphenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



RN 262850-17-9 CAPLUS

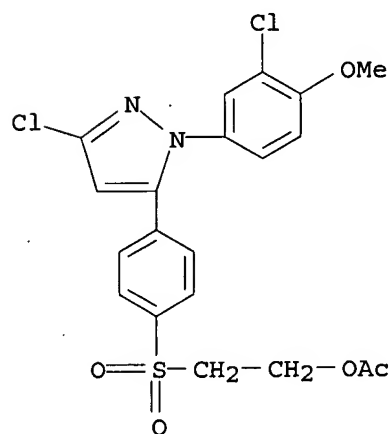
CN Ethanol, 2-[[4-[1-(3-chloro-4-methoxyphenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]phenyl]sulfonyl]-, acetate (ester) (9CI) (CA INDEX NAME)

10/764,529



RN 262850-18-0 CAPLUS

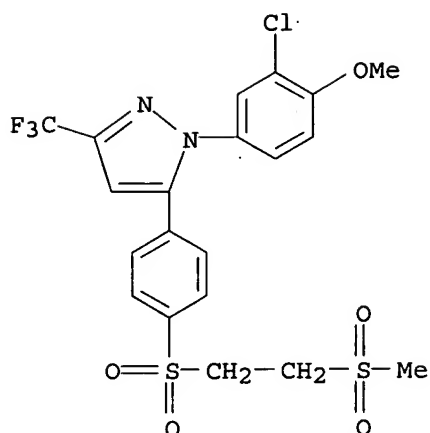
CN Ethanol, 2-[[4-[[3-chloro-1-(3-chloro-4-methoxyphenyl)-1H-pyrazol-5-yl]phenyl]sulfonyl]-, acetate (ester) (9CI) (CA INDEX NAME)



RN 262850-19-1 CAPLUS

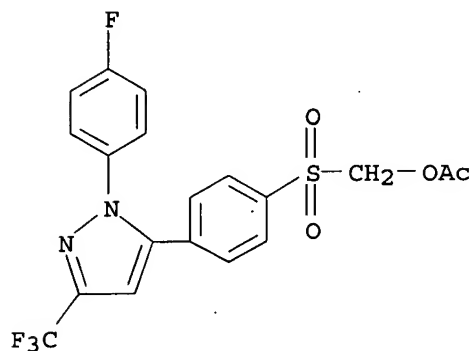
CN 1H-Pyrazole, 1-(3-chloro-4-methoxyphenyl)-5-[4-[[2-(methylsulfonyl)ethyl]sulfonyl]phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

10/764,529



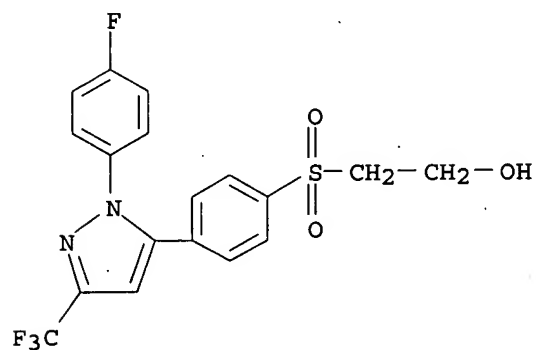
RN 262850-20-4 CAPLUS

CN Methanol, [[4-[1-(4-fluorophenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]phenyl]sulfonyl]-, acetate (ester) (9CI) (CA INDEX NAME)



RN 262850-21-5 CAPLUS

CN Ethanol, 2-[[4-[1-(4-fluorophenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

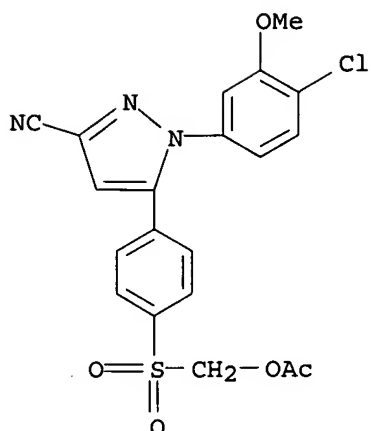


RN 262850-22-6 CAPLUS

CN 1H-Pyrazole-3-carbonitrile, 5-[4-[[[acetyloxy)methyl]sulfonyl]phenyl]-1-(4-chloro-3-methoxyphenyl)- (9CI) (CA INDEX NAME)

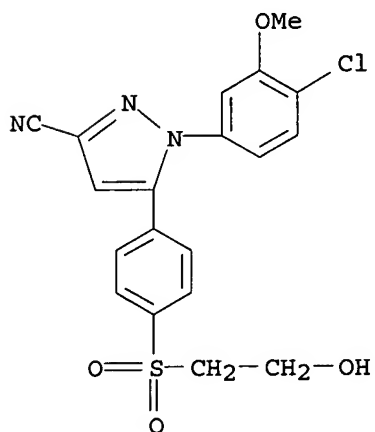


10/764,529



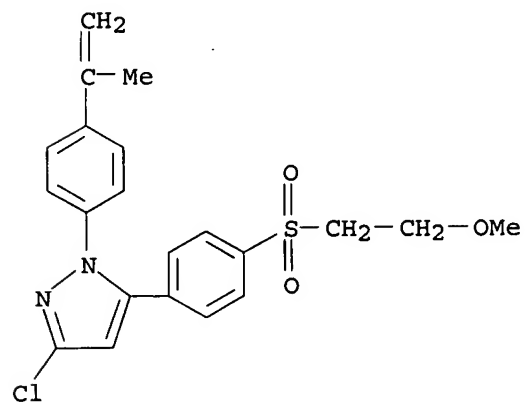
RN 262850-23-7 CAPLUS

CN 1H-Pyrazole-3-carbonitrile, 1-(4-chloro-3-methoxyphenyl)-5-[4-[(2-hydroxyethyl)sulfonyl]phenyl]- (9CI) (CA INDEX NAME)



RN 262850-30-6 CAPLUS

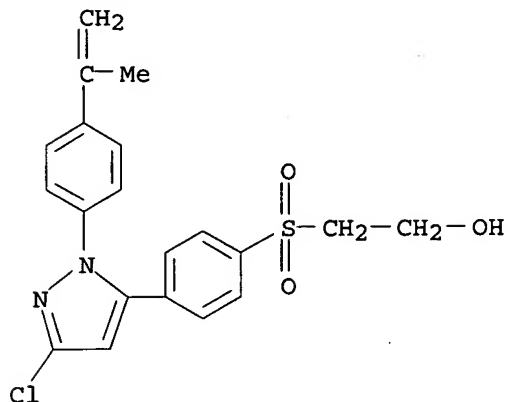
CN 1H-Pyrazole, 3-chloro-5-[4-[(2-methoxyethyl)sulfonyl]phenyl]-1-[4-(1-methylethenyl)phenyl]- (9CI) (CA INDEX NAME)



10/764,529

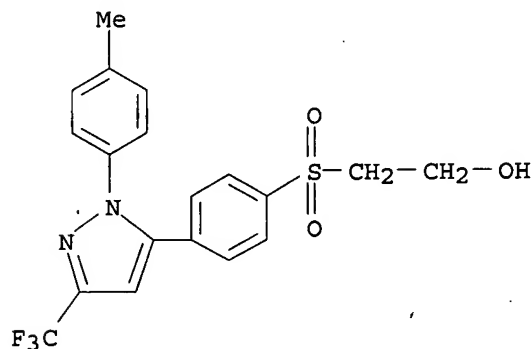
RN 262850-31-7 CAPLUS

CN Ethanol, 2-[[4-[3-chloro-1-[4-(1-methylethenyl)phenyl]-1H-pyrazol-5-yl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



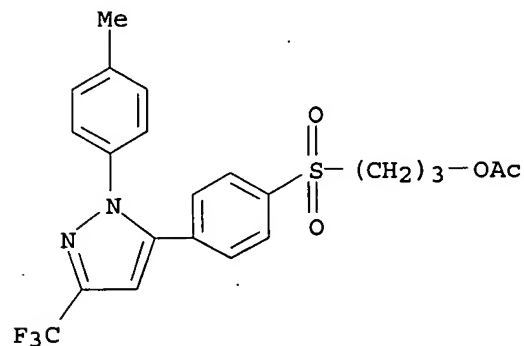
RN 262850-32-8 CAPLUS

CN Ethanol, 2-[[4-[1-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



RN 262850-33-9 CAPLUS

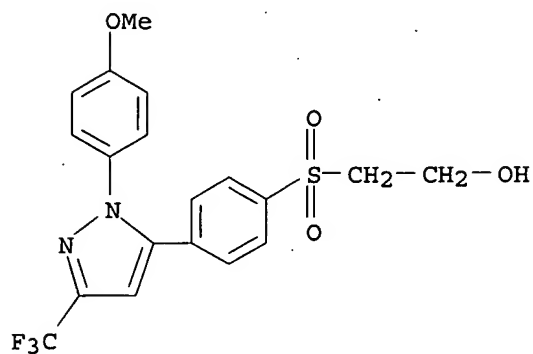
CN 1-Propanol, 3-[[4-[1-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]phenyl]sulfonyl]-, acetate (ester) (9CI) (CA INDEX NAME)



10/764,529

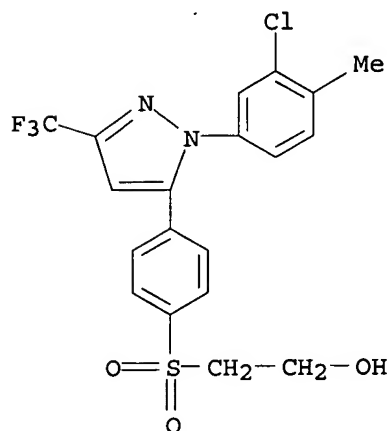
RN 262850-34-0 CAPLUS

CN Ethanol, 2-[[4-[1-(4-methoxyphenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



RN 262850-36-2 CAPLUS

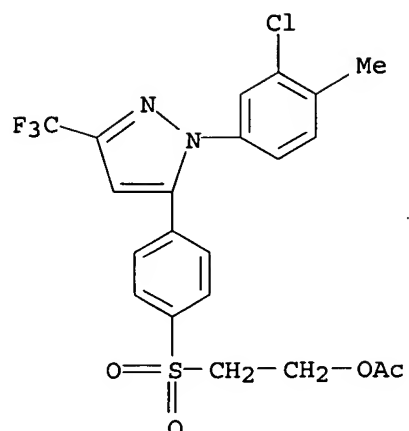
CN Ethanol, 2-[[4-[1-(3-chloro-4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



RN 262850-37-3 CAPLUS

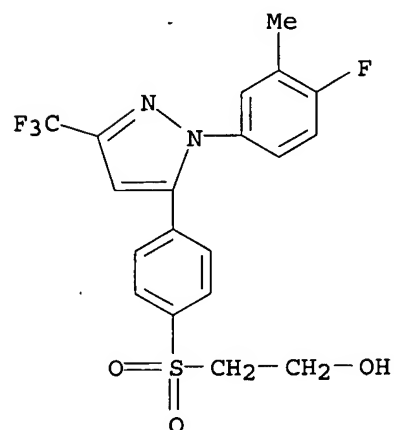
CN Ethanol, 2-[[4-[1-(3-chloro-4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]phenyl]sulfonyl]-, acetate (ester) (9CI) (CA INDEX NAME)

10/764,529



RN 262850-38-4 CAPLUS

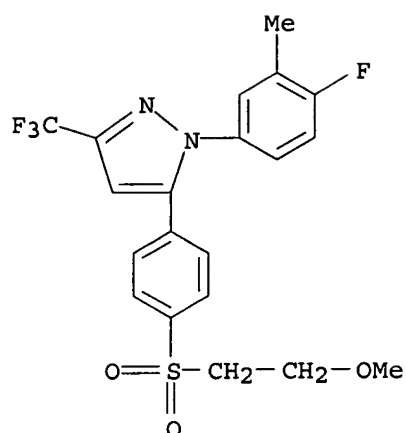
CN Ethanol, 2-[[4-[1-(4-fluoro-3-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



RN 262850-40-8 CAPLUS

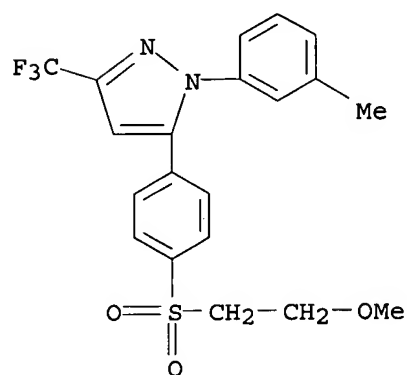
CN 1H-Pyrazole, 1-(4-fluoro-3-methylphenyl)-5-[4-[(2-methoxyethyl)sulfonyl]phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

10/764,529



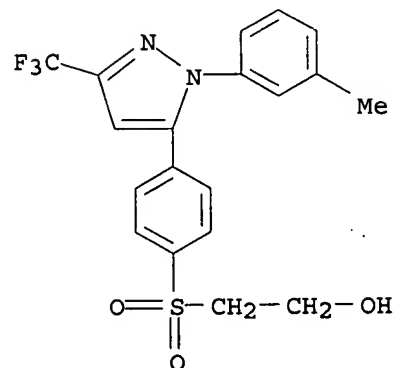
RN 262850-41-9 CAPLUS

CN 1H-Pyrazole, 5-[4-[(2-methoxyethyl)sulfonyl]phenyl]-1-(3-methylphenyl)-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 262850-42-0 CAPLUS

CN Ethanol, 2-[[4-[1-(3-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

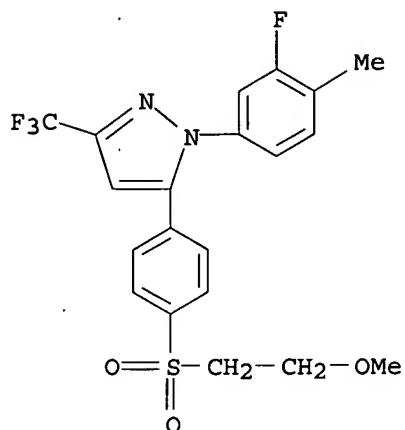


RN 262850-43-1 CAPLUS

CN 1H-Pyrazole, 1-(3-fluoro-4-methylphenyl)-5-[4-[(2-

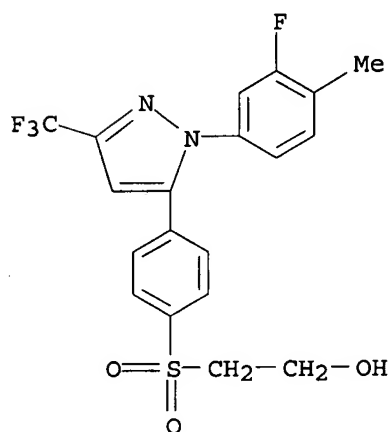
10/764,529

methoxyethyl)sulfonyl]phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



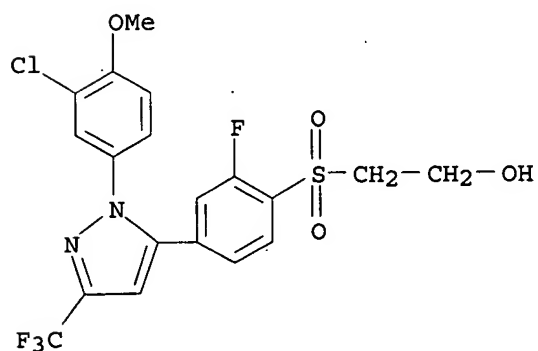
RN 262850-44-2 CAPLUS

CN Ethanol, 2-[[4-[1-(3-fluoro-4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



RN 262850-46-4 CAPLUS

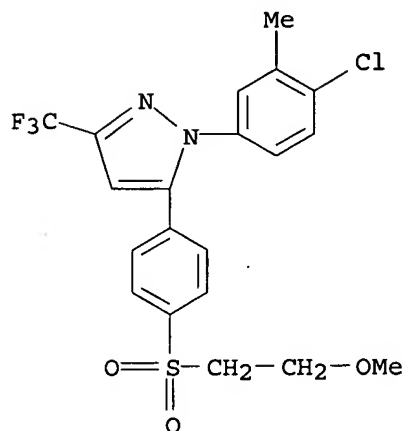
CN Ethanol, 2-[[4-[1-(3-chloro-4-methoxyphenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]-2-fluorophenyl]sulfonyl]- (9CI) (CA INDEX NAME)



10/764,529

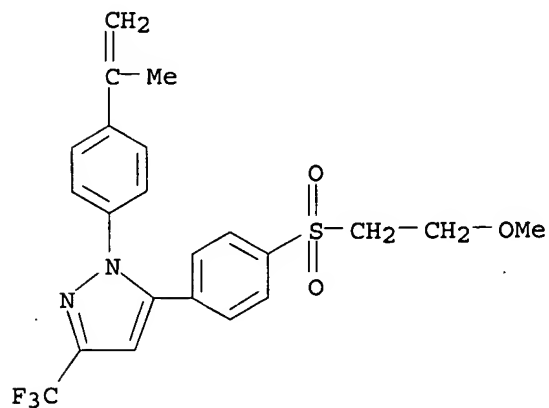
RN 262850-47-5 CAPLUS

CN 1H-Pyrazole, 1-(4-chloro-3-methylphenyl)-5-[4-[(2-methoxyethyl)sulfonyl]phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 262850-49-7 CAPLUS

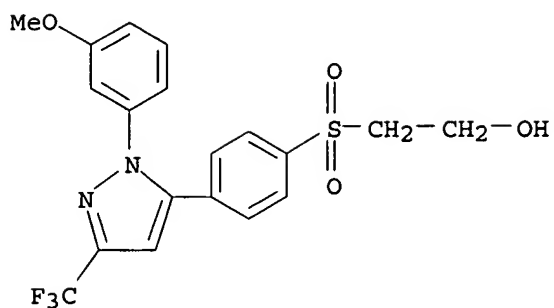
CN 1H-Pyrazole, 5-[4-[(2-methoxyethyl)sulfonyl]phenyl]-1-[4-(1-methylethenyl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 262850-51-1 CAPLUS

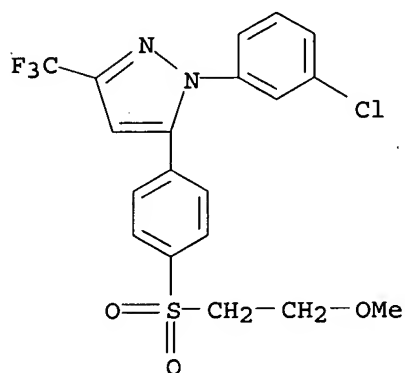
CN Ethanol, 2-[[4-[1-(3-methoxyphenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

10/764,529



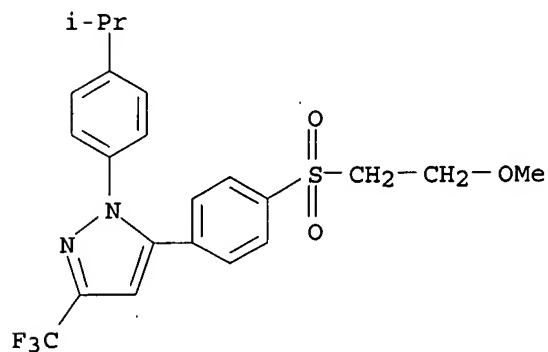
RN 262850-53-3 CAPLUS

CN 1H-Pyrazole, 1-(3-chlorophenyl)-5-[4-[(2-methoxyethyl)sulfonyl]phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 262850-56-6 CAPLUS

CN 1H-Pyrazole, 5-[4-[(2-methoxyethyl)sulfonyl]phenyl]-1-[4-(1-methylethyl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

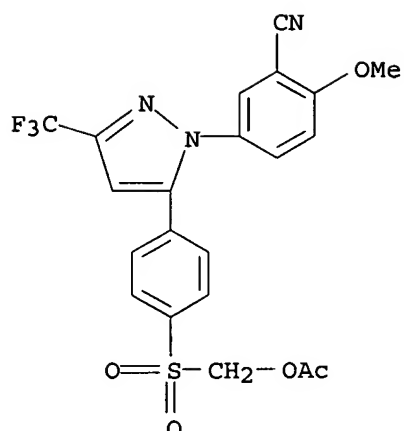


RN 262850-57-7 CAPLUS

CN Benzonitrile, 5-[5-[4-[[[acetyloxy)methyl]sulfonyl]phenyl]-3-(trifluoromethyl)-1H-pyrazol-1-yl]-2-methoxy- (9CI) (CA INDEX NAME)

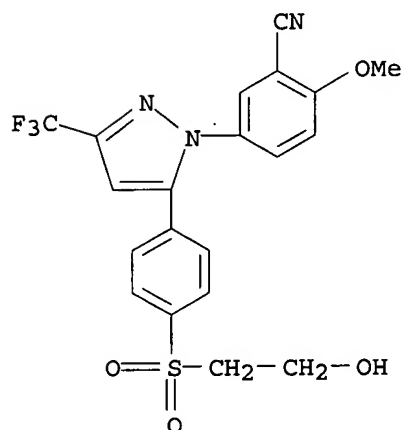


10/764,529



RN 262850-58-8 CAPLUS

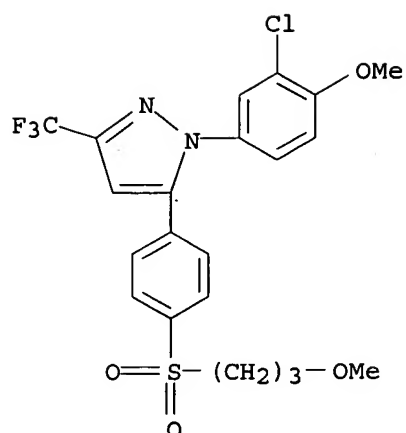
CN Benzonitrile, 5-[5-[4-[(2-hydroxyethyl)sulfonyl]phenyl]-3-(trifluoromethyl)-1H-pyrazol-1-yl]-2-methoxy- (9CI) (CA INDEX NAME)



RN 262850-59-9 CAPLUS

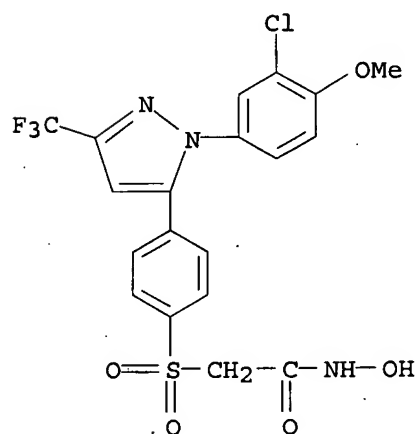
CN 1H-Pyrazole, 1-(3-chloro-4-methoxyphenyl)-5-[4-[(3-methoxypropyl)sulfonyl]phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

10/764,529



RN 262850-60-2 CAPLUS.

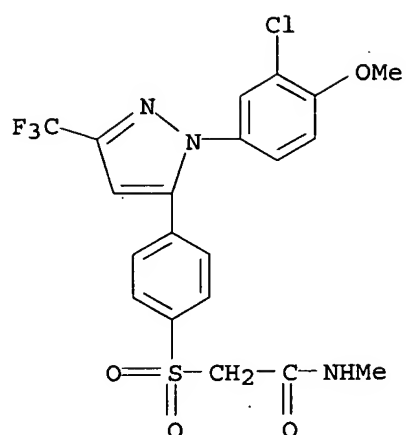
CN Acetamide, 2-[[4-[1-(3-chloro-4-methoxyphenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]phenyl]sulfonyl]-N-hydroxy- (9CI) (CA INDEX NAME)



RN 262850-63-5 CAPLUS

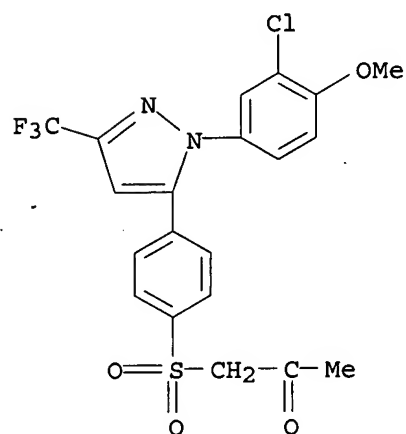
CN Acetamide, 2-[[4-[1-(3-chloro-4-methoxyphenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]phenyl]sulfonyl]-N-methyl- (9CI) (CA INDEX NAME)

10/764,529



RN 262850-64-6 CAPLUS

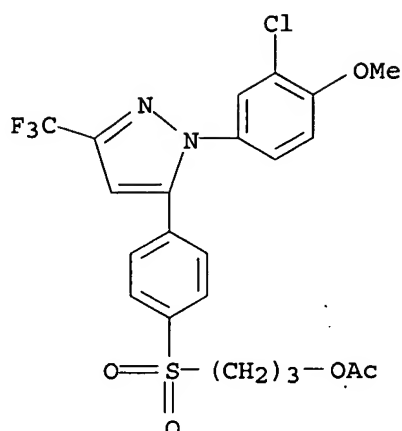
CN 2-Propanone, 1-[[4-[1-(3-chloro-4-methoxyphenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



RN 262850-65-7 CAPLUS

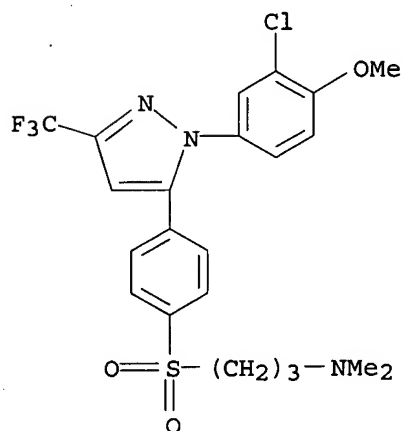
CN 1-Propanol, 3-[[4-[1-(3-chloro-4-methoxyphenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]phenyl]sulfonyl]-, acetate (ester) (9CI) (CA INDEX NAME)

10/764,529



RN 262850-66-8 CAPLUS

CN 1-Propanamine, 3-[[4-[1-(3-chloro-4-methoxyphenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]phenyl]sulfonyl]-N,N-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)

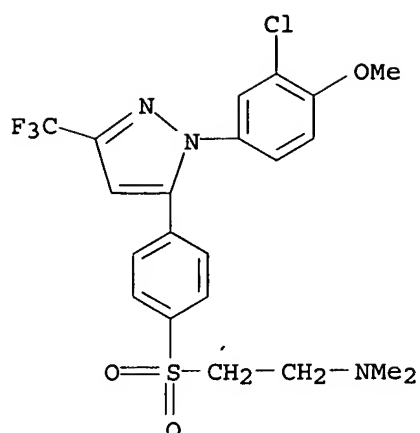


● HCl

RN 262850-67-9 CAPLUS

CN Ethanamine, 2-[[4-[1-(3-chloro-4-methoxyphenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]phenyl]sulfonyl]-N,N-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)

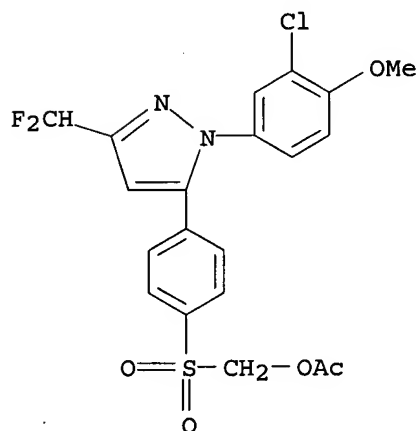
10/764,529



● HCl

RN 262850-68-0 CAPLUS

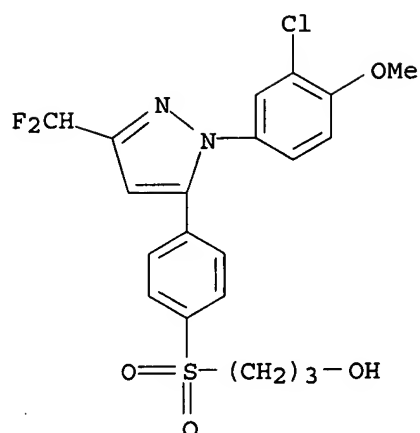
CN Methanol, [[4-[1-(3-chloro-4-methoxyphenyl)-3-(difluoromethyl)-1H-pyrazol-5-yl]phenyl]sulfonyl]-, acetate (ester) (9CI) (CA INDEX NAME)



RN 262850-69-1 CAPLUS

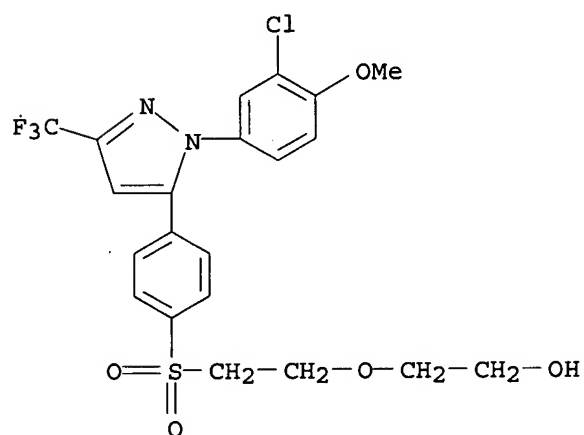
CN 1-Propanol; 3-[[4-[1-(3-chloro-4-methoxyphenyl)-3-(difluoromethyl)-1H-pyrazol-5-yl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

10/764,529



RN 262850-70-4 CAPLUS

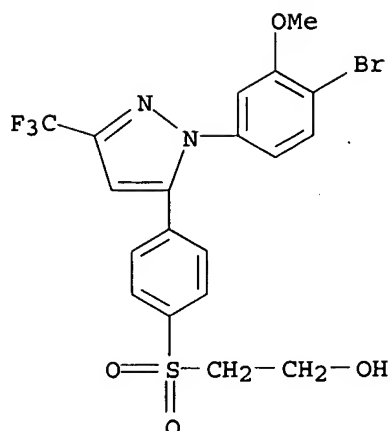
CN Ethanol, 2-[2-[[4-[1-(3-chloro-4-methoxyphenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]phenyl]sulfonyl]ethoxy]- (9CI) (CA INDEX NAME)



RN 262850-73-7 CAPLUS

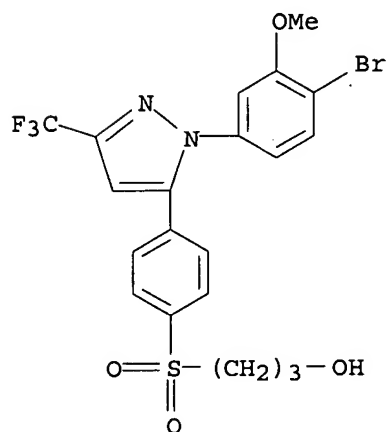
CN Ethanol, 2-[[4-[1-(4-bromo-3-methoxyphenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

10/764,529



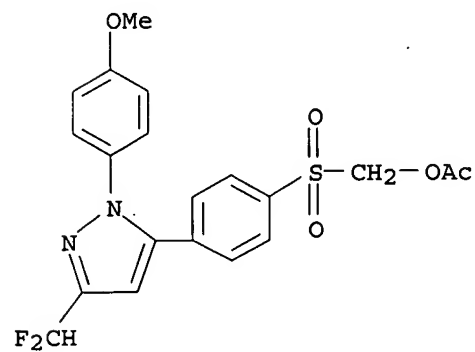
RN 262850-74-8 CAPLUS

CN 1-Propanol, 3-[[4-[1-(4-bromo-3-methoxyphenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



RN 262850-76-0 CAPLUS

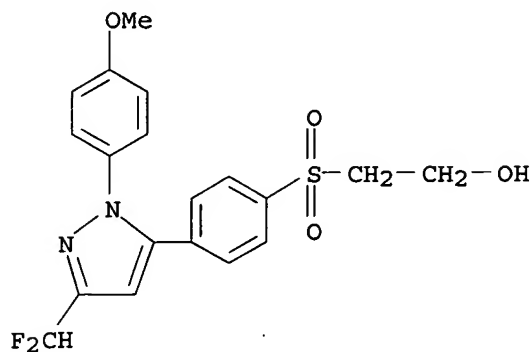
CN Methanol, [[4-[3-(difluoromethyl)-1-(4-methoxyphenyl)-1H-pyrazol-5-yl]phenyl]sulfonyl]-, acetate (ester) (9CI) (CA INDEX NAME)



RN 262850-77-1 CAPLUS

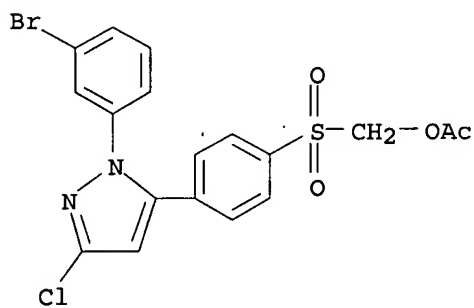
10/764,529

CN Ethanol, 2-[[4-[3-(difluoromethyl)-1-(4-methoxyphenyl)-1H-pyrazol-5-yl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



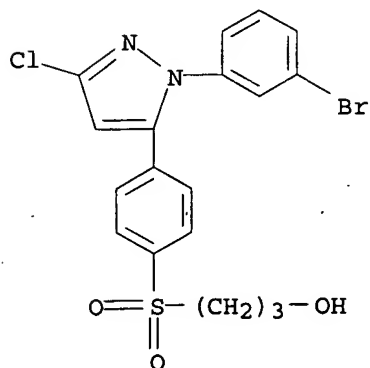
RN 262850-78-2 CAPLUS

CN Methanol, [[4-[1-(3-bromophenyl)-3-chloro-1H-pyrazol-5-yl]phenyl]sulfonyl]-, acetate (ester) (9CI) (CA INDEX NAME)



RN 262850-79-3 CAPLUS

CN 1-Propanol, 3-[[4-[1-(3-bromophenyl)-3-chloro-1H-pyrazol-5-yl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

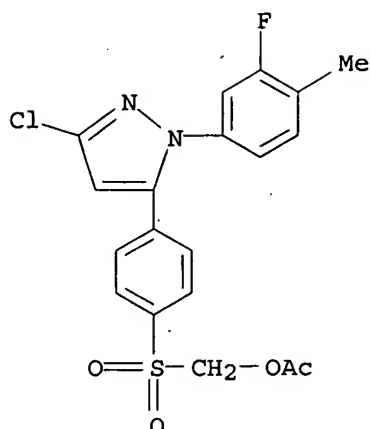


RN 262850-80-6 CAPLUS

CN Methanol, [[4-[3-chloro-1-(3-fluoro-4-methylphenyl)-1H-pyrazol-5-yl]phenyl]sulfonyl]-, acetate (ester) (9CI) (CA INDEX NAME)

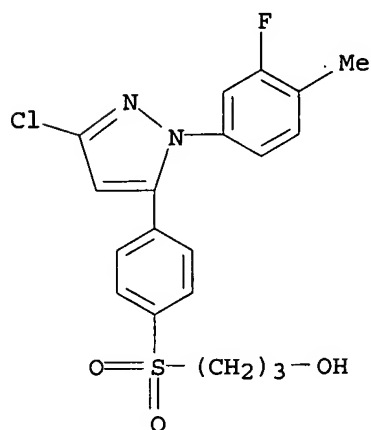


10/764,529



RN 262850-81-7 CAPLUS

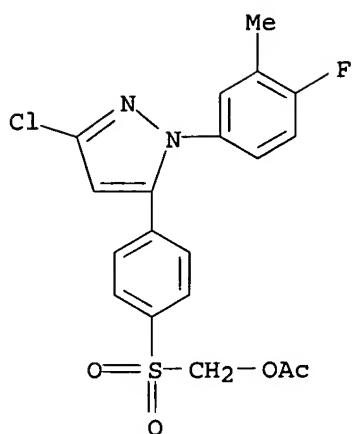
CN 1-Propanol, 3-[[4-[3-chloro-1-(3-fluoro-4-methylphenyl)-1H-pyrazol-5-yl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



RN 262850-82-8 CAPLUS

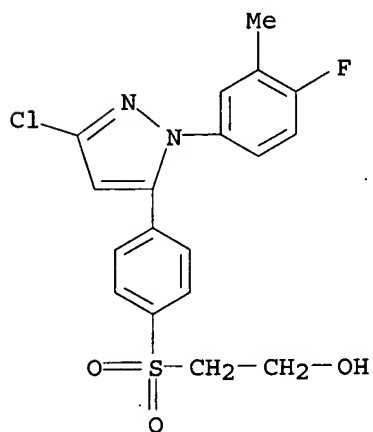
CN Methanol, [[4-[3-chloro-1-(4-fluoro-3-methylphenyl)-1H-pyrazol-5-yl]phenyl]sulfonyl]-, acetate (ester) (9CI) (CA INDEX NAME)

10/764,529



RN 262850-83-9 CAPLUS

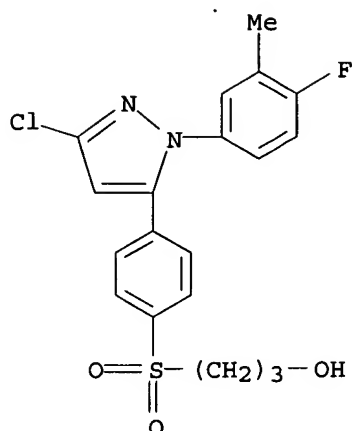
CN Ethanol, 2-[[4-[[3-chloro-1-(4-fluoro-3-methylphenyl)-1H-pyrazol-5-yl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



RN 262850-85-1 CAPLUS

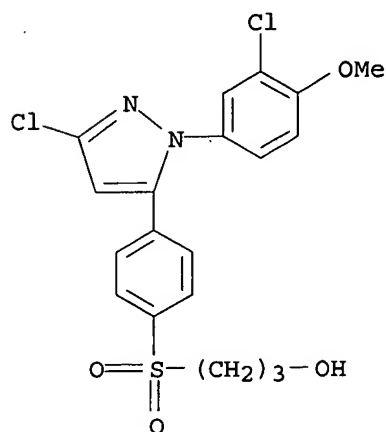
CN 1-Propanol, 3-[[4-[[3-chloro-1-(4-fluoro-3-methylphenyl)-1H-pyrazol-5-yl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

10/764,529



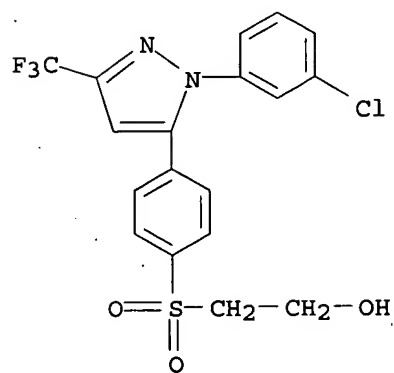
RN 262850-86-2 CAPLUS

CN 1-Propanol, 3-[[4-[3-chloro-1-(3-chloro-4-methoxyphenyl)-1H-pyrazol-5-yl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



RN 262850-87-3 CAPLUS

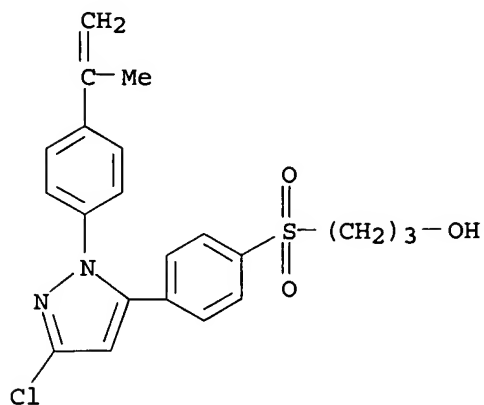
CN Ethanol, 2-[[4-[1-(3-chlorophenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



10/764,529

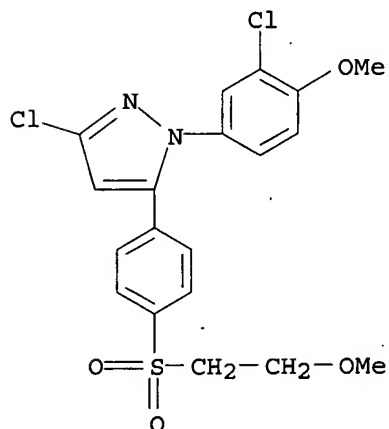
RN 262850-88-4 CAPLUS

CN 1-Propanol, 3-[[4-[3-chloro-1-[4-(1-methylethenyl)phenyl]-1H-pyrazol-5-yl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



RN 262850-89-5 CAPLUS

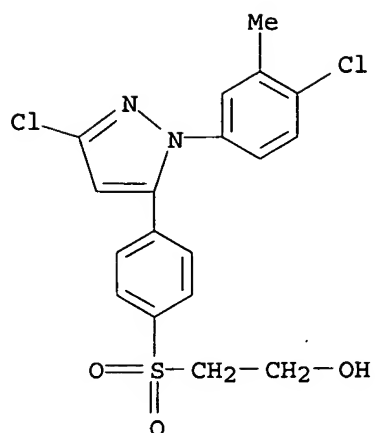
CN 1H-Pyrazole, 3-chloro-1-(3-chloro-4-methoxyphenyl)-5-[4-[(2-methoxyethyl)sulfonyl]phenyl]- (9CI) (CA INDEX NAME)



RN 262850-91-9 CAPLUS

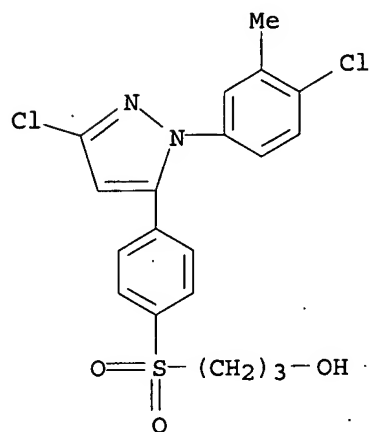
CN Ethanol, 2-[[4-[3-chloro-1-(4-chloro-3-methylphenyl)-1H-pyrazol-5-yl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

10/764,529



RN 262850-92-0 CAPLUS

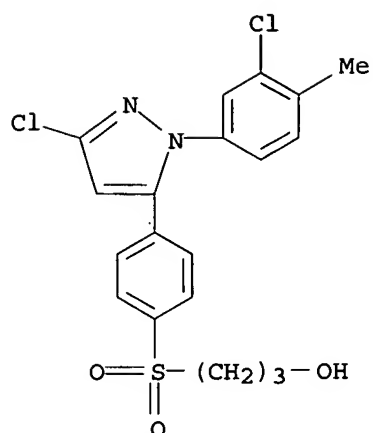
CN 1-Propanol, 3-[[4-[3-chloro-1-(4-chloro-3-methylphenyl)-1H-pyrazol-5-yl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



RN 262850-93-1. CAPLUS

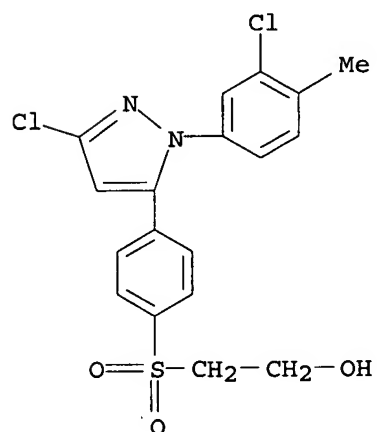
CN 1-Propanol, 3-[[4-[3-chloro-1-(3-chloro-4-methylphenyl)-1H-pyrazol-5-yl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

10/764,529



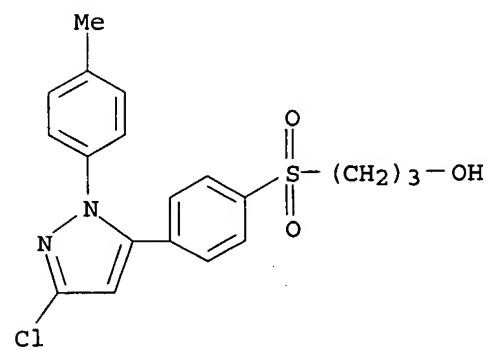
RN 262850-94-2 CAPLUS

CN Ethanol, 2-[[4-[[3-chloro-1-(3-chloro-4-methylphenyl)-1H-pyrazol-5-yl]phenyl]sulfonyl]-(9CI) (CA INDEX NAME)



RN 262850-95-3 CAPLUS

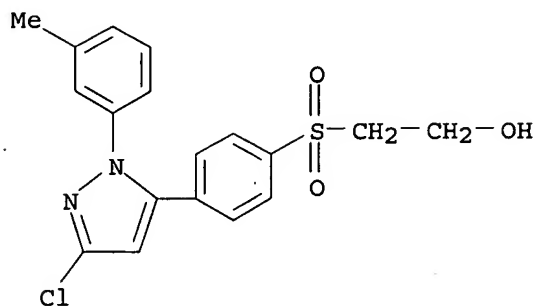
CN 1-Propanol, 3-[[4-[[3-chloro-1-(4-methylphenyl)-1H-pyrazol-5-yl]phenyl]sulfonyl]-(9CI) (CA INDEX NAME)



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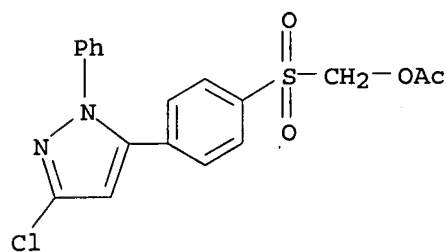
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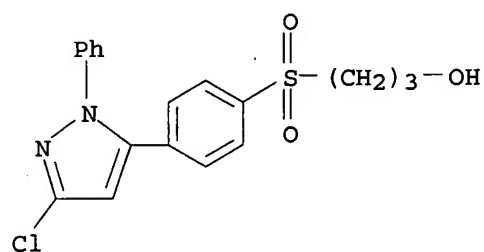
RN 262851-00-3 CAPLUS

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RN 262851-01-4 CAPLUS

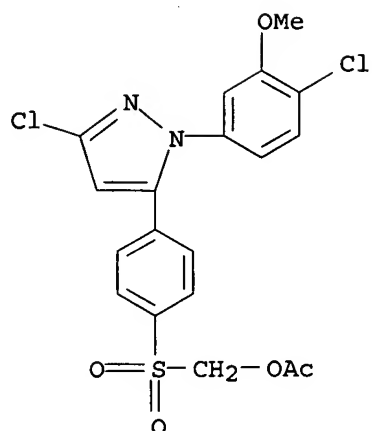
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RN 262851-03-6 CAPLUS

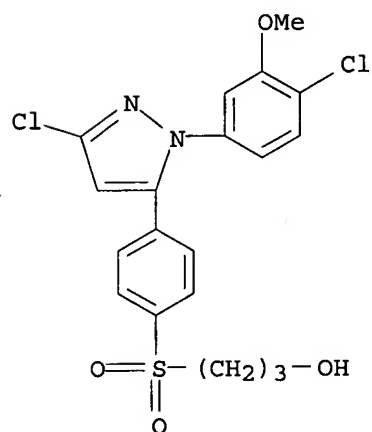
CN Methanol, [[4-[3-chloro-1-(4-chloro-3-methoxyphenyl)-1H-pyrazol-5-yl]phenyl]sulfonyl]-, acetate (ester) (9CI) (CA INDEX NAME)

10/764,529



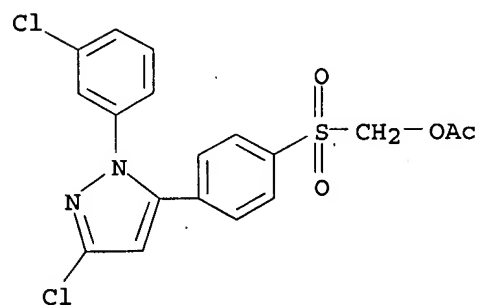
RN 262851-04-7 CAPLUS

CN 1-Propanol, 3-[[4-[3-chloro-1-(4-chloro-3-methoxyphenyl)-1H-pyrazol-5-yl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



RN 262851-06-9 CAPLUS.

CN Methanol, [[4-[3-chloro-1-(3-chlorophenyl)-1H-pyrazol-5-yl]phenyl]sulfonyl]-, acetate (ester) (9CI) (CA INDEX NAME)



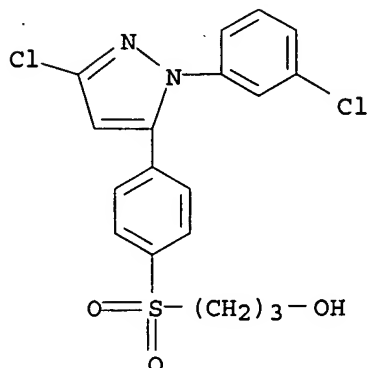
RN 262851-07-0 CAPLUS

CN 1-Propanol, 3-[[4-[3-chloro-1-(3-chlorophenyl)-1H-pyrazol-5-yl]phenyl]sulfonyl]-, acetate (ester) (9CI) (CA INDEX NAME)



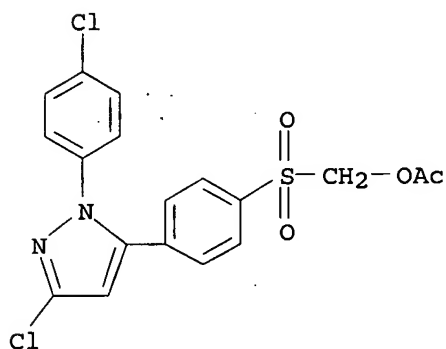
10/764,529

yl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



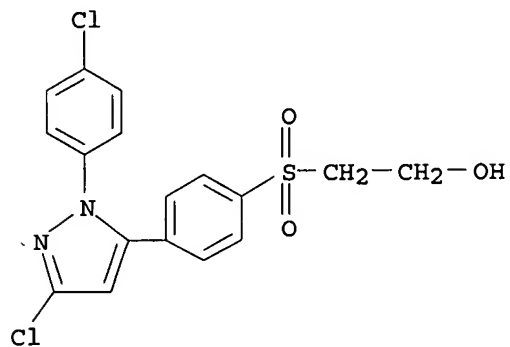
RN 262851-09-2 CAPLUS

CN Methanol, [[4-[3-chloro-1-(4-chlorophenyl)-1H-pyrazol-5-yl]phenyl]sulfonyl]-, acetate (ester) (9CI) (CA INDEX NAME)



RN 262851-10-5 CAPLUS

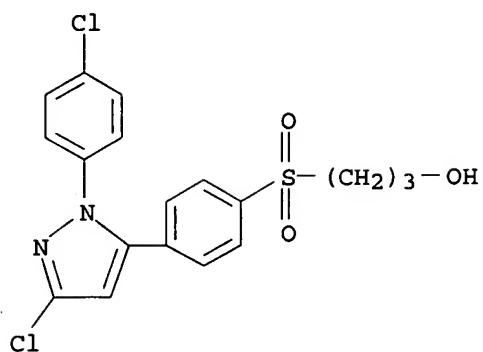
CN Ethanol, 2-[[4-[3-chloro-1-(4-chlorophenyl)-1H-pyrazol-5-yl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



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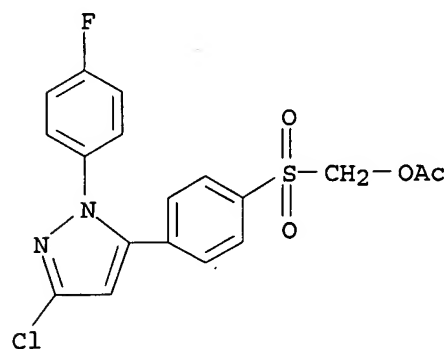
CN 1-Propanol, 3-[[4-[3-chloro-1-(4-chlorophenyl)-1H-pyrazol-5-yl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

10/764,529



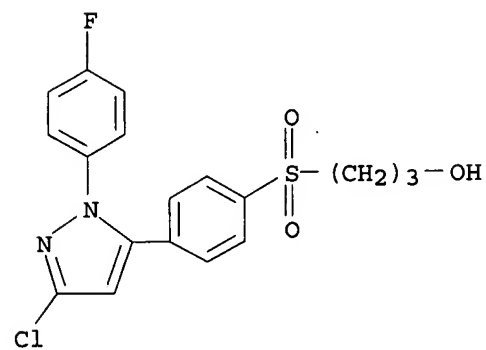
RN 262851-14-9 CAPLUS

CN Methanol, [[4-[3-chloro-1-(4-fluorophenyl)-1H-pyrazol-5-yl]phenyl]sulfonyl]-, acetate (ester) (9CI) (CA INDEX NAME)



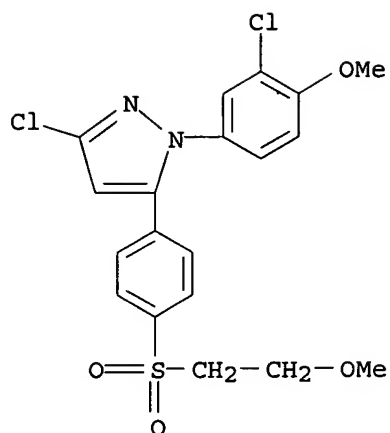
RN 262851-15-0 CAPLUS

CN 1-Propanol, 3-[[4-[3-chloro-1-(4-fluorophenyl)-1H-pyrazol-5-yl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



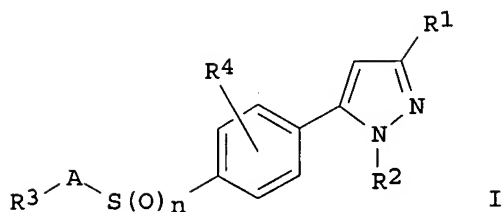
RN 262851-21-8 CAPLUS

CN 1H-Pyrazole, 3-chloro-1-(3-chloro-4-methoxyphenyl)-5-[4-[(2-methoxyethyl)sulfonyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

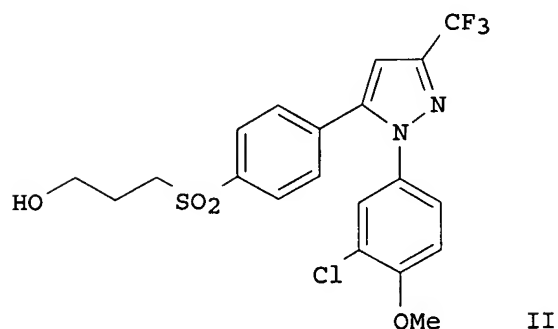


● HCl

GI



I



II

AB The title compds. (I) [wherein R1 = haloalkyl, halo, or cyano; R2 = (un)substituted aryl; R3 = H, OH, acyloxy, (un)substituted alkoxy; R4 = H or halo; A = alkylene (un)substituted with :O or OH; n = 0-2, provided that when R3 = H, R2 = aryl substituted with alkenyl or A is alkylene substituted with oxo], and its salt, were prepared For example, Na 4-[1-(3-chloro-4-methoxyphenyl)-3-(trifluoromethyl)pyrazol-5-yl]benzenesulfinate (preparation given) reacted with 3-bromopropanol in DMF to give II. In tests against human cyclooxygenase (COX) in transfected Chinese hamster ovary cells, II inhibited COX-II (IC50 = ≤ 1 μM) selectively over COX-I (IC50 = > 100 μM). I are useful for the

treatment and/or prevention of inflammatory conditions, various pains, collagen diseases, autoimmune diseases, various immunity diseases, analgesic, thrombosis, cancer, or neurodegenerative diseases (no data).

L4 ANSWER 40 OF 62 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1999:753114 CAPLUS

DOCUMENT NUMBER: 132:6353

TITLE: Use of a COX-2 inhibitor and a NK-1 receptor antagonist for treating inflammation

INVENTOR(S): Boyce, Susan; Hill, Raymond George; Rupniak, Nadia Melanie

PATENT ASSIGNEE(S): Merck Sharp & Dohme Limited, UK

SOURCE: PCT Int. Appl., 98 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9959635	A1	19991125	WO 1999-GB1632	19990519
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RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2327585	AA	19991125	CA 1999-2327585	19990519
AU 9939486	A1	19991206	AU 1999-39486	19990519
AU 758983	B2	20030403		
EP 1079863	A1	20010307	EP 1999-922393	19990519
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
JP 2002515461	T2	20020528	JP 2000-549299	19990519
US 2004097573	A1	20040520	US 2003-614389	20030707
PRIORITY APPLN. INFO.:			GB 1998-10920	A 19980521
			WO 1999-GB1632	W 19990519
			US 2000-700776	B1 20001120

OTHER SOURCE(S): MARPAT 132:6353

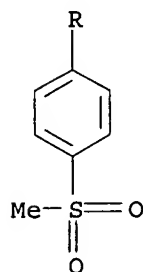
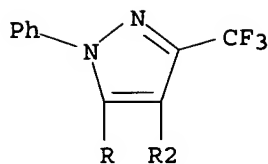
IT 165251-89-8

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(COX-2 inhibitor and a NK-1 receptor antagonist for treating inflammation)

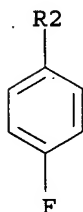
RN 165251-89-8 CAPLUS

CN 1H-Pyrazole, 4-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-1-phenyl-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



AB The present invention provides the use of a COX-2 inhibitor and a NK-1 receptor antagonist for the manufacture of a medicament for the treatment or prevention of inflammatory disorders, methods of treatment using the COX-2 inhibitor and NK-1 receptor antagonist and pharmaceutical compns. and products containing them. One example NK-1 antagonist is 2R-[1R-[3,5-bis(trifluoromethyl)phenyl]ethoxy]3S-(4-fluorophenyl)-4-[3-(5-oxo-1H,4H-1,2,4-triazolo)methyl]morpholine. Tablet formulations were given.

REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 41 OF 62 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1999:222918 CAPLUS

DOCUMENT NUMBER: 130:252354

TITLE: Preparation of 1,5-diphenylpyrazoles as COX-2 inhibitors

INVENTOR(S): Nakamura, Katsuya; Okumura, Kazuo; Ogino, Takashi; Kato, Takeshi; Yamamoto, Hirofumi; Terasaka, Tadashi; Noda, Yuka

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 59 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9915505	A1	19990401	WO 1998-JP4150	19980914
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RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2303359	AA	19990401	CA 1998-2303359	19980914
AU 9890038	A1	19990412	AU 1998-90038	19980914
AU 750356	B2	20020718		
EP 1017678	A1	20000712	EP 1998-941873	19980914
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2002510333	T2	20020402	JP 1999-518803	19980914
BR 9812389	A	20050524	BR 1998-12389	19980914
TW 429253	B	20010411	TW 1998-87115706	19980921
ZA 9808681	A	19990331	ZA 1998-8681	19980922
US 6316485	B1	20011113	US 2000-508507	20000323
PRIORITY APPLN. INFO.:			AU 1997-9414	A 19970924
			WO 1998-JP4150	W 19980914

OTHER SOURCE(S): MARPAT 130:252354

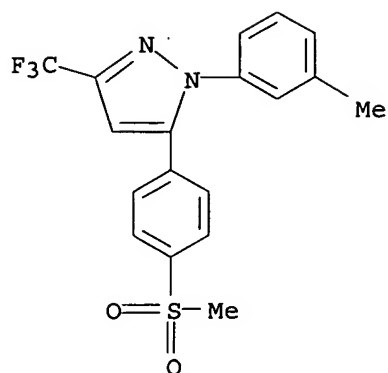
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 221686-62-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of 1,5-diphenylpyrazoles as COX-2 inhibitors)

RN 221686-11-9 CAPLUS

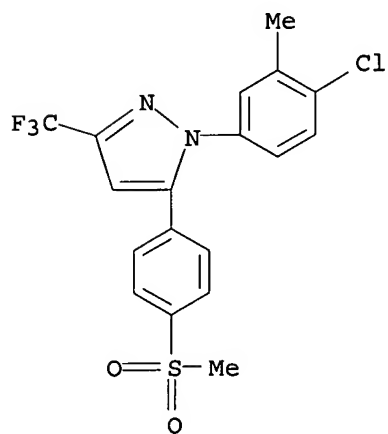
CN 1H-Pyrazole, 1-(3-methylphenyl)-5-[4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)-(9CI) (CA INDEX NAME)

10/764,529



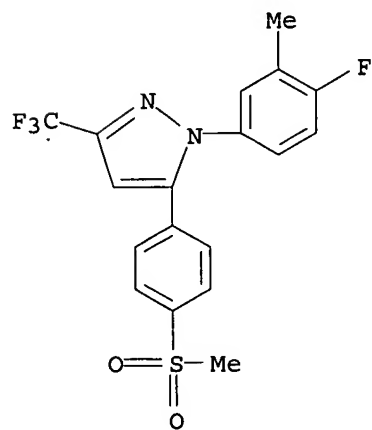
RN 221686-12-0 CAPLUS

CN 1H-Pyrazole, 1-(4-chloro-3-methylphenyl)-5-[4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 221686-13-1 CAPLUS

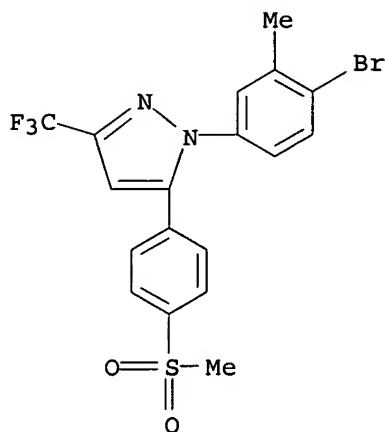
CN 1H-Pyrazole, 1-(4-fluoro-3-methylphenyl)-5-[4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



10/764,529

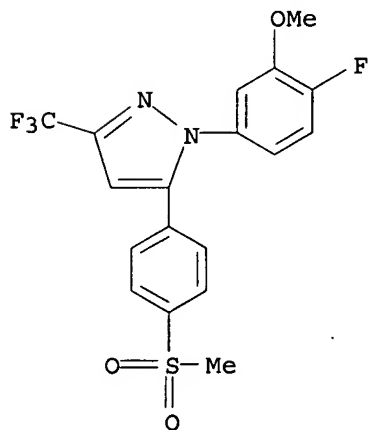
RN 221686-14-2 CAPLUS

CN 1H-Pyrazole, 1-(4-bromo-3-methylphenyl)-5-[4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 221686-15-3 CAPLUS

CN 1H-Pyrazole, 1-(4-fluoro-3-methoxyphenyl)-5-[4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

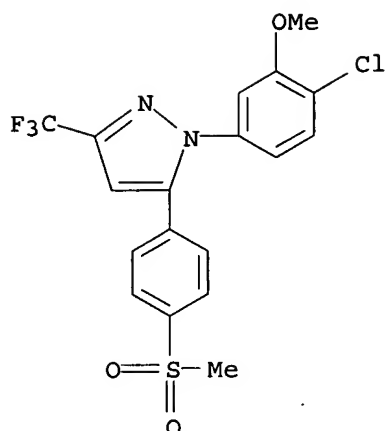


RN 221686-16-4 CAPLUS

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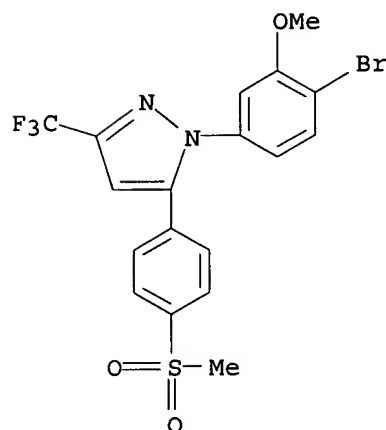


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RN 221686-17-5 CAPLUS

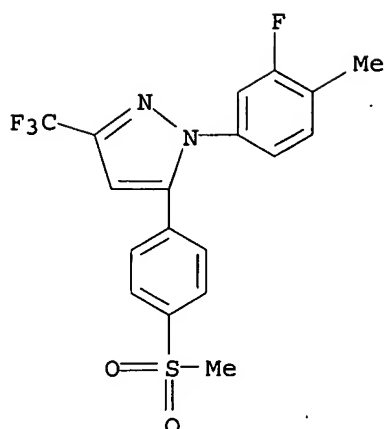
CN 1H-Pyrazole, 1-(4-bromo-3-methoxyphenyl)-5-[4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



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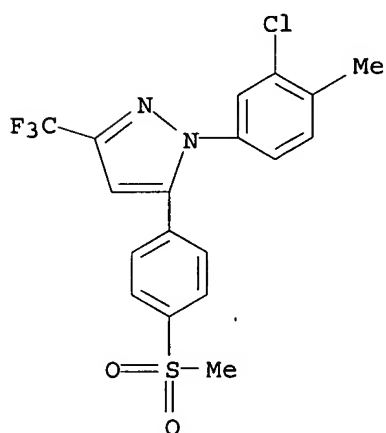
CN 1H-Pyrazole, 1-(3-fluoro-4-methylphenyl)-5-[4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

10/764,529



RN 221686-19-7 CAPLUS

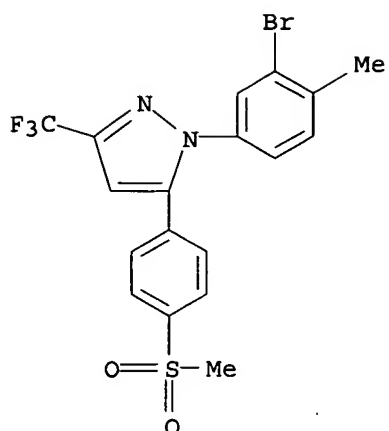
CN 1H-Pyrazole, 1-(3-chloro-4-methylphenyl)-5-[4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



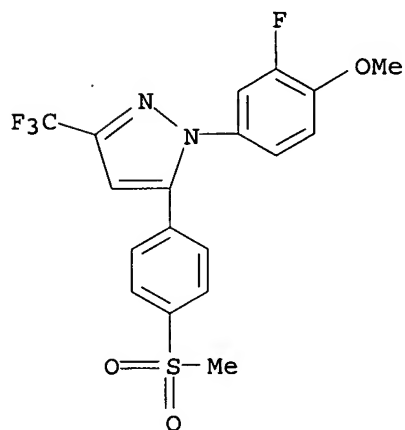
RN 221686-20-0 CAPLUS

CN 1H-Pyrazole, 1-(3-bromo-4-methylphenyl)-5-[4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

10/764,529

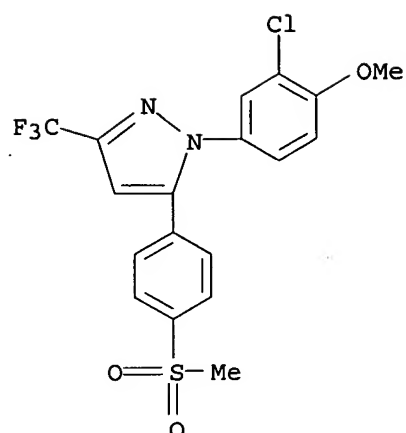


RN 221686-21-1 CAPLUS  
CN 1H-Pyrazole, 1-(3-fluoro-4-methoxyphenyl)-5-[4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



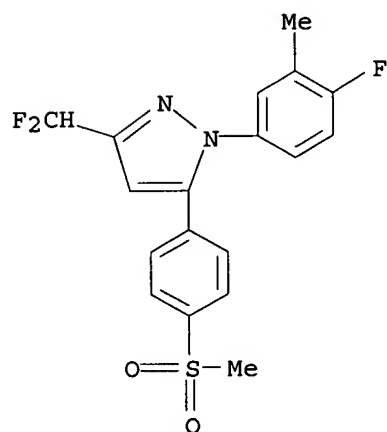
RN 221686-22-2 CAPLUS  
CN 1H-Pyrazole, 1-(3-chloro-4-methoxyphenyl)-5-[4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

10/764,529



RN 221686-23-3 CAPLUS

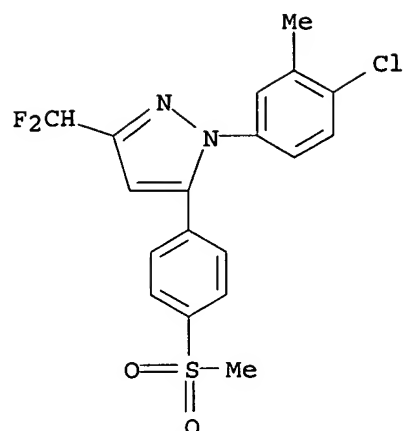
CN 1H-Pyrazole, 3-(difluoromethyl)-1-(4-fluoro-3-methylphenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 221686-24-4 CAPLUS

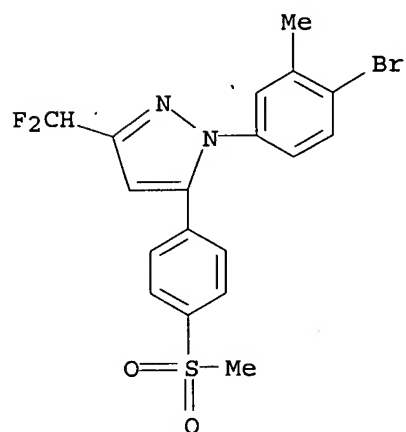
CN 1H-Pyrazole, 1-(4-chloro-3-methylphenyl)-3-(difluoromethyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

10/764,529



RN 221686-25-5 CAPLUS

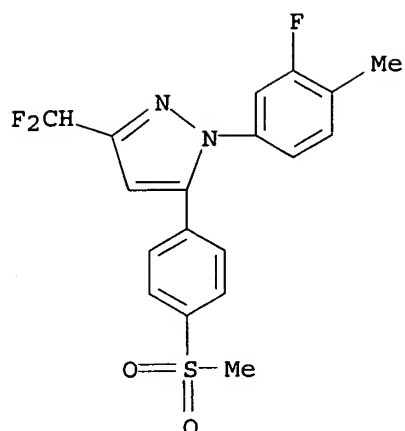
CN 1H-Pyrazole, 1-(4-bromo-3-methylphenyl)-3-(difluoromethyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 221686-26-6 CAPLUS

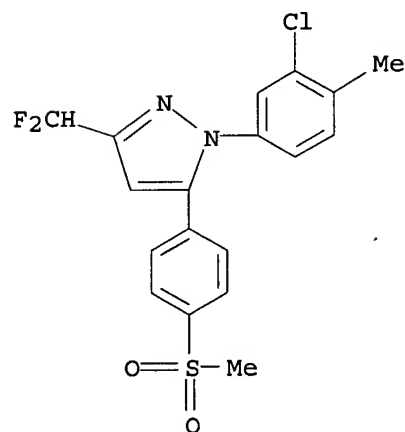
CN 1H-Pyrazole, 3-(difluoromethyl)-1-(3-fluoro-4-methylphenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

10/764,529



RN 221686-27-7 CAPLUS

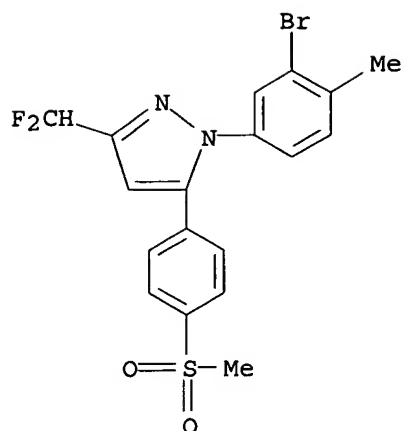
CN 1H-Pyrazole, 1-(3-chloro-4-methylphenyl)-3-(difluoromethyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 221686-28-8 CAPLUS

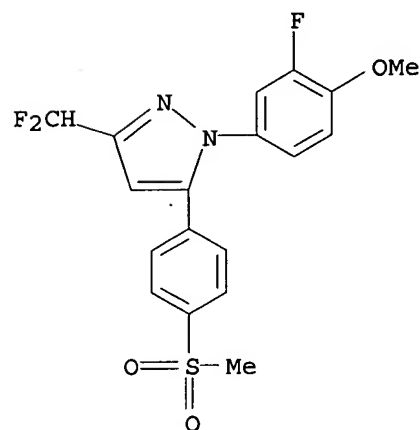
CN 1H-Pyrazole, 1-(3-bromo-4-methylphenyl)-3-(difluoromethyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

10/764,529



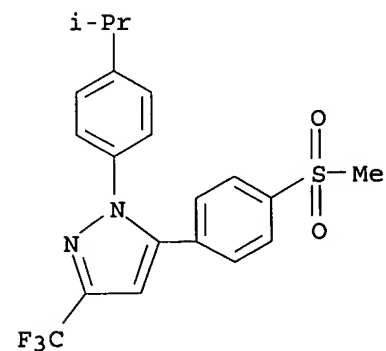
RN 221686-29-9 CAPLUS

CN 1H-Pyrazole, 3-(difluoromethyl)-1-(3-fluoro-4-methoxyphenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 221686-30-2 CAPLUS

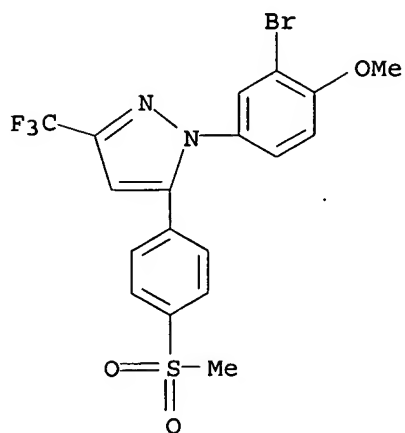
CN 1H-Pyrazole, 1-[4-(1-methylethyl)phenyl]-5-[4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 221686-31-3 CAPLUS

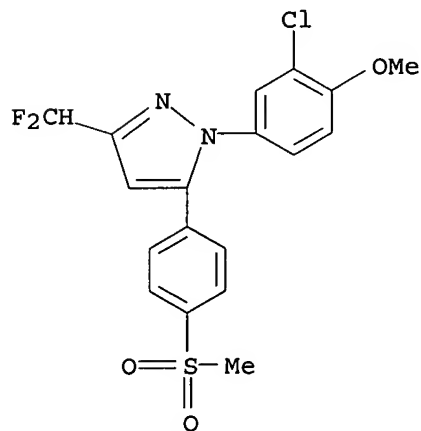
10/764,529

CN 1H-Pyrazole, 1-(3-bromo-4-methoxyphenyl)-5-[4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 221686-32-4 CAPLUS

CN 1H-Pyrazole, 1-(3-chloro-4-methoxyphenyl)-3-(difluoromethyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

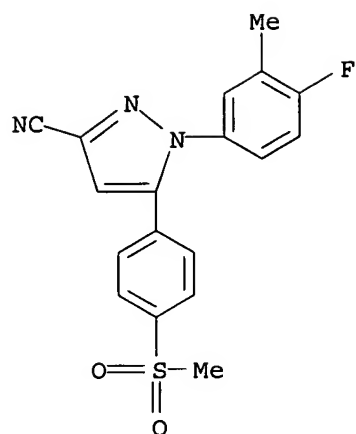


RN 221686-33-5 CAPLUS

CN 1H-Pyrazole-3-carbonitrile, 1-(4-fluoro-3-methylphenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

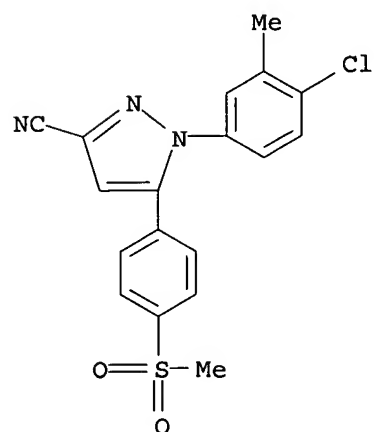


10/764,529



RN 221686-34-6 CAPLUS

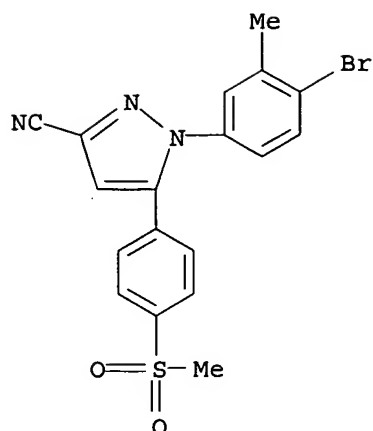
CN 1H-Pyrazole-3-carbonitrile, 1-(4-chloro-3-methylphenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 221686-35-7 CAPLUS

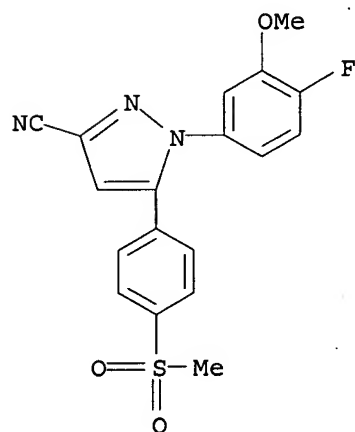
CN 1H-Pyrazole-3-carbonitrile, 1-(4-bromo-3-methylphenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

10/764,529



RN 221686-36-8 CAPLUS

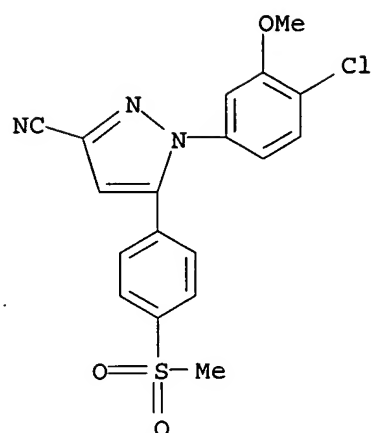
CN 1H-Pyrazole-3-carbonitrile, 1-(4-fluoro-3-methoxyphenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 221686-37-9 CAPLUS

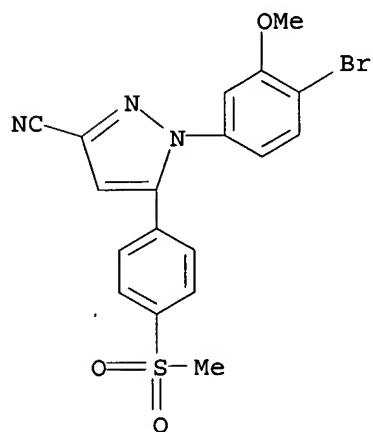
CN 1H-Pyrazole-3-carbonitrile, 1-(4-chloro-3-methoxyphenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

10/764,529



RN 221686-38-0 CAPLUS

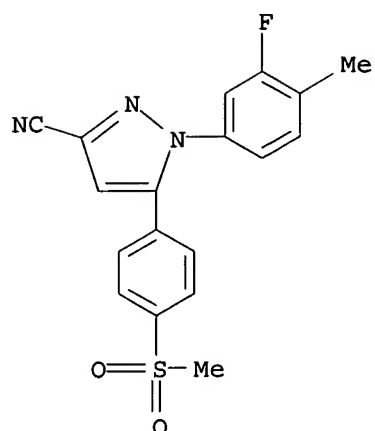
CN 1H-Pyrazole-3-carbonitrile, 1-(4-bromo-3-methoxyphenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 221686-39-1 CAPLUS

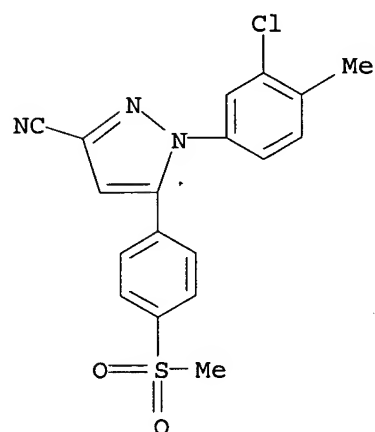
CN 1H-Pyrazole-3-carbonitrile, 1-(3-fluoro-4-methylphenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

10/764,529



RN 221686-40-4 CAPLUS

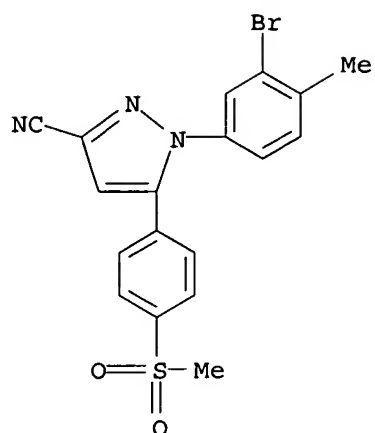
CN 1H-Pyrazole-3-carbonitrile, 1-(3-chloro-4-methylphenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 221686-41-5 CAPLUS

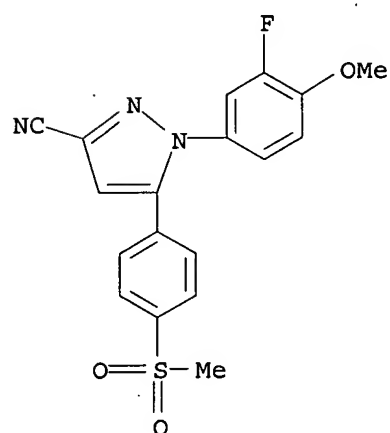
CN 1H-Pyrazole-3-carbonitrile, 1-(3-bromo-4-methylphenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

10/764,529



RN 221686-42-6 CAPLUS

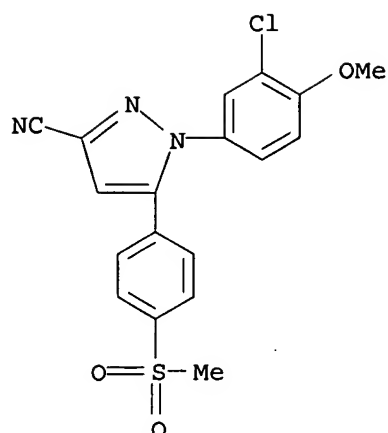
CN 1H-Pyrazole-3-carbonitrile, 1-(3-fluoro-4-methoxyphenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 221686-43-7 CAPLUS

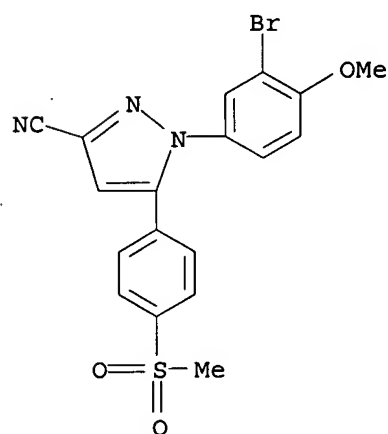
CN 1H-Pyrazole-3-carbonitrile, 1-(3-chloro-4-methoxyphenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

10/764,529



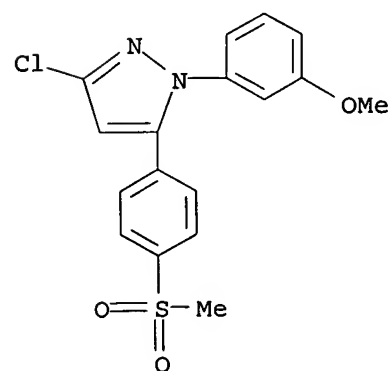
RN 221686-44-8 CAPLUS

CN 1H-Pyrazole-3-carbonitrile, 1-(3-bromo-4-methoxyphenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 221686-45-9 CAPLUS

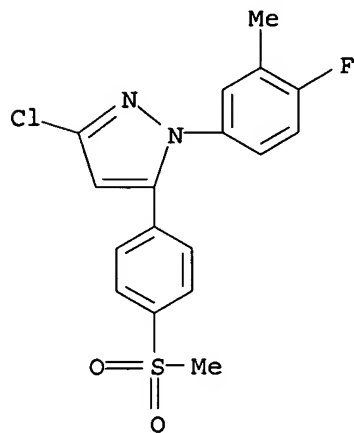
CN 1H-Pyrazole, 3-chloro-1-(3-methoxyphenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



10/764,529

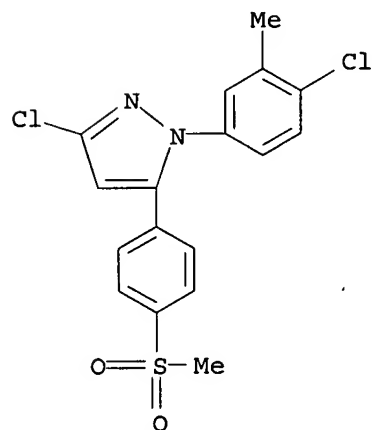
RN 221686-46-0 CAPLUS

CN 1H-Pyrazole, 3-chloro-1-(4-fluoro-3-methylphenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 221686-47-1 CAPLUS

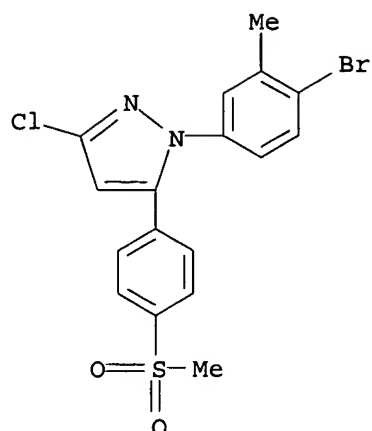
CN 1H-Pyrazole, 3-chloro-1-(4-chloro-3-methylphenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



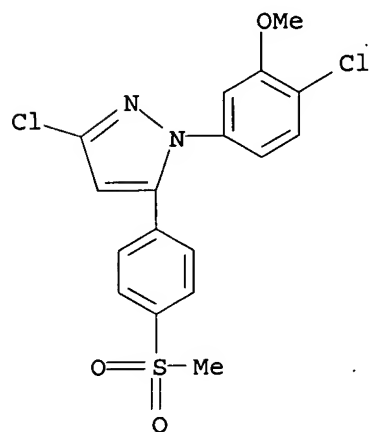
RN 221686-48-2 CAPLUS

CN 1H-Pyrazole, 1-(4-bromo-3-methylphenyl)-3-chloro-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

10/764,529



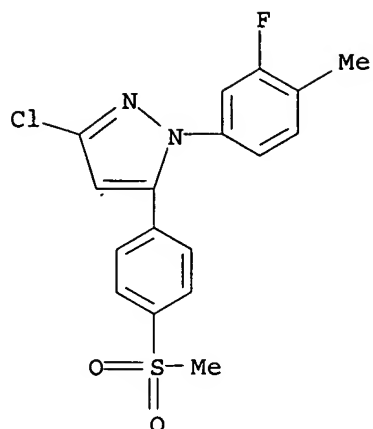
RN 221686-49-3 CAPLUS  
CN 1H-Pyrazole, 3-chloro-1-(4-chloro-3-methoxyphenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 221686-50-6 CAPLUS  
CN 1H-Pyrazole, 3-chloro-1-(3-fluoro-4-methylphenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

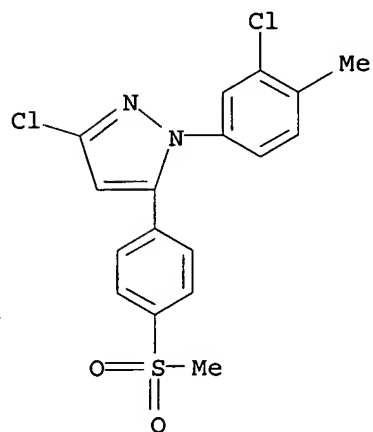


10/764,529



RN 221686-51-7 CAPLUS

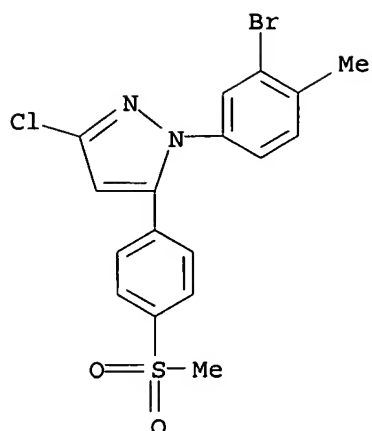
CN 1H-Pyrazole, 3-chloro-1-(3-chloro-4-methylphenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 221686-52-8 CAPLUS

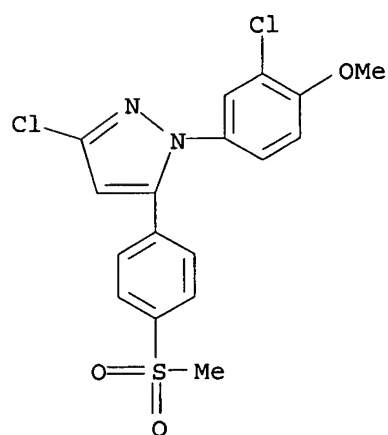
CN 1H-Pyrazole, 1-(3-bromo-4-methylphenyl)-3-chloro-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

10/764,529



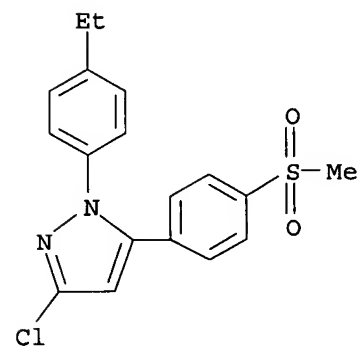
RN 221686-53-9 CAPLUS

CN 1H-Pyrazole, 3-chloro-1-(3-chloro-4-methoxyphenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 221686-54-0 CAPLUS

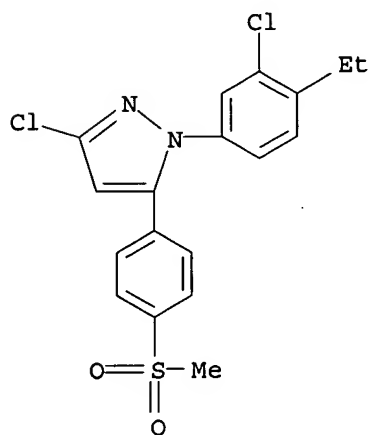
CN 1H-Pyrazole, 3-chloro-1-(4-ethylphenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 221686-55-1 CAPLUS

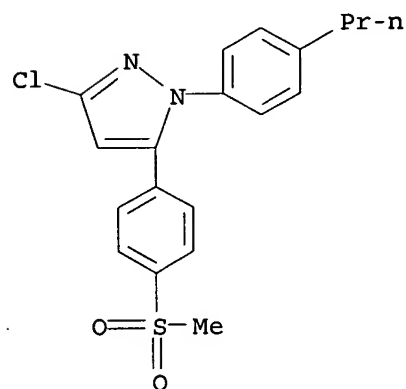
10/764,529

CN 1H-Pyrazole, 3-chloro-1-(3-chloro-4-ethylphenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 221686-56-2 CAPLUS

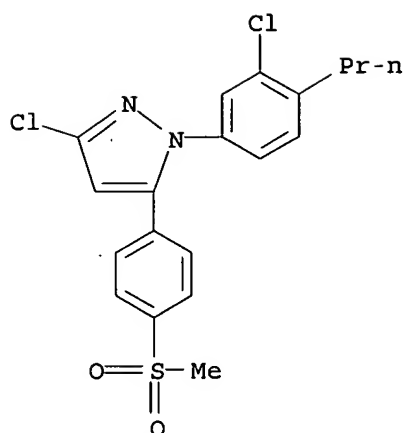
CN 1H-Pyrazole, 3-chloro-5-[4-(methylsulfonyl)phenyl]-1-(4-propylphenyl)- (9CI) (CA INDEX NAME)



RN 221686-57-3 CAPLUS

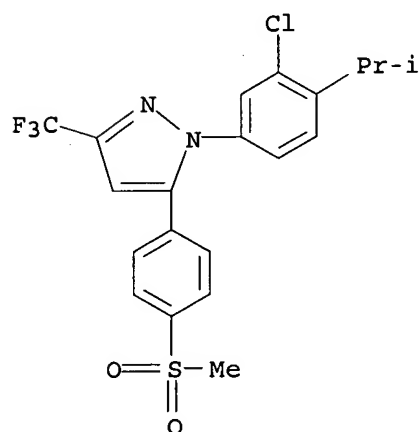
CN 1H-Pyrazole, 3-chloro-1-(3-chloro-4-propylphenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

10/764,529



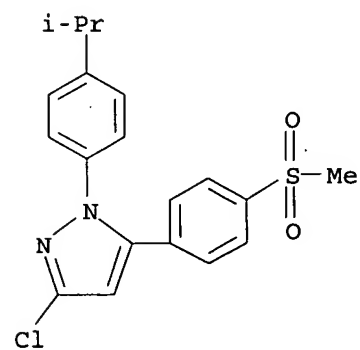
RN 221686-58-4 CAPLUS

CN 1H-Pyrazole, 1-[3-chloro-4-(1-methylethyl)phenyl]-5-[4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 221686-59-5 CAPLUS

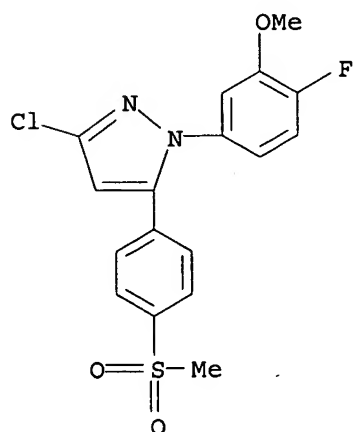
CN 1H-Pyrazole, 3-chloro-1-[4-(1-methylethyl)phenyl]-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 221686-60-8 CAPLUS

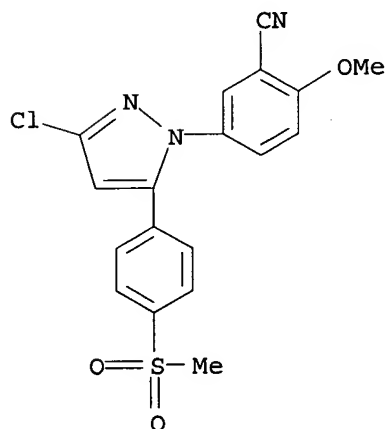
10/764,529

CN 1H-Pyrazole, 3-chloro-1-(4-fluoro-3-methoxyphenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 221686-61-9 CAPLUS

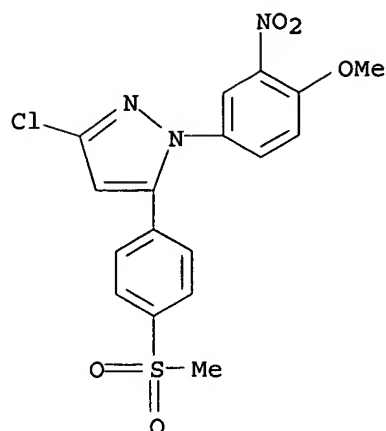
CN Benzonitrile, 5-[3-chloro-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-1-yl]-2-methoxy- (9CI) (CA INDEX NAME)



RN 221686-62-0 CAPLUS

CN 1H-Pyrazole, 3-chloro-1-(4-methoxy-3-nitrophenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

10/764,529



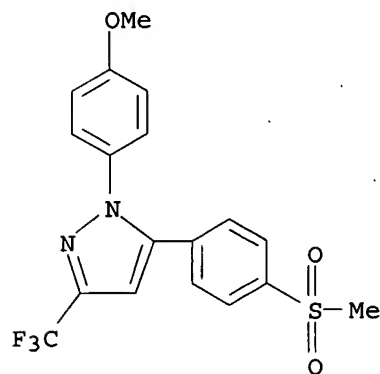
IT 151506-66-0 221687-09-8

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of 1,5-diphenylpyrazoles as COX-2 inhibitors)

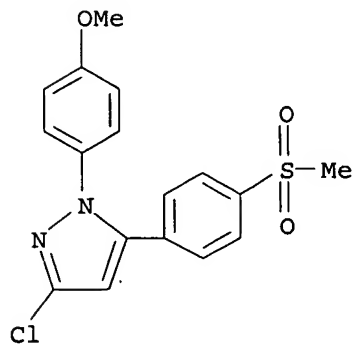
RN 151506-66-0 CAPLUS

CN 1H-Pyrazole, 1-(4-methoxyphenyl)-5-[4-(methanesulfonyl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 221687-09-8 CAPLUS

CN 1H-Pyrazole, 3-chloro-1-(4-methoxyphenyl)-5-[4-(methanesulfonyl)phenyl]- (9CI) (CA INDEX NAME)



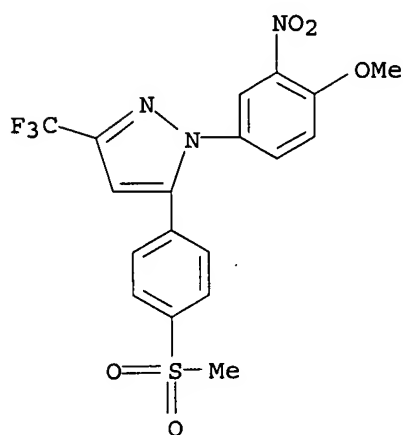
10/764,529

IT 221686-65-3P 221686-66-4P 221686-68-6P  
221686-69-7P 221686-70-0P 221686-71-1P  
221686-72-2P 221686-73-3P 221686-74-4P  
221686-76-6P 221686-77-7P 221686-78-8P  
221686-79-9P 221686-80-2P 221686-81-3P  
221686-94-8P 221686-95-9P 221687-00-9P  
221687-01-0P 221687-02-1P 221687-03-2P  
221687-04-3P 221687-05-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation of 1,5-diphenylpyrazoles as COX-2 inhibitors)

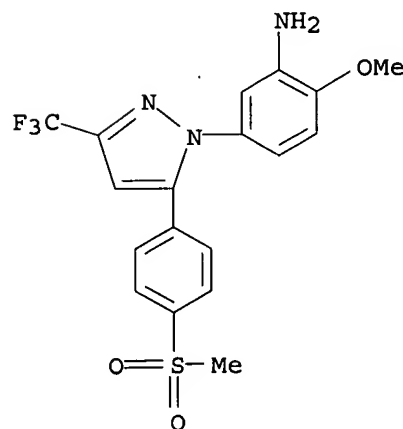
RN 221686-65-3 CAPLUS

CN 1H-Pyrazole, 1-(4-methoxy-3-nitrophenyl)-5-[4-(methylsulfonyl)phenyl]-3-  
(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 221686-66-4 CAPLUS

CN Benzenamine, 2-methoxy-5-[5-[4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)-  
1H-pyrazol-1-yl]-, monohydrochloride (9CI) (CA INDEX NAME)

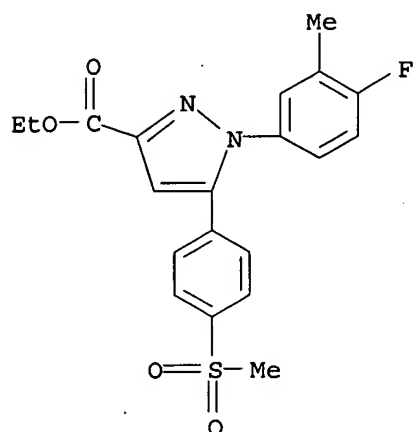


● HCl

RN 221686-68-6 CAPLUS

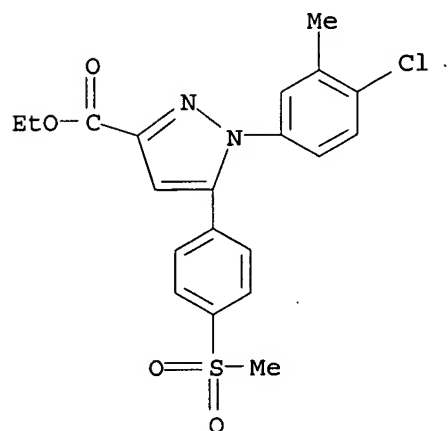
10/764,529

CN 1H-Pyrazole-3-carboxylic acid, 1-(4-fluoro-3-methylphenyl)-5-[4-(methylsulfonyl)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 221686-69-7 CAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 1-(4-chloro-3-methylphenyl)-5-[4-(methylsulfonyl)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

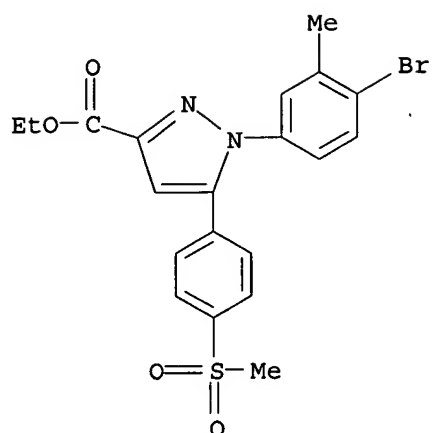


RN 221686-70-0 CAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 1-(4-bromo-3-methylphenyl)-5-[4-(methylsulfonyl)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

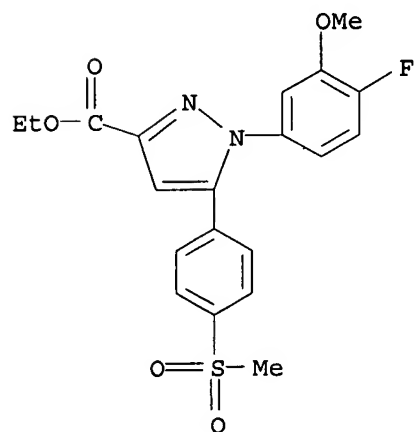


10/764,529



RN 221686-71-1 CAPLUS

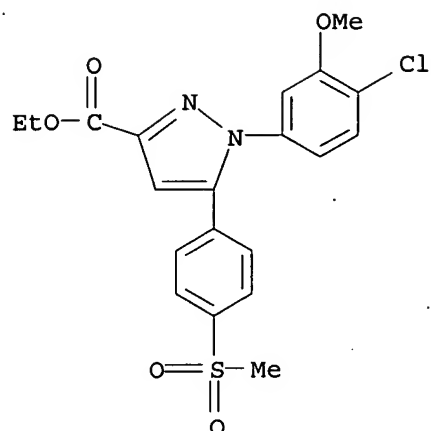
CN 1H-Pyrazole-3-carboxylic acid, 1-(4-fluoro-3-methoxyphenyl)-5-[4-(methylsulfonyl)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 221686-72-2 CAPLUS

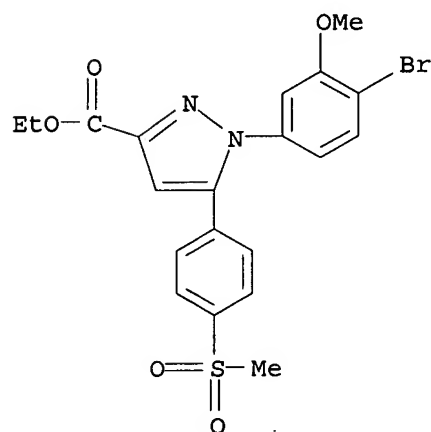
CN 1H-Pyrazole-3-carboxylic acid, 1-(4-chloro-3-methoxyphenyl)-5-[4-(methylsulfonyl)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

10/764,529



RN 221686-73-3 CAPLUS

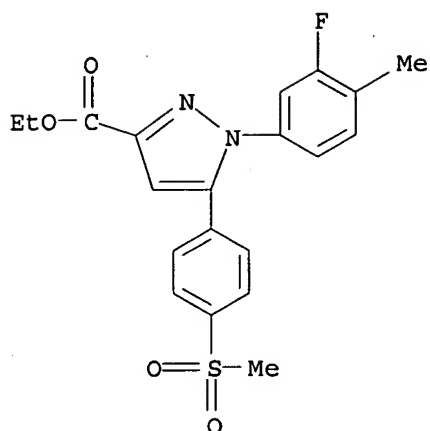
CN 1H-Pyrazole-3-carboxylic acid, 1-(4-bromo-3-methoxyphenyl)-5-[4-(methylsulfonyl)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 221686-74-4 CAPLUS

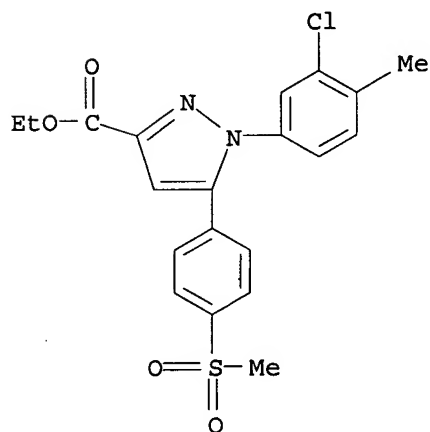
CN 1H-Pyrazole-3-carboxylic acid, 1-(3-fluoro-4-methylphenyl)-5-[4-(methylsulfonyl)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

10/764,529



RN 221686-76-6 CAPLUS

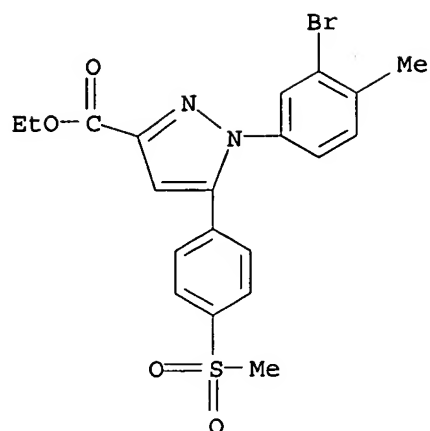
CN 1H-Pyrazole-3-carboxylic acid, 1-(3-chloro-4-methylphenyl)-5-[4-(methylsulfonyl)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 221686-77-7 CAPLUS

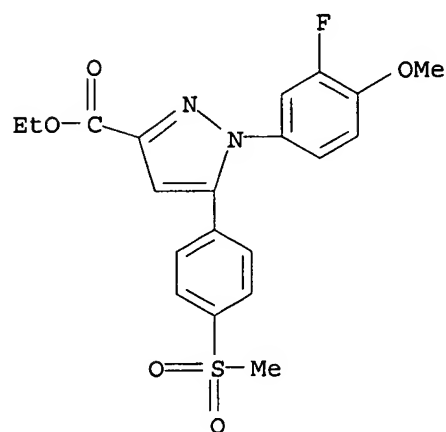
CN 1H-Pyrazole-3-carboxylic acid, 1-(3-bromo-4-methylphenyl)-5-[4-(methylsulfonyl)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

10/764,529



RN 221686-78-8 CAPLUS

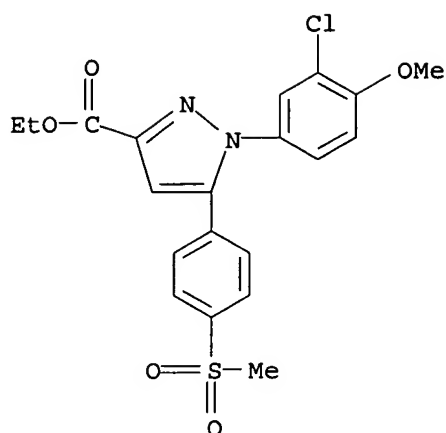
CN 1H-Pyrazole-3-carboxylic acid, 1-(3-fluoro-4-methoxyphenyl)-5-[4-(methylsulfonyl)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 221686-79-9 CAPLUS

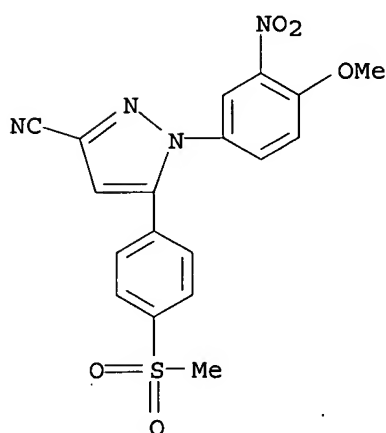
CN 1H-Pyrazole-3-carboxylic acid, 1-(3-chloro-4-methoxyphenyl)-5-[4-(methylsulfonyl)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

10/764,529



RN 221686-80-2 CAPLUS

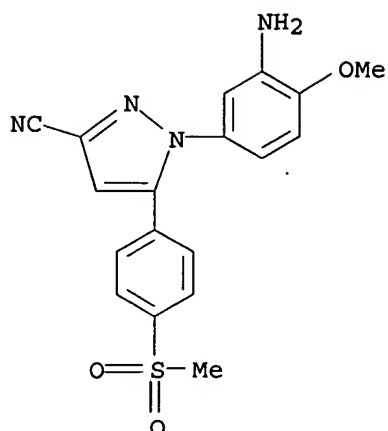
CN 1H-Pyrazole-3-carbonitrile, 1-(4-methoxy-3-nitrophenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 221686-81-3 CAPLUS

CN 1H-Pyrazole-3-carbonitrile, 1-(3-amino-4-methoxyphenyl)-5-[4-(methylsulfonyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

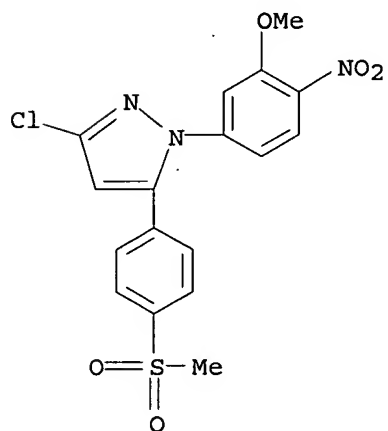
10/764,529



● HCl

RN 221686-94-8 CAPLUS

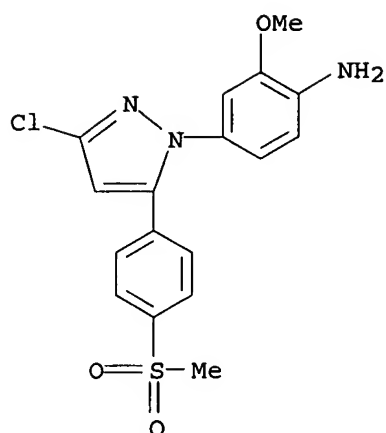
CN 1H-Pyrazole, 3-chloro-1-(3-methoxy-4-nitrophenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 221686-95-9 CAPLUS

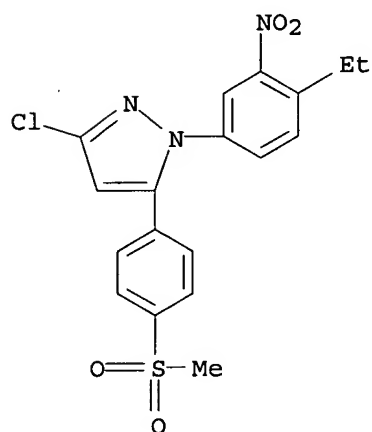
CN Benzenamine, 4-[3-chloro-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-1-yl]-2-methoxy- (9CI) (CA INDEX NAME)

10/764,529



RN 221687-00-9 CAPLUS

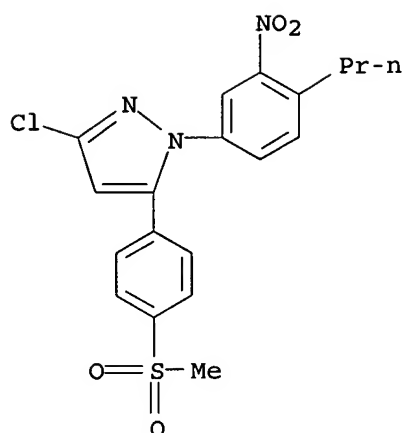
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RN 221687-01-0 CAPLUS

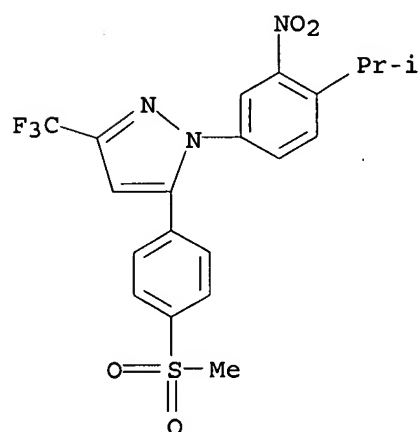
CN 1H-Pyrazole, 3-chloro-5-[4-(methylsulfonyl)phenyl]-1-(3-nitro-4-propylphenyl)- (9CI) (CA INDEX NAME)

10/764,529



RN 221687-02-1 CAPLUS

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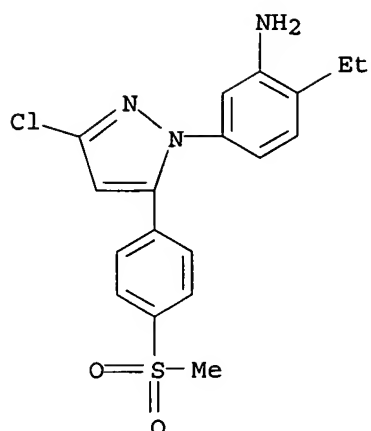


RN 221687-03-2 CAPLUS

CN Benzenamine, 5-[3-chloro-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-1-yl]-2-ethyl- (9CI) (CA INDEX NAME)

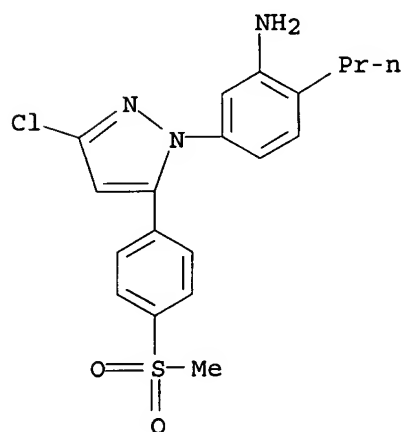


10/764,529



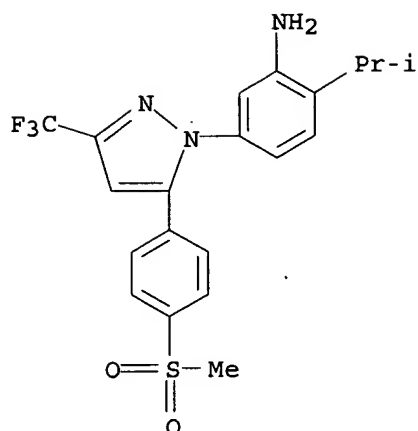
RN 221687-04-3 CAPLUS

CN Benzenamine, 5-[3-chloro-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-1-yl]-2-propyl- (9CI) (CA INDEX NAME)

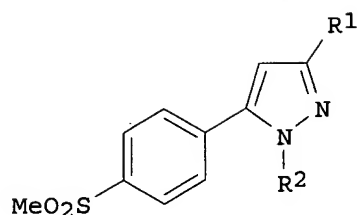


RN 221687-05-4 CAPLUS

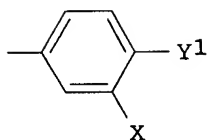
CN Benzenamine, 2-(1-methylethyl)-5-[5-[4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



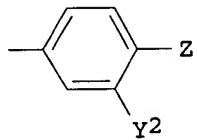
GI



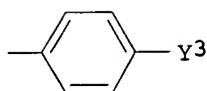
I



II



III



IV

AB The title compds. [I; R1 = Cl, F2CH, F3C, CN; R2 = II-IV (wherein X = halo, CN, NO2, NH2; Y1, Y2 = alkyl, alkoxy; Y3 = Et, Pr, iPr; Z = H, halo)], COX-II inhibiting agents useful for the treatment and/or prevention of inflammatory conditions, various pains, collagen diseases, autoimmune diseases, various immunity diseases, thrombosis, cancer or neurodegenerative diseases, were prepared. Thus, reaction of Et 1-(4-fluoro-3-methylphenyl)-5-[4-(methylsulfonyl)phenyl]pyrazole-3-carboxylate with NaOMe in H2NCHO followed by treatment of the resulting residue with POCl3 afforded I [R1 = CN; R2 = 4-F-3-MeC6H3] which showed IC50 of < 1  $\mu$ M against human COX-II.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

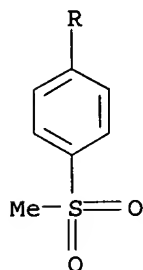
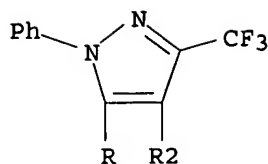
L4 ANSWER 42 OF 62 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1998:708941 CAPLUS  
 DOCUMENT NUMBER: 129:339868

TITLE: Method of using cyclooxygenase-2 inhibitors in the prevention of cardiovascular disorders  
 INVENTOR(S): Roniker, Barbara; LaChapelle, Richard J.; Connolly, Daniel T.; Seibert, Karen; Needleman, Philip  
 PATENT ASSIGNEE(S): G.D. Searle and Co., USA  
 SOURCE: PCT Int. Appl., 57 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

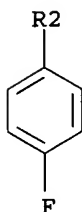
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9847509	A1	19981029	WO 1998-US7318	19980416
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2286673	AA	19981029	CA 1998-2286673	19980416
AU 9874662	A1	19981113	AU 1998-74662	19980416
AU 745797	B2	20020328		
TR 9902545	T2	20000121	TR 1999-9902545	19980416
EP 979077	A1	20000216	EP 1998-922028	19980416
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
EE 9900517	A	20000615	EE 1999-517	19980416
BR 9808932	A	20000801	BR 1998-8932	19980416
JP 2001527542	T2	20011225	JP 1998-546113	19980416
EE 200300169	A	20030616	EE 2003-200300169	19980416
EP 1498140	A2	20050119	EP 2004-23890	19980416
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
ZA 9803249	A	19990419	ZA 1998-3249	19980417
MX 9909495	A	20000930	MX 1999-9495	19991015
NO 9905077	A	19991217	NO 1999-5077	19991018
US 2002035156	A1	20020321	US 2001-946623	20010906
PRIORITY APPLN. INFO.:				P 19970418
				A3 19980416
				W 19980416
				A1 20000327

OTHER SOURCE(S): MARPAT 129:339868  
 IT 165251-89-8  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (cyclooxygenase-2 inhibitors for prevention of cardiovascular disorders)  
 RN 165251-89-8 CAPLUS  
 CN 1H-Pyrazole, 4-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-1-phenyl-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



AB The invention relates to the use of cyclooxygenase-2 inhibitors or derivs. thereof in preventing cardiovascular disorders.

REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 43 OF 62 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1998:392148 CAPLUS

DOCUMENT NUMBER: 129:54367

TITLE: Substituted pyrazolyl benzenesulfonamides for the treatment of inflammation

INVENTOR(S): Talley, John J.; Penning, Thomas D.; Collins, Paul W.; Rogier, Donald J., Jr.; Malecha, James W.; Miyashiro, Julie M.; Bertenshaw, Stephen R.; Khanna, Ish K.; Graneto, Matthew J.; Rogers, Roland S.; Carter, Jeffery S.; Docter, Stephen H.; Yu, Stella S.

PATENT ASSIGNEE(S): G.D. Searle and Co., USA

SOURCE: U.S., 55 pp., Cont.-in-part of U. S. 5,521,207.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.

KIND

DATE

APPLICATION NO.

DATE

US 5760068	A	19980602	US 1996-648113	19960906
US 5466823	A	19951114	US 1993-160594	19931130
US 5521207	A	19960528	US 1994-223629	19940406
WO 9515316	A1	19950608	WO 1994-US12720	19941114
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, US				
RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 6156781	A	20001205	US 1999-449076	19991124
US 6413960	B1	20020702	US 2000-609011	20000530
US 6492411	B1	20021210	US 2002-125325	20020417
US 6586603	B1	20030701	US 2002-274679	20021021
US 6716991	B1	20040406	US 2003-378781	20030304
US 2004192930	A1	20040930	US 2003-700019	20031103
US 2005131050	A1	20050616	US 2005-48037	20050131
PRIORITY APPLN. INFO.:			US 1993-160594	A2 19931130
			US 1994-223629	A2 19940406
			WO 1994-US12720	W 19941114
			US 1996-648113	A1 19960906
			US 1997-957345	B1 19971024
			US 1999-449076	A1 19991124
			US 2000-609011	A2 20000530
			US 2002-125325	A1 20020417
			US 2002-274679	A1 20021021
			US 2003-378781	A1 20030304
			US 2003-700019	A3 20031103

## OTHER SOURCE(S):

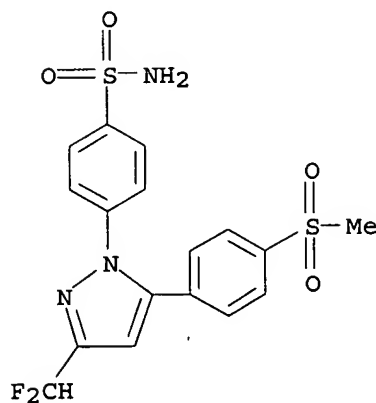
MARPAT 129:54367

IT 170570-43-1P

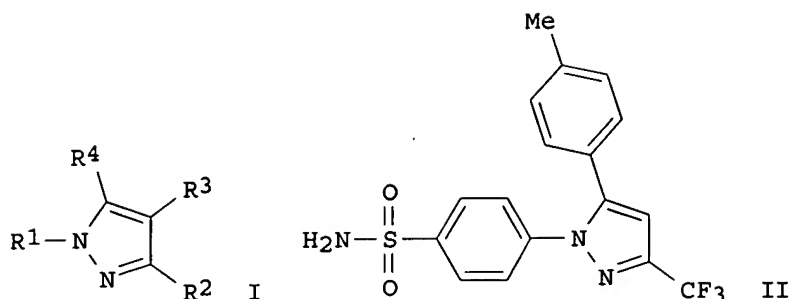
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of pyrazolylbenzenesulfonamides as antiinflammatories)

RN 170570-43-1 CAPLUS

CN Benzenesulfonamide, 4-[3-(difluoromethyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



GI



AB A class of pyrazolyl benzenesulfonamide compds. is described for use in treating inflammation and inflammation-related disorders. Several methods of such treatment are claimed, using various subsets of the title compds., e.g., I [R<sup>1</sup> = Ph substituted by ≥1 halo, C1-10 alkyl, or sulfamyl; R<sup>2</sup> = H, haloalkyl, alkoxycarbonyl, cyano, carboxy, aminocarbonyl, alkylaminocarbonyl, carboxyalkyl, aminocarbonylalkyl, hydroxyalkyl, etc.; R<sup>3</sup> = H, alkyl, cyano, alkoxy, hydroxyalkyl, alkylthio, alkylsulfonyl, halo; R<sup>4</sup> = (un)substituted aralkenyl, aryl, cycloalkyl, cycloalkenyl, heterocyclyl; with numerous provisos]. Claims also cover use of the compds. in treatment of arthritis, pain, and fever, as well as prevention of colorectal cancer. Over 260 synthetic examples are described. For instance, condensation of 4'-methylacetophenone with Et trifluoroacetate gave 94% yield of crude CF<sub>3</sub>COCH<sub>2</sub>COC<sub>6</sub>H<sub>4</sub>Me-4. This underwent cyclocondensation with 4-H<sub>2</sub>NSO<sub>2</sub>C<sub>6</sub>H<sub>4</sub>NHNH<sub>2</sub>.HCl in refluxing EtOH to give 46% yield of title compound II. The compds. typically showed high selectivity for inhibition of human cyclooxygenase (COX) II over COX I. Selected compds. gave 2-49% inhibition in the carrageenin-induced rat paw edema test at 10-30 mg/kg orally.

REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 44 OF 62 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1998:251054 CAPLUS

DOCUMENT NUMBER: 128:304042

TITLE: Method of using cyclooxygenase-2 inhibitors in the treatment and prevention of neoplasia.

INVENTOR(S): Seibert, Karen; Masferrer, Jaime; Gordon, Gary B.

PATENT ASSIGNEE(S): G.D. Searle and Co., USA; Seibert, Karen; Masferrer, Jaime; Gordon, Gary B.

SOURCE: PCT Int. Appl., 54 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9816227	A1	19980423	WO 1997-US18670	19971014
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR,  
GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA,  
GN, ML, MR, NE, SN, TD, TG

CA 2372912	AA	19980423	CA 1997-2372912	19971014
AU 9749048	A1	19980511	AU 1997-49048	19971014
AU 742645	B2	20020110		
EP 932402	A1	19990804	EP 1997-911746	19971014
EP 932402	B2	20040721		

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BR 9712314	A	19990831	BR 1997-12314	19971014
CN 1244122	A	20000209	CN 1997-198754	19971014
JP 2001503395	T2	20010313	JP 1998-518591	19971014
NZ 334921	A	20010330	NZ 1997-334921	19971014
CA 2267186	C	20020514	CA 1997-2267186	19971014
CA 2267186	AA	19980423		
NZ 506515	A	20020531	NZ 1997-506515	19971014
NZ 517374	A	20031031	NZ 1997-517374	19971014
AT 271385	E	20040815	AT 1997-911746	19971014
RU 2239429	C2	20041110	RU 1999-110192	19971014
EP 1479385	A1	20041124	EP 2004-11516	19971014

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
PT 932402	T	20041130	PT 1997-911746	19971014
ES 2224222	T3	20050301	ES 1997-911746	19971014
KR 2000049138	A	20000725	KR 1999-703225	19990414
NO 9901793	A	19990415	NO 1999-1793	19990415
NZ 509755	A	20020927	NZ 2001-509755	20010207

PRIORITY APPLN. INFO.:

US 1996-28494P	P	19961015
CA 1997-2267186	A3	19971014
EP 1997-911746	A3	19971014
NZ 1997-334921	A	19971014
WO 1997-US18670	W	19971014

OTHER SOURCE(S): MARPAT 128:304042

IT 165251-89-8

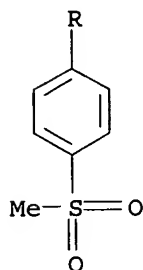
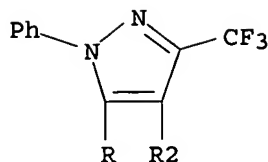
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(cyclooxygenase-2 inhibitors for treatment and prevention of neoplasia)

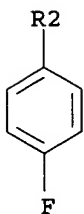
RN 165251-89-8 CAPLUS

CN 1H-Pyrazole, 4-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-1-phenyl-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



AB Cyclooxygenase-2 inhibitors or derivs. thereof are used in preventing and treating neoplasia. In particular, the invention describes the method of preventing and treating epithelial cell neoplasia in a subject, said method comprising treating the subject with a therapeutically-effective amount of e.g. p-(R2SO2)PhA(R1)(R3) [A = (partially) unsatd. heterocyclyl, (partially) unsatd. carbocyclyl; R1 = (substituted) heterocyclyl, (substituted) cycloalk(en)yl, (substituted) aryl; R2 = Me, amino; R3 = H, halo, alkyl, etc.].

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 45 OF 62 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1997:639940 CAPLUS

DOCUMENT NUMBER: 127:314409

TITLE: Studies on anti-inflammatory agents. V. Synthesis and pharmacological properties of 3-(difluoromethyl)-1-(4-methoxyphenyl)-5-[4-(methylsulfinyl)phenyl]pyrazole and related compounds

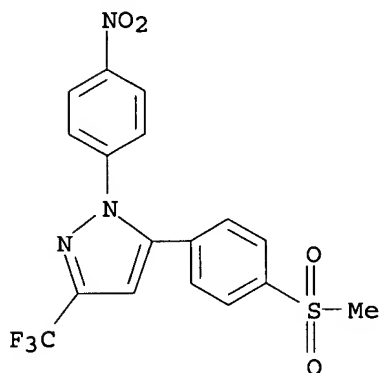
AUTHOR(S): Tsuji, Kiyoshi; Konishi, Nobukiyo; Spears, Glen W.; Ogino, Takashi; Nakamura, Katsuya; Tojo, Takashi; Ochi, Takehiro; Shimojo, Fumio; Senoh, Hachiro; Matsuo, Masaaki

CORPORATE SOURCE: New Drug Research Laboratories, Fujisawa Pharmaceutical Co., Ltd., Osaka, 532, Japan

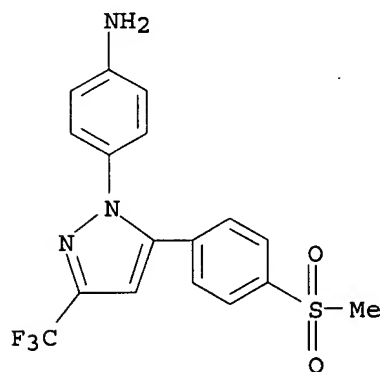


10/764,529

SOURCE: Chemical & Pharmaceutical Bulletin (1997), 45(9),  
1475-1481  
CODEN: CPBTAL; ISSN: 0009-2363  
PUBLISHER: Pharmaceutical Society of Japan  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 127:314409  
IT 134729-92-3P 134730-50-0P 134730-87-3P  
151507-20-9P 197705-96-7P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(intermediate; preparation of diphenylpyrazole derivs. as anti-inflammatory  
and analgesic agents and structure-activity relationships)  
RN 134729-92-3 CAPLUS  
CN 1H-Pyrazole, 5-[4-(methylsulfonyl)phenyl]-1-(4-nitrophenyl)-3-  
(trifluoromethyl)- (9CI) (CA INDEX NAME)

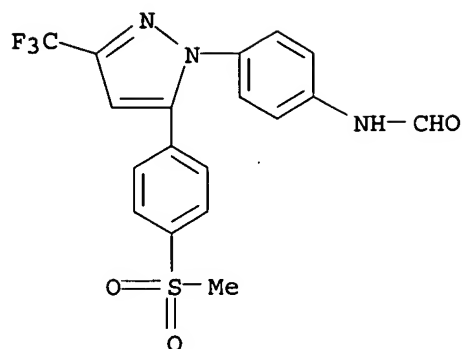


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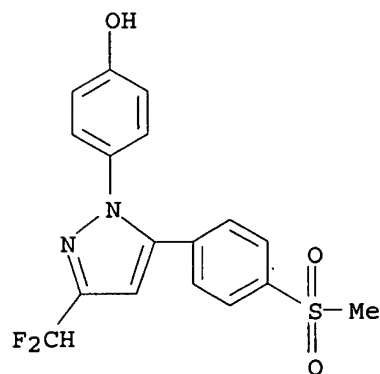
RN 134730-87-3 CAPLUS  
CN Formamide, N-[4-[5-[4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)-1H-  
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10/764,529



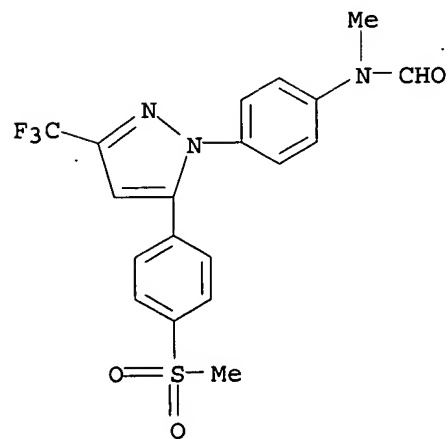
RN 151507-20-9 CAPLUS

CN Phenol, 4-[3-(difluoromethyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



RN 197705-96-7 CAPLUS

CN Formamide, N-methyl-N-[4-[5-[4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)



IT 151506-45-5P

RL: BAC (Biological activity or effector, except adverse); BPR (Biological

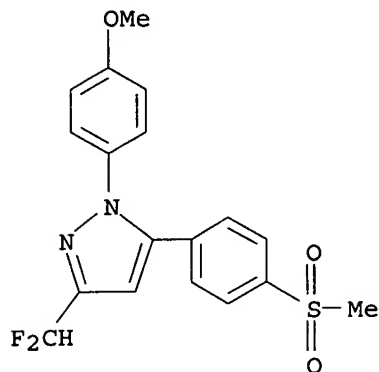
10/764,529

process); BSU (Biological study, unclassified); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); RACT (Reactant or reagent); USES (Uses)

(preparation of diphenylpyrazole derivs. as anti-inflammatory and analgesic agents and structure-activity relationships)

RN 151506-45-5 CAPLUS

CN 1H-Pyrazole, 3-(difluoromethyl)-1-(4-methoxyphenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



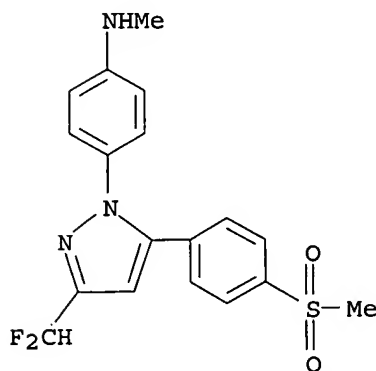
IT 134730-00-0P 151506-66-0P 151506-96-6P  
197705-97-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of diphenylpyrazole derivs. as anti-inflammatory and analgesic agents and structure-activity relationships)

RN 134730-00-0 CAPLUS

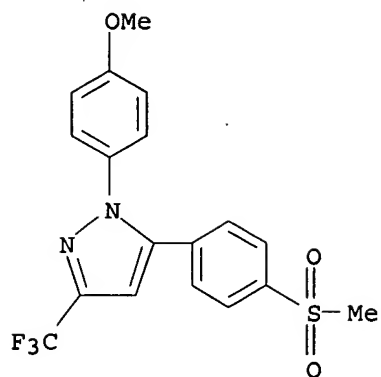
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RN 151506-66-0 CAPLUS

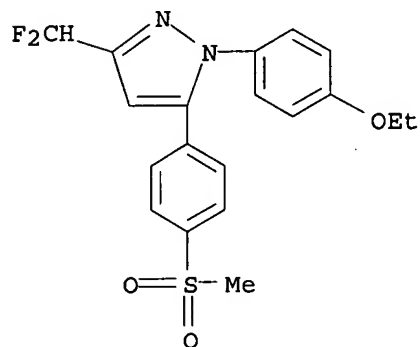
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10/764,529



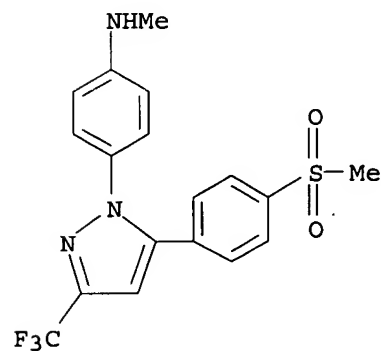
RN 151506-96-6 CAPLUS

CN 1H-Pyrazole, 3-(difluoromethyl)-1-(4-ethoxyphenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 197705-97-8 CAPLUS

CN Benzenamine, N-methyl-4-[5-[4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)-1H-pyrazol-1-yl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

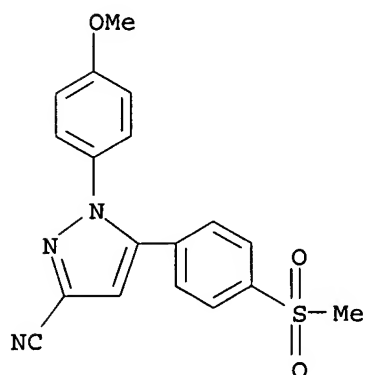
IT 134729-57-0 134730-34-0

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(preparation of diphenylpyrazole derivs. as anti-inflammatory and analgesic agents and structure-activity relationships)

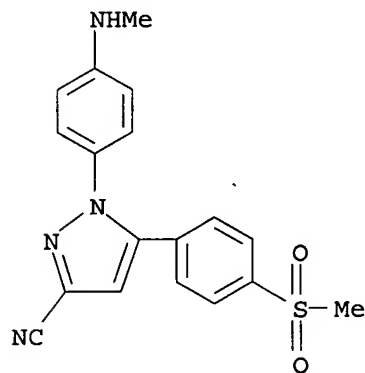
RN 134729-57-0 CAPLUS

CN 1H-Pyrazole-3-carbonitrile, 1-(4-methoxyphenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 134730-34-0 CAPLUS

CN 1H-Pyrazole-3-carbonitrile, 1-[4-(methylamino)phenyl]-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



AB A series of novel 1,5-diphenylpyrazole derivs. bearing hydrophilic substituents was prepared. The anti-inflammatory and analgesic activities of these compds. were evaluated by using the adjuvant arthritis and Randall-Selitto assays in rats, and the structure-activity relationships were studied. The optimal compound was 3-(difluoromethyl)-1-(4-methoxyphenyl)-5-[4-(methylsulfinyl)phenyl]pyrazole (I) with oral ED50 values of 0.31 and 2.6 mg/kg on adjuvant-induced arthritis and carrageenin-induced foot edema, resp. I showed analgesic activities not only toward inflamed paw but also toward normal paw (ED30=0.55 and 1.8 mg/kg, resp.) in the Randall-Selitto assay, and moreover, I was effective in the tail-pinch assay (ED50=21 mg/kg) similarly to morphine. The asym. synthesis and pharmacol. properties of the enantiomers of I are also reported.

REFERENCE COUNT:

35

THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 46 OF 62 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1997:427309 CAPLUS

DOCUMENT NUMBER: 127:144746

TITLE: Studies on anti-inflammatory agents. IV. Synthesis and pharmacological properties of 1,5-diarylpyrazoles and related derivatives

AUTHOR(S): Tsuji, Kiyoshi; Nakamura, Katsuya; Konishi, Nobukiyo; Tojo, Takashi; Ochi, Takehiro; Senoh, Hachiro; Matsuo, Masaaki

CORPORATE SOURCE: New Drug Research Laboratories, Fujisawa Pharmaceutical Co., Ltd., Osaka, 532, Japan

SOURCE: Chemical &amp; Pharmaceutical Bulletin (1997), 45(6), 987-995

CODEN: CPBTAL; ISSN: 0009-2363

PUBLISHER: Pharmaceutical Society of Japan

DOCUMENT TYPE: Journal

LANGUAGE: English

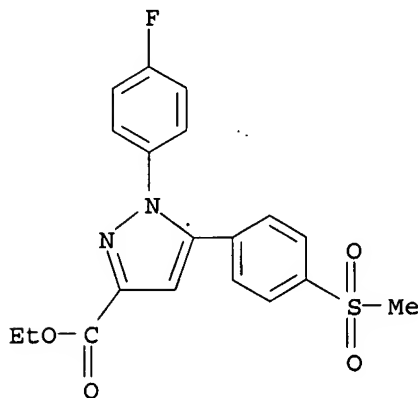
IT 134728-98-6P 134729-13-8P 193422-46-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)

(synthesis and analgesic and anti-inflammatory activity of 1,5-diarylpyrazoles)

RN 134728-98-6 CAPLUS

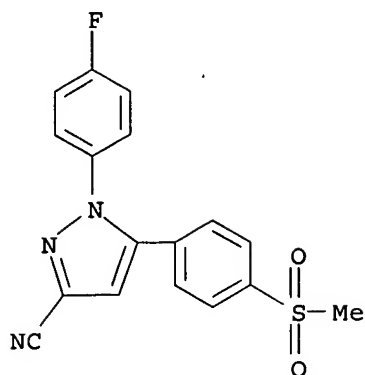
CN 1H-Pyrazole-3-carboxylic acid, 1-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 134729-13-8 CAPLUS

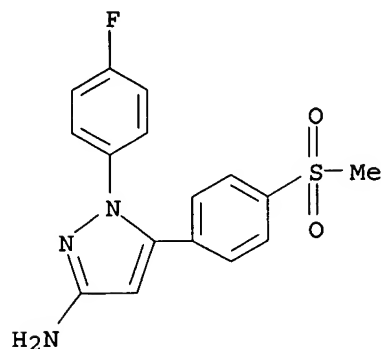
CN 1H-Pyrazole-3-carbonitrile, 1-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

10/764,529



RN 193422-46-7 CAPLUS

CN 1H-Pyrazol-3-amine, 1-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI)  
(CA INDEX NAME)



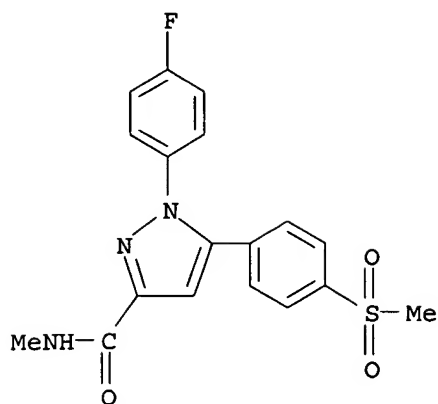
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134729-78-5P 134729-86-5P 134729-93-4P  
134729-96-7P 134730-01-1P 134730-03-3P  
134730-30-6P 134730-31-7P 134730-33-9P  
134730-34-0P 134730-61-3P 134731-18-3P  
134731-47-8P 151506-81-9P 193422-54-7P  
193422-55-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(synthesis and analgesic and anti-inflammatory activity of 1,5-diarylpyrazoles)

RN 134729-00-3 CAPLUS

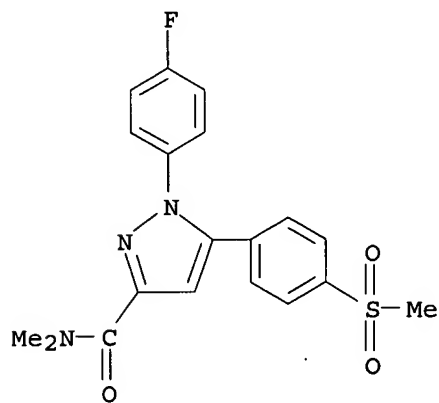
CN 1H-Pyrazole-3-carboxamide, 1-(4-fluorophenyl)-N-methyl-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

10/764,529



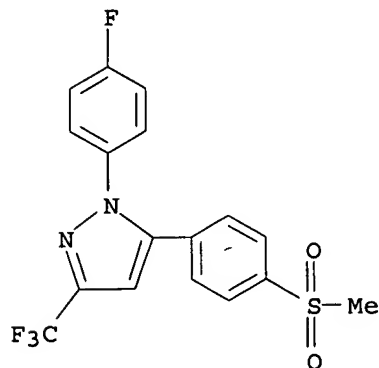
RN 134729-02-5 CAPLUS

CN 1H-Pyrazole-3-carboxamide, 1-(4-fluorophenyl)-N,N-dimethyl-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 134729-22-9 CAPLUS

CN 1H-Pyrazole, 1-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



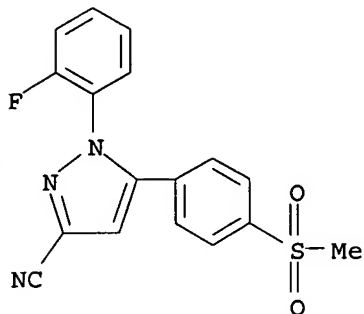
RN 134729-53-6 CAPLUS

CN 1H-Pyrazole-3-carbonitrile, 1-(2-fluorophenyl)-5-[4-



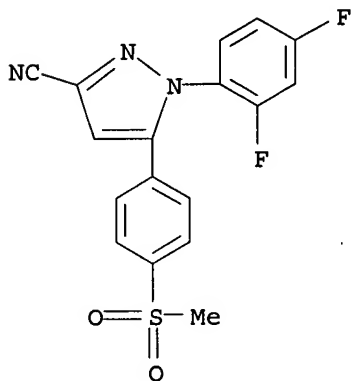
10/764,529

(methylsulfonyl)phenyl] - (9CI) (CA INDEX NAME)



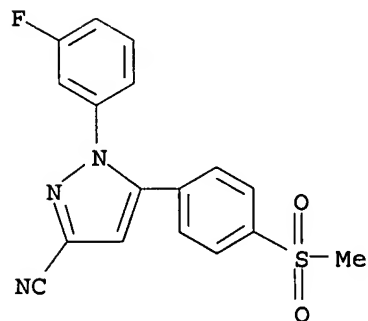
RN 134729-54-7 CAPLUS

CN 1H-Pyrazole-3-carbonitrile, 1-(2,4-difluorophenyl)-5-[4-(methylsulfonyl)phenyl] - (9CI) (CA INDEX NAME)



RN 134729-55-8 CAPLUS

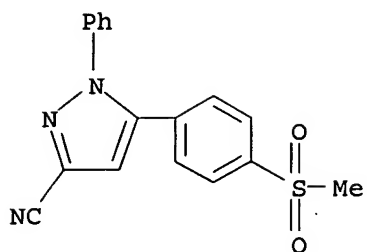
CN 1H-Pyrazole-3-carbonitrile, 1-(3-fluorophenyl)-5-[4-(methylsulfonyl)phenyl] - (9CI) (CA INDEX NAME)



RN 134729-56-9 CAPLUS

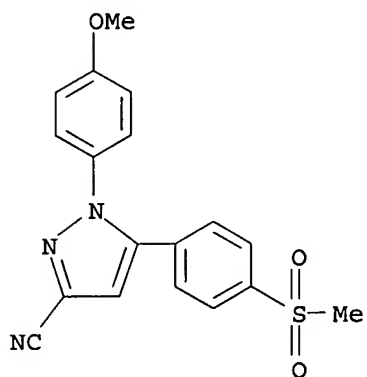
CN 1H-Pyrazole-3-carbonitrile, 5-[4-(methylsulfonyl)phenyl]-1-phenyl- (9CI)  
(CA INDEX NAME)

10/764,529



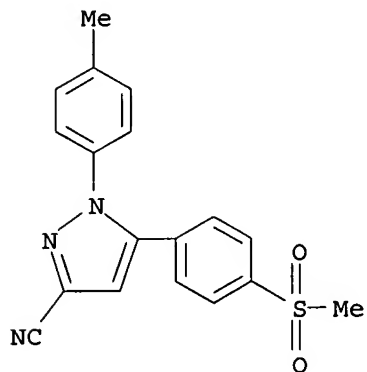
RN 134729-57-0 CAPLUS

CN 1H-Pyrazole-3-carbonitrile, 1-(4-methoxyphenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 134729-58-1 CAPLUS

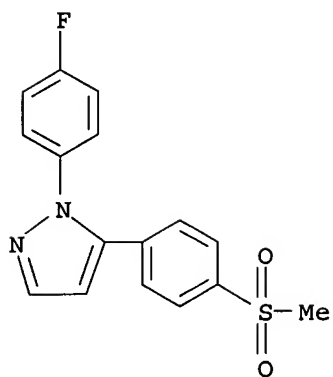
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RN 134729-78-5 CAPLUS

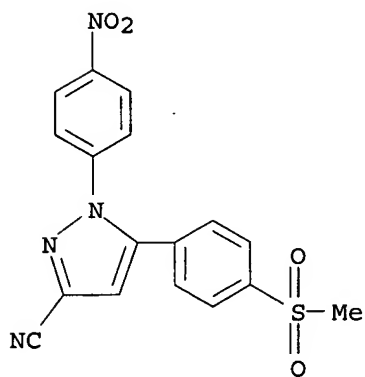
CN 1H-Pyrazole, 1-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

10/764,529



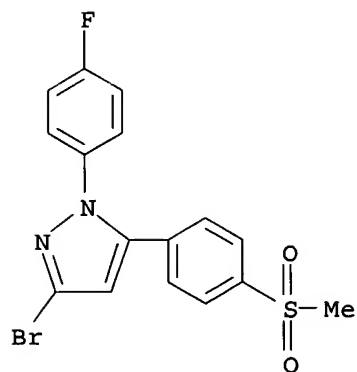
RN 134729-86-5 CAPLUS

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RN 134729-93-4 CAPLUS

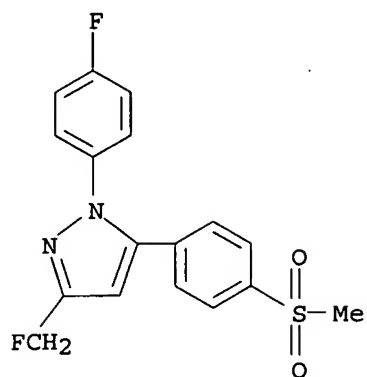
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(9CI) (CA INDEX NAME)



RN 134729-96-7 CAPLUS

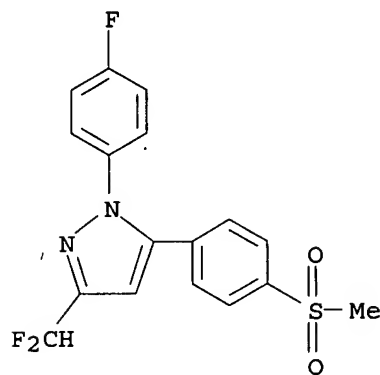
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10/764,529



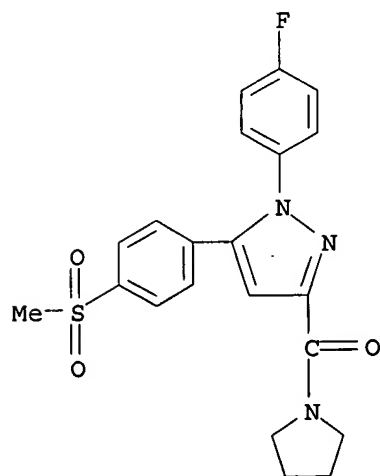
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RN 134730-03-3 CAPLUS

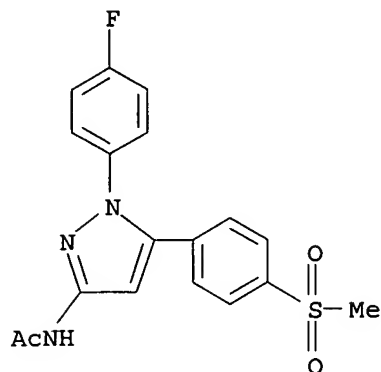
CN Pyrrolidine, 1-[[1-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)



10/764,529

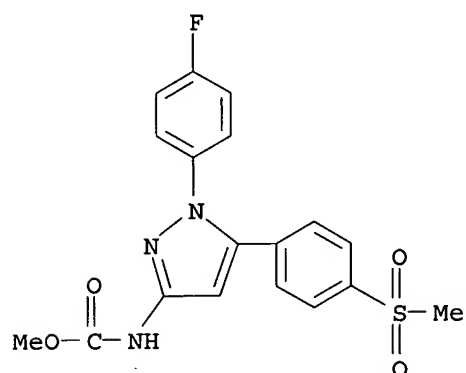
RN 134730-30-6 CAPLUS

CN Acetamide, N-[1-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



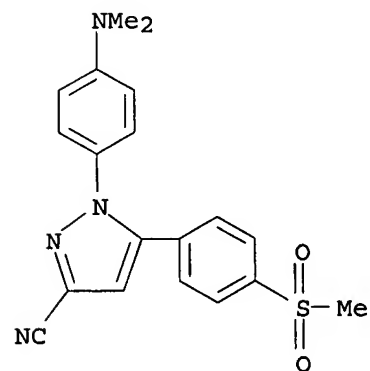
RN 134730-31-7 CAPLUS

CN Carbamic acid, [1-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-1H-Pyrazol-3-yl]-, methyl ester (9CI) (CA INDEX NAME)



RN 134730-33-9 CAPLUS

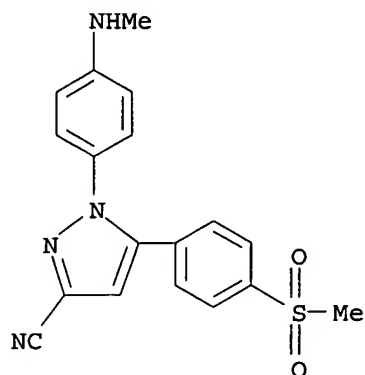
CN 1H-Pyrazole-3-carbonitrile, 1-[4-(dimethylamino)phenyl]-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



10/764,529

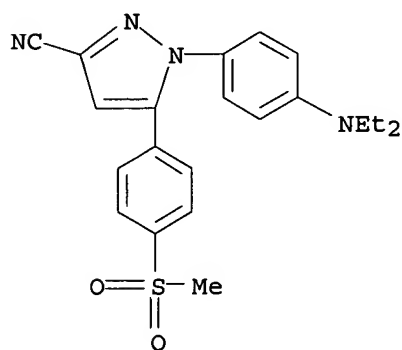
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CN 1H-Pyrazole-3-carbonitrile, 1-[4-(methylamino)phenyl]-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



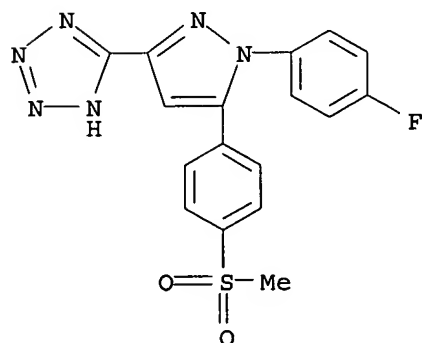
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CN 1H-Pyrazole-3-carbonitrile, 1-[4-(diethylamino)phenyl]-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 134731-18-3 CAPLUS

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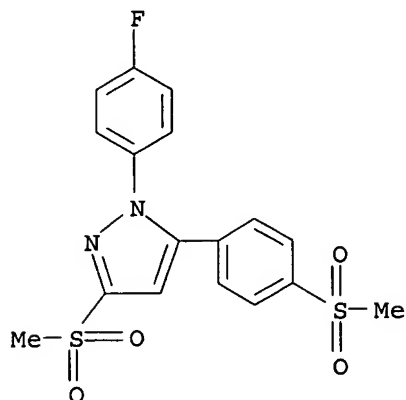


RN 134731-47-8 CAPLUS

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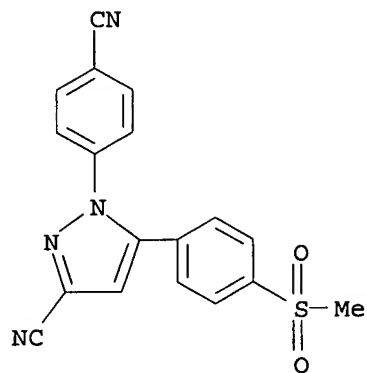
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(methylsulfonyl)phenyl] - (9CI) (CA INDEX NAME)



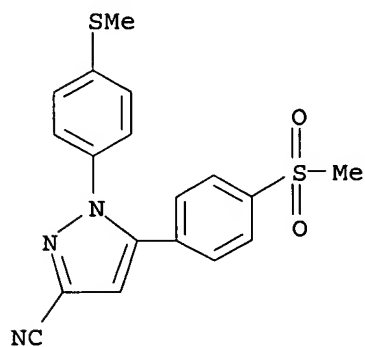
RN 151506-81-9 CAPLUS

CN 1H-Pyrazole-3-carbonitrile, 1-(4-cyanophenyl)-5-[4-(methylsulfonyl)phenyl] - (9CI) (CA INDEX NAME)



RN 193422-54-7 CAPLUS

CN 1H-Pyrazole-3-carbonitrile, 5-[4-(methylsulfonyl)phenyl]-1-[4-(methylthio)phenyl] - (9CI) (CA INDEX NAME)

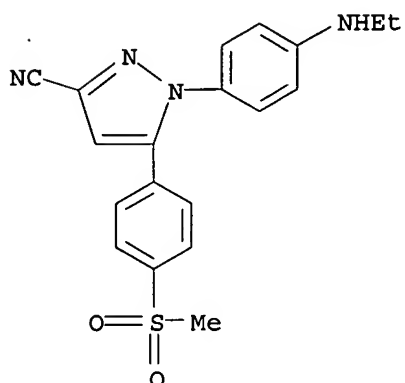


RN 193422-55-8 CAPLUS

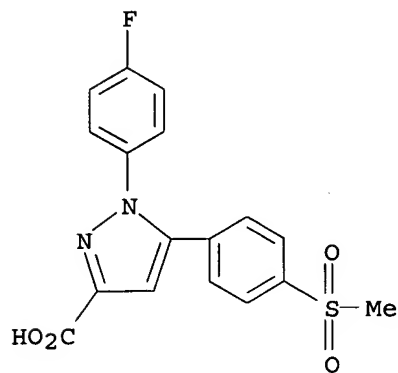
CN 1H-Pyrazole-3-carbonitrile, 1-[4-(ethylamino)phenyl]-5-[4-

10/764,529

(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

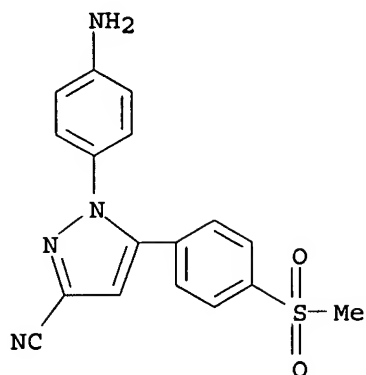


IT 134728-99-7P, 1H-Pyrazole-3-carboxylic acid, 1-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]- 134730-29-3P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(synthesis and analgesic and anti-inflammatory activity of 1,5-diarylpyrazoles)  
RN 134728-99-7 CAPLUS  
CN 1H-Pyrazole-3-carboxylic acid, 1-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 134730-29-3 CAPLUS  
CN 1H-Pyrazole-3-carbonitrile, 1-(4-aminophenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)





AB A series of novel 1,5-diarylpyrazole derivs. was synthesized and tested for anti-inflammatory and analgesic activities to develop anti-inflammatory agents with fewer side effects than existing nonsteroidal anti-inflammatory drugs. The structure-activity relationships in this series were extensively studied. Electron-withdrawing substituents such as CN and CF<sub>3</sub> were optimal at the 3-position of the pyrazole ring. Replacement of these substituents with bulky ones gave less active compds. The 4-(methylsulfonyl)phenyl group seemed to be the optimal group at the 5-position of the pyrazole ring. The most potent compound was 1-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-pyrazole-3-carbonitrile with oral ED<sub>50</sub> values of 0.030 and 0.47 mg/kg on adjuvant-induced arthritis and collagen-induced arthritis, resp., and an ED<sub>30</sub> value of 7.4 mg/kg in the yeast-induced hyperalgesia (Randall-Selitto) assay. This compound also showed potent inducible cyclooxygenase (COX-2)-inhibitory activity (IC<sub>50</sub> = 0.24 μM) with no COX-1 inhibition even at 100 μM.

REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 47 OF 62 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1997:411072 CAPLUS

DOCUMENT NUMBER: 127:108929

TITLE: Preparation of 1,4,5-triphenylpyrazoles for the treatment of inflammation and inflammation-related disorders

INVENTOR(S): Lee, Len F.

PATENT ASSIGNEE(S): G.D. Searle and Co., USA

SOURCE: U.S., 18 pp., Cont.-in-part of U.S. 5,401,765.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5639777	A	19970617	US 1996-648118	19960521
US 5401765	A	19950328	US 1993-161004	19931130
WO 9515317	A1	19950608	WO 1994-US12721	19941114

W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ

RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU,

10/764,529

MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN,  
TD, TG

PRIORITY APPLN. INFO.:

US 1993-161004

A2 19931130

WO 1994-US12721

W 19941114

OTHER SOURCE(S):

MARPAT 127:108929

IT 165251-89-8P

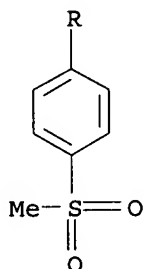
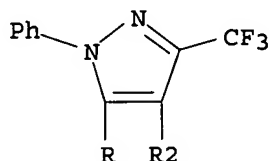
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 1,4,5-triphenylpyrazoles for the treatment of inflammation and inflammation-related disorders)

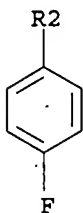
RN 165251-89-8 CAPLUS

CN 1H-Pyrazole, 4-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-1-phenyl-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

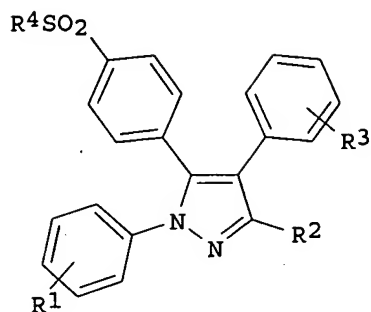
PAGE 1-A



PAGE 2-A



GI



I

AB The title compds. [I; R1 = H, halo, C1-20 alkyl, etc.; R2 = H, C1-20 alkyl, CN, C1-20 haloalkyl; R3 = H, halo, C1-20 alkyl, etc.; R4 = NH2], useful for the treatment of inflammation, including treatment of pain and disorders such as arthritis, were prepared. Thus, treatment of 2-(4-fluorophenyl)-1-[4-(methylthio)phenyl]ethanone with NaH in DMF followed by passing of gaseous CF<sub>3</sub>CN to the above mixture, treatment of the resulting 3-amino-4,4,4-trifluoro-2-(4-fluorophenyl)-1-[4-(methylthio)phenyl]-2-buten-1-one with 6N HCl, reaction of 2-(4-fluorophenyl)-1-[4-(methylthio)phenyl]-4,4,4-trifluoro-1,3-butanedione with PhNHNH<sub>2</sub> in AcOH, and treatment of 4-(4-fluorophenyl)-5-[4-(methylthio)phenyl]-1-phenyl-3-(trifluoromethyl)pyrazole with 30% H<sub>2</sub>O<sub>2</sub> in AcOH afforded I [R1 = H; R2 = CF<sub>3</sub>; R3 = 4-F; R4 = Me] which showed 20% rat paw edema inhibition at 10 mg/kg body weight

L4 ANSWER 48 OF 62 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1997:361629 CAPLUS

DOCUMENT NUMBER: 126:330613

TITLE: Preparation of 1,3,5-trisubstituted pyrazoles for treatment of inflammation

INVENTOR(S): Matsuo, Masaaki; Okumura, Kazuo; Ogino, Takashi; Nakamura, Katsuya; Nishimura, Hiroaki; Harada, Keiko; Hotta, Yuka; Tsuji, Kiyoshi

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 54 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9713755	A1	19970417	WO 1996-JP2919	19961008
W: AU, CA, CN, HU, IL, JP, KR, MX, US, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
ZA 9608286	A	19970513	ZA 1996-8286	19961002
CA 2234511	AA	19970417	CA 1996-2234511	19961008
AU 9671461	A1	19970430	AU 1996-71461	19961008
EP 856000	A1	19980805	EP 1996-932841	19961008
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
CN 1203589	A	19981230	CN 1996-198649	19961008
JP 11513403	T2	19991116	JP 1996-514909	19961008
PRIORITY APPLN. INFO.:			GB 1995-20584	A 19951009
			WO 1996-JP2919	W 19961008

10/764,529

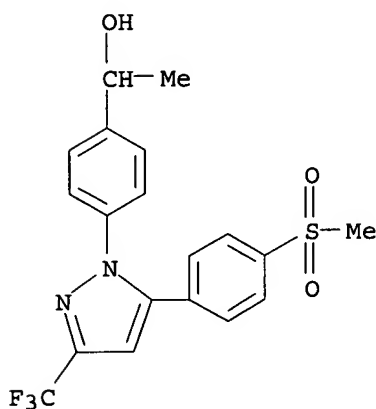
OTHER SOURCE(S): MARPAT 126:330613

IT 189699-63-6P 189699-65-8P 189699-69-2P  
189699-75-0P 189699-76-1P 189699-81-8P  
189699-82-9P 189699-83-0P 189699-84-1P  
189699-88-5P 189699-92-1P 189699-93-2P  
189699-94-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of 1,3,5-trisubstituted pyrazoles for treatment of inflammation)

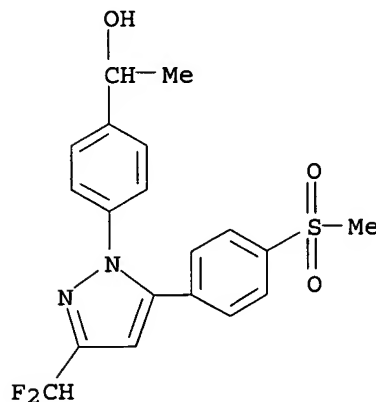
RN 189699-63-6 CAPLUS

CN Benzenemethanol,  $\alpha$ -methyl-4-[5-[4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



RN 189699-65-8 CAPLUS

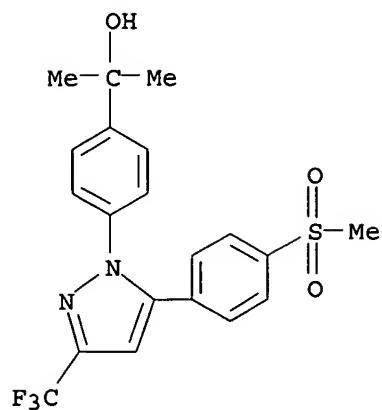
CN Benzenemethanol, 4-[3-(difluoromethyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-1-yl]- $\alpha$ -methyl- (9CI) (CA INDEX NAME)



RN 189699-69-2 CAPLUS

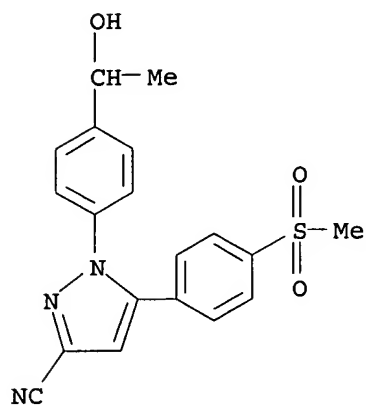
CN Benzenemethanol,  $\alpha,\alpha$ -dimethyl-4-[5-[4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

10/764,529



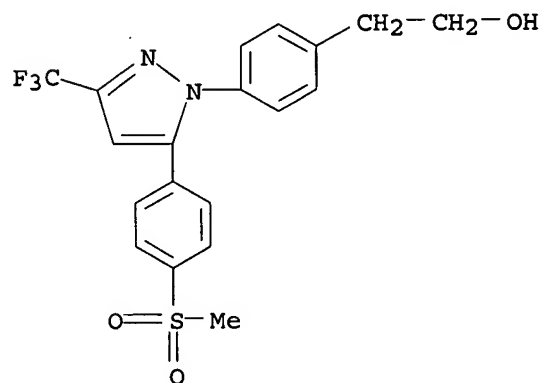
RN 189699-75-0 CAPLUS

CN 1H-Pyrazole-3-carbonitrile, 1-[4-(1-hydroxyethyl)phenyl]-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 189699-76-1 CAPLUS

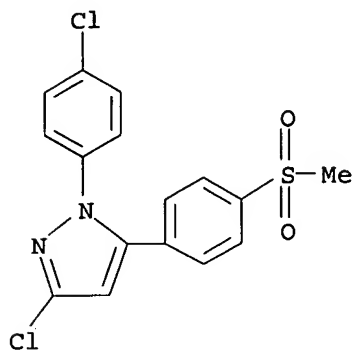
CN Benzeneethanol, 4-[5-[4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



RN 189699-81-8 CAPLUS

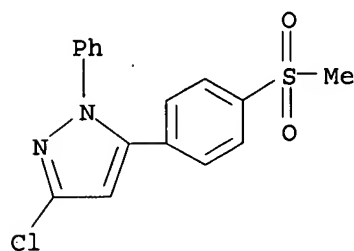
10/764,529

CN 1H-Pyrazole, 3-chloro-1-(4-chlorophenyl)-5-[4-(methylsulfonyl)phenyl]-  
(9CI) (CA INDEX NAME)



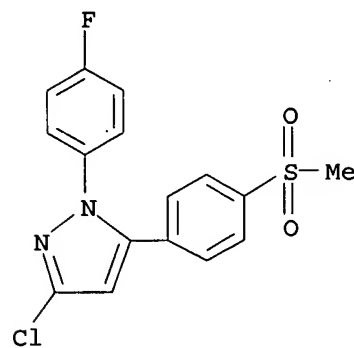
RN 189699-82-9 CAPLUS

CN 1H-Pyrazole, 3-chloro-5-[4-(methylsulfonyl)phenyl]-1-phenyl- (9CI) (CA  
INDEX NAME)



RN 189699-83-0 CAPLUS

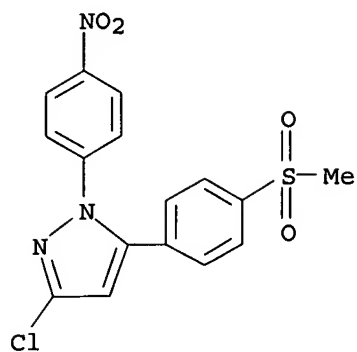
CN 1H-Pyrazole, 3-chloro-1-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-  
(9CI) (CA INDEX NAME)



RN 189699-84-1 CAPLUS

CN 1H-Pyrazole, 3-chloro-5-[4-(methylsulfonyl)phenyl]-1-(4-nitrophenyl)-  
(9CI) (CA INDEX NAME)

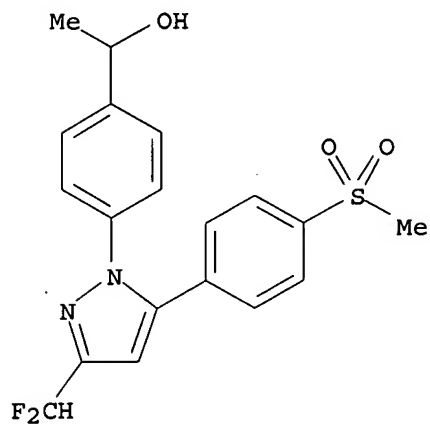
10/764,529



RN 189699-88-5 CAPLUS

CN Benzenemethanol, 4-[3-(difluoromethyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-1-yl]- $\alpha$ -methyl-, (+)- (9CI) (CA INDEX NAME)

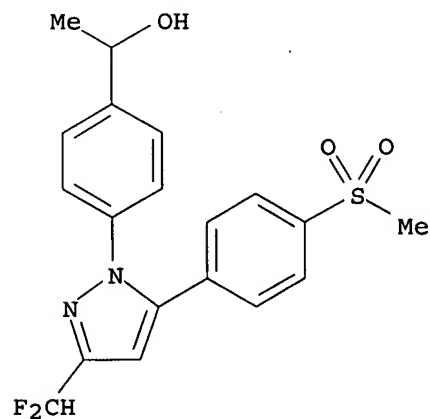
Rotation (+).



RN 189699-92-1 CAPLUS

CN Benzenemethanol, 4-[3-(difluoromethyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-1-yl]- $\alpha$ -methyl-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

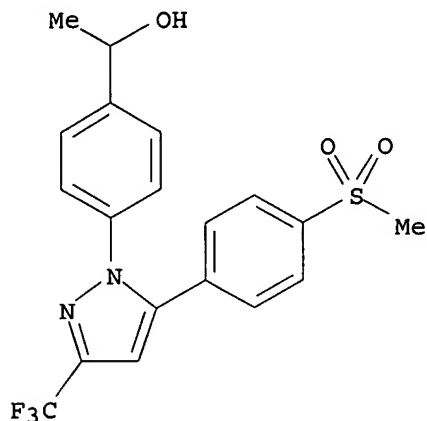


10/764,529

RN 189699-93-2 CAPLUS

CN Benzenemethanol,  $\alpha$ -methyl-4-[5-[4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)-1H-pyrazol-1-yl]-, (+)-(9CI) (CA INDEX NAME)

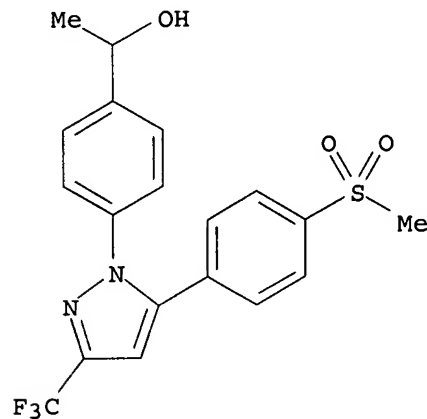
Rotation (+).



RN 189699-94-3 CAPLUS

CN Benzenemethanol,  $\alpha$ -methyl-4-[5-[4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)-1H-pyrazol-1-yl]-, (-)-(9CI) (CA INDEX NAME)

Rotation (-).



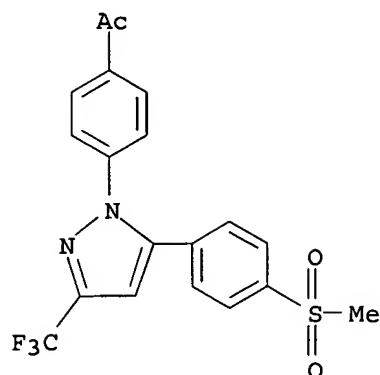
IT 151506-61-5

RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of 1,3,5-trisubstituted pyrazoles for treatment of inflammation)

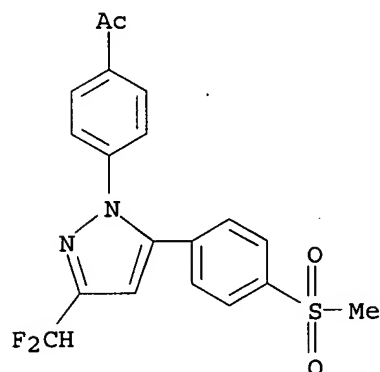
RN 151506-61-5 CAPLUS

CN Ethanone, 1-[4-[5-[4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)



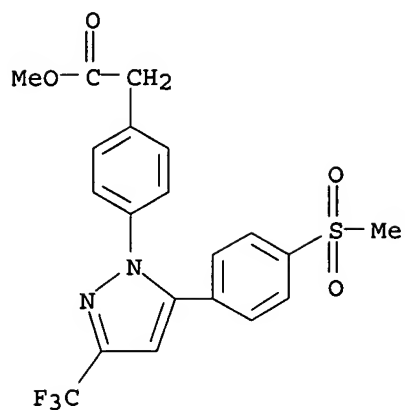


IT 151506-59-1P 189699-98-7P 189699-99-8P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation of 1,3,5-trisubstituted pyrazoles for treatment of  
 inflammation)  
 RN 151506-59-1 CAPLUS  
 CN Ethanone, 1-[4-[3-(difluoromethyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-  
 1-yl]phenyl]- (9CI) (CA INDEX NAME)



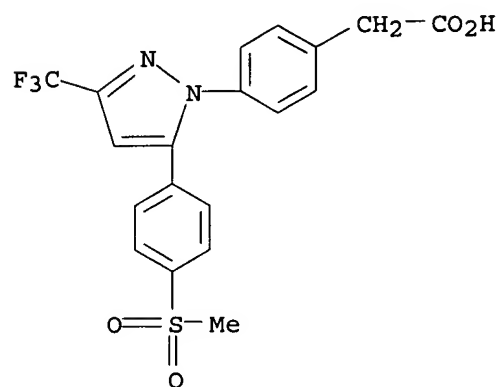
RN 189699-98-7 CAPLUS  
 CN Benzeneacetic acid, 4-[5-[4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)-1H-  
 pyrazol-1-yl]-, methyl ester (9CI) (CA INDEX NAME)

10/764,529

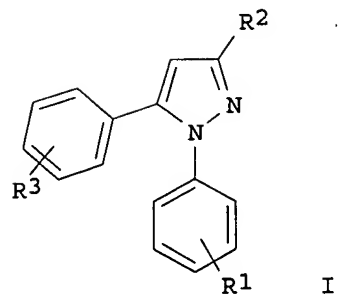


RN 189699-99-8 CAPLUS

CN Benzeneacetic acid, 4-[5-[4-(methanesulfonyl)phenyl]-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



GI



AB The title compds. [I; R1 = hydroxyethyl, 1-hydroxy-1-methylethyl, H, halo, NO2, CN; R2 = Cl, CN, lower alkyl optionally substituted with halogen; R3 = lower alkylthio, lower alkylsulfinyl, lower alkylsulfonyl], COX-II

inhibitors and useful in the treatment and/or prevention of inflammatory conditions, various pains, collagen diseases, autoimmune diseases, various immunity diseases, thrombosis, cancer or neurodegenerative diseases, were prepared. Thus, treatment of 3-chloro-1-(4-chlorophenyl)-5-[4-(methylthio)phenyl]pyrazole with m-chloroperbenzoic acid in CH<sub>2</sub>Cl<sub>2</sub> afforded I [R<sub>1</sub> = 4-Cl; R<sub>2</sub> = Cl; R<sub>3</sub> = 4-(MeSO<sub>2</sub>)] which showed at 3.2 mg/kg inhibition of secondary lesion of  $\geq 95\%$  in female Sprague-Dawley rats injected with Mycobacterium tuberculosis (strain M37 BA).

L4 ANSWER 49 OF 62 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1997:342369 CAPLUS

DOCUMENT NUMBER: 126:317377

TITLE: Preparation of substituted pyrazolylbenzenesulfonamides for use in veterinary therapies as antiinflammatory agents

INVENTOR(S): Isakson, Peter C.; Talley, John J.

PATENT ASSIGNEE(S): G.D. Searle and Co., USA; Isakson, Peter C.; Talley, John J.

SOURCE: PCT Int. Appl., 214 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9711704	A1	19970403	WO 1996-US15538	19960927
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM				
US 5756529	A	19980526	US 1995-536318	19950929
CA 2233620	AA	19970403	CA 1996-2233620	19960927
AU 9673768	A1	19970417	AU 1996-73768	19960927
AU 718300	B2	20000413		
EP 854723	A1	19980729	EP 1996-936018	19960927
EP 854723	B1	20030423		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
CN 1202828	A	19981223	CN 1996-198561	19960927
JP 11514991	T2	19991221	JP 1996-513685	19960927
AT 238058	E	20030515	AT 1996-936018	19960927
IL 123635	A1	20030624	IL 1996-123635	19960927
PT 854723	T	20030829	PT 1996-936018	19960927
ES 2197954	T3	20040116	ES 1996-936018	19960927
RU 2253456	C2	20050610	RU 1998-107643	19960927
NO 9801392	A	19980525	NO 1998-1392	19980327
BR 9610974	A	19990713	BR 1996-10974	19980330
PRIORITY APPLN. INFO.:			US 1995-536318	A1 19950929
			WO 1996-US15538	W 19960927

OTHER SOURCE(S): MARPAT 126:317377

IT 170570-43-1P

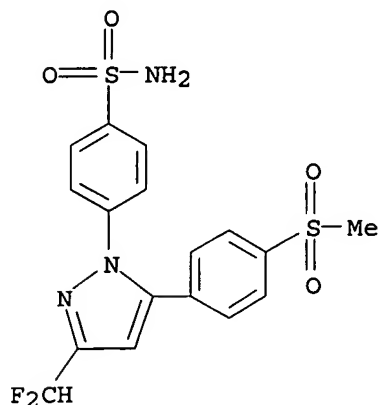
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted pyrazolylbenzenesulfonamides for use in veterinary therapies as antiinflammatory agents)

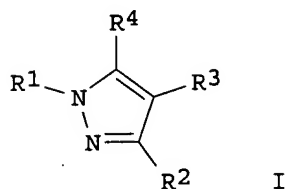
10/764,529

RN 170570-43-1 CAPLUS

CN Benzenesulfonamide, 4-[3-(difluoromethyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



GI



AB The title compds. [I; R<sub>1</sub> = substituted aryl (e.g., 4-(H<sub>2</sub>NSO<sub>2</sub>)C<sub>6</sub>H<sub>4</sub>), heteroaryl; R<sub>2</sub> = H, halo, alkyl, etc.; R<sub>3</sub> = H, alkyl, halo, etc.; R<sub>4</sub> = (un)substituted aralkenyl, aryl, cycloalkyl, etc.], useful in treating inflammation and inflammation-related disorders (e.g., arthritis and pain) in animals, were prepared. Thus, reaction of Et trifluoroacetate with 4'-chloroacetophenone in the presence NaOMe in Me tert-Bu ether followed by cyclization of the resulting of 4,4,4-trifluoro-1-(4-chlorophenyl)butane-1,3-dione with 4-sulfonamidophenylhydrazine.HCl in EtOH afforded I [R<sub>1</sub> = 4-(H<sub>2</sub>NSO<sub>2</sub>)C<sub>6</sub>H<sub>4</sub>; R<sub>2</sub> = CF<sub>3</sub>; R<sub>3</sub> = H; R<sub>4</sub> = 4-ClC<sub>6</sub>H<sub>4</sub>] which showed ID<sub>50</sub> of <0.1 μM against human cyclooxygenase II.

L4 ANSWER 50 OF 62 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1997:231026 CAPLUS

DOCUMENT NUMBER: 126:264035

TITLE: Synthesis and Biological Evaluation of the 1,5-Diarylpyrazole Class of Cyclooxygenase-2 Inhibitors: Identification of 4-[5-(4-Methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]benzenesulfonamide (SC-58635, Celecoxib)

AUTHOR(S): Penning, Thomas D.; Talley, John J.; Bertenshaw, Stephen R.; Carter, Jeffery S.; Collins, Paul W.; Docter, Stephen; Graneto, Matthew J.; Lee, Len F.; Malecha, James W.; Miyashiro, Julie M.; Rogers, Roland S.; Rogier, D. J.; Yu, Stella S.; Anderson, Gary D.; Burton, Earl G.; Cogburn, J. Nita; Gregory, Susan A.; Koboldt, Carol M.; Perkins, William E.; Seibert,

10/764,529

Karen; Veenhuizen, Amy W.; Zhang, Yan Y.; Isakson, Peter C.

CORPORATE SOURCE:

Departments of Chemistry Inflammatory Diseases Research and Molecular Pharmacology, Searle Research and Development, Skokie, IL, 60077, USA

SOURCE:

Journal of Medicinal Chemistry (1997), 40(9), 1347-1365

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER:

American Chemical Society

DOCUMENT TYPE:

Journal

LANGUAGE:

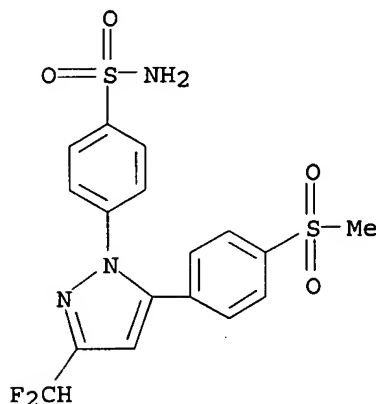
English

IT 170570-43-1P

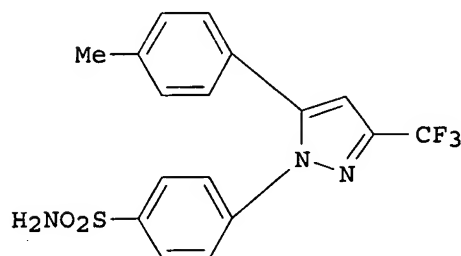
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(diarylpyrazoles as cyclooxygenase 2 inhibitors)

RN 170570-43-1 CAPLUS

CN Benzenesulfonamide, 4-[3-(difluoromethyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



GI



I

AB Sulfonamide-containing 1,5-diarylpyrazole derivs. were prepared and evaluated for their ability to block cyclooxygenase-2 (COX-2) in vitro and in vivo. Extensive structure-activity relationship work was carried out within this series, and a number of potent and selective inhibitors of COX-2 were identified. Since an early structural lead exhibited an unacceptably long plasma half-life, a number of pyrazole analogs containing potential metabolic

sites were evaluated further in vivo in an effort to identify compds. with acceptable pharmacokinetic profiles. This work led to the identification of SC-58635 (celecoxib, I), which is currently in phase III clin. trials for the treatment of rheumatoid arthritis and osteoarthritis.

REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 51 OF 62 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1997:175052 CAPLUS

DOCUMENT NUMBER: 126:166481

TITLE: Combination of a cyclooxygenase-2 inhibitor and a leukotriene B4 receptor antagonist for the treatment of inflammations

INVENTOR(S): Isakson, Peter C.; Anderson, Gary D.; Gregory, Susan A.

PATENT ASSIGNEE(S): G.D. Searle and Co., USA

SOURCE: PCT Int. Appl., 72 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9641645	A1	19961227	WO 1996-US9905	19960611
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
CA 2224563	AA	19961227	CA 1996-2224563	19960611
AU 9662694	A1	19970109	AU 1996-62694	19960611
EP 833664	A1	19980408	EP 1996-921477	19960611
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 11507669	T2	19990706	JP 1996-503237	19960611
PRIORITY APPLN. INFO.:			US 1995-489415	A 19950612
			WO 1996-US9905	W 19960611

OTHER SOURCE(S): MARPAT 126:166481

IT 165251-89-8P

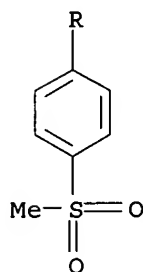
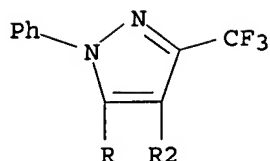
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(combination of a cyclooxygenase-2 inhibitor and a leukotriene B4 receptor antagonist for treatment of inflammation)

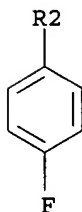
RN 165251-89-8 CAPLUS

CN 1H-Pyrazole, 4-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-1-phenyl-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



AB Combinations of a cyclooxygenase-2 inhibitor and a leukotriene B4 receptor antagonist are described for treatment of inflammation and inflammation-related disorders. The cyclooxygenase-2 inhibitors were prepared. Also, formulations for the drug combination are described.

L4 ANSWER 52 OF 62 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1997:174993 CAPLUS

DOCUMENT NUMBER: 126:166480

TITLE: Compositions comprising a cyclooxygenase-2 inhibitor and a leukotriene A4 hydrolase inhibitor

INVENTOR(S): Isakson, Peter C.; Anderson, Gary D.; Gregory, Susan A.

PATENT ASSIGNEE(S): G.D. Searle and Co., USA

SOURCE: PCT Int. Appl., 77 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9641625	A1	19961227	WO 1996-US10105	19960611
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE,				

10/764,529

ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS,  
LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD,  
SE, SG  
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR,  
IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN  
US 5700816 A 19971223 US 1995-489468 19950612  
CA 2224379 AA 19961227 CA 1996-2224379 19960611  
AU 9662744 A1 19970109 AU 1996-62744 19960611  
EP 843549 A1 19980527 EP 1996-921540 19960611  
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI  
JP 11507925 T2 19990713 JP 1997-503272 19960611  
PRIORITY APPLN. INFO.: US 1995-489468 A 19950612  
WO 1996-US10105 W 19960611

OTHER SOURCE(S): MARPAT 126:166480

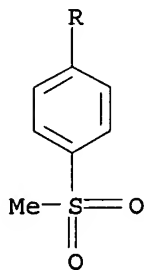
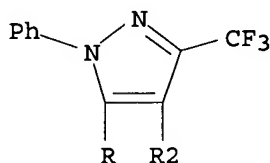
IT 165251-89-8

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(cyclooxygenase-2 inhibitor and leukotriene A4 hydrolase inhibitor for  
treatment of inflammation and inflammation-related disorders, compound  
preparation, pharmaceutical formulations, and antiarthritic activity)

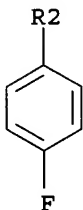
RN 165251-89-8 CAPLUS

CN 1H-Pyrazole, 4-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-1-phenyl-3-  
(trifluoromethyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



AB Combination of a cyclooxygenase-2 inhibitor and a leukotriene A4 hydrolase



inhibitor are described for treatment of inflammation and inflammation-related disorders. Preparation of e.g. 4-[5-(4-chlorophenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]benzenesulfonamide is described., as are pharmaceutical formulations and activity against collagen-induced arthritis in mice.

L4 ANSWER 53 OF 62 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1997:174992 CAPLUS

DOCUMENT NUMBER: 126:166479

TITLE: Compositions comprising a cyclooxygenase-2 inhibitor and a 5-lipoxygenase inhibitor for treatment of inflammation and inflammation-related disorders

INVENTOR(S): Isakson, Peter C.; Anderson, Gary D.; Gregory, Susan A.

PATENT ASSIGNEE(S): G.D. Searle and Co., USA

SOURCE: PCT Int. Appl., 73 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9641626	A1	19961227	WO 1996-US10106	19960611
W:	AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG			
RW:	KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN			
CA 2224517	AA	19961227	CA 1996-2224517	19960611
AU 9661117	A1	19970109	AU 1996-61117	19960611
EP 833622	A1	19980408	EP 1996-918465	19960611
EP 833622	B1	20050810		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI			
JP 11507670	T2	19990706	JP 1997-503273	19960611
AT 301457	E	20050815	AT 1996-918465	19960611
PRIORITY APPLN. INFO.:			US 1995-489472	A 19950612
			WO 1996-US10106	W 19960611

OTHER SOURCE(S): MARPAT 126:166479

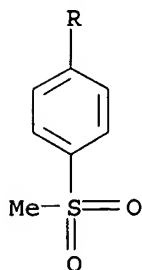
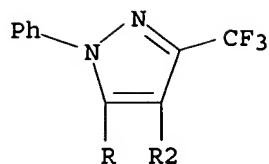
IT 165251-89-8

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(cyclooxygenase-2 inhibitor combination with 5-lipoxygenase inhibitor for treatment of inflammation and inflammation-related disorders, compound preparation, antiarthritic activity and pharmaceutical compns.)

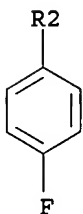
RN 165251-89-8 CAPLUS

CN 1H-Pyrazole, 4-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-1-phenyl-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



AB Combinations of a cyclooxygenase-2 inhibitor and a 5-lipoxygenase inhibitor are described for treatment of inflammation and inflammation-related disorders. Preparation of e.g. 4-[5-(4-chlorophenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]benzenesulfonamide is described., as are pharmaceutical formulations and activity against collagen-induced arthritis in mice.

L4 ANSWER 54 OF 62 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1996:466918 CAPLUS

DOCUMENT NUMBER: 125:114611

TITLE: Pyrazole derivatives exhibiting anti-inflammatory and analgesic effects

INVENTOR(S): Numata, Hirotoshi; Okamoto, Yasushi; Shinoda, Masanobu; Kobayashi, Naoki; Miyazawa, Shuhei; Kawahara, Tetsuya; Shirota, Hiroshi; Nagakura, Naoki; Horizoe, Tatsuo; et al.

PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan

SOURCE: PCT Int. Appl., 136 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

10/764,529

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9614302	A1	19960517	WO 1995-JP2250	19951106
W: AU, CA, CN, FI, HU, JP, KR, MX, NO, NZ, RU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9538154	A1	19960531	AU 1995-38154	19951106
JP 10509140	T2	19980908	JP 1995-515193	19951106
ZA 9509475	A	19960515	ZA 1995-9475	19951108
PRIORITY APPLN. INFO.:			JP 1994-274067	A 19941108
			JP 1994-280705	A 19941115
			JP 1995-48760	A 19950308
			WO 1995-JP2250	W 19951106

OTHER SOURCE(S): MARPAT 125:114611

IT 179325-58-7P 179325-78-1P 179326-05-7P

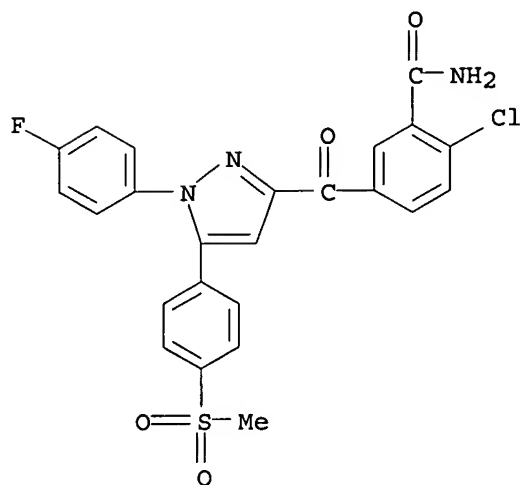
179326-17-1P 179326-25-1P 179326-26-2P

179326-40-0P 179326-67-1P 179326-82-0P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 179325-58-7 CAPLUS

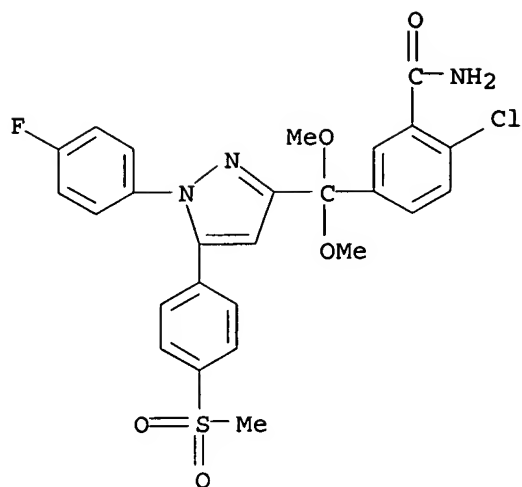
CN Benzamide, 2-chloro-5-[[1-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)



RN 179325-78-1 CAPLUS

CN Benzamide, 2-chloro-5-[[1-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-3-yl]dimethoxymethyl]- (9CI) (CA INDEX NAME)

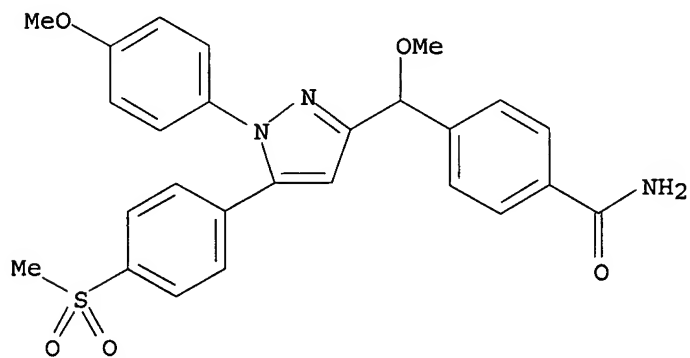
10/764,529



RN 179326-05-7 CAPLUS

CN Benzamide, 4-[methoxy[1-(4-methoxyphenyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-3-yl]methyl]-, (+)-(9CI) (CA INDEX NAME)

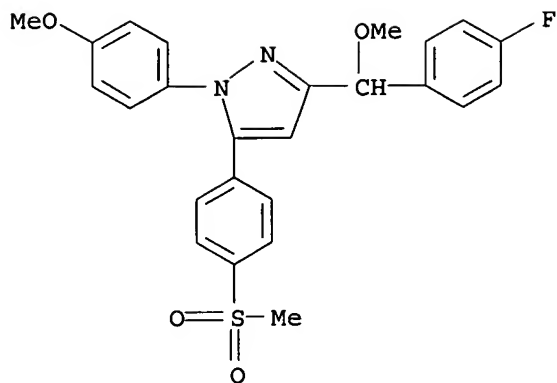
Rotation (+).



RN 179326-17-1 CAPLUS

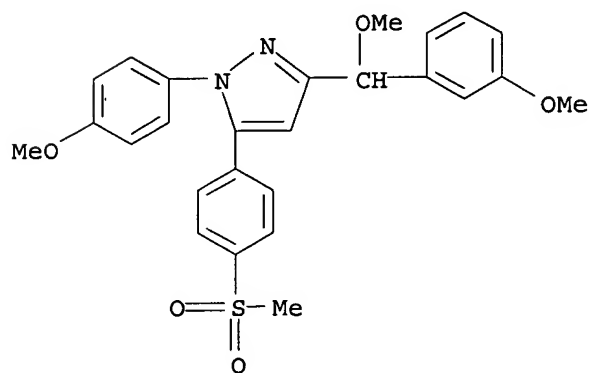
CN 1H-Pyrazole, 3-[[4-(4-fluorophenyl)methoxymethyl]-1-(4-methoxyphenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

10/764,529



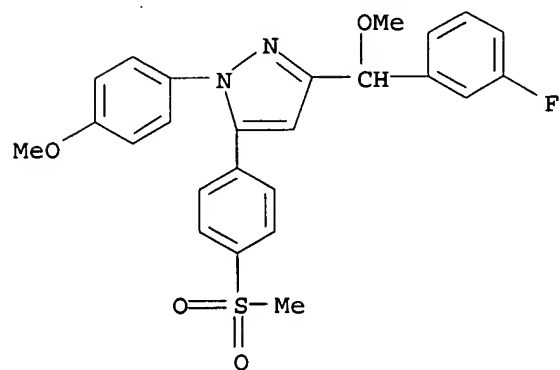
RN 179326-25-1 CAPLUS

CN 1H-Pyrazole, 3-[methoxy(3-methoxyphenyl)methyl]-1-(4-methoxyphenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 179326-26-2 CAPLUS

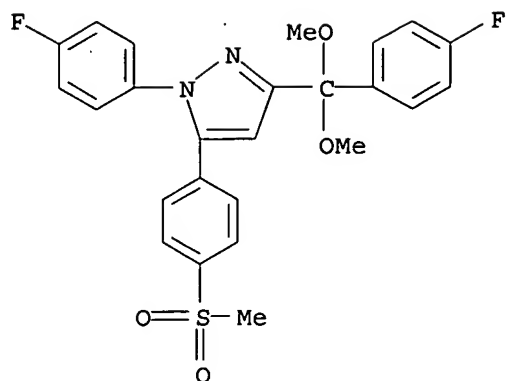
CN 1H-Pyrazole, 3-[(3-fluorophenyl)methoxymethyl]-1-(4-methoxyphenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 179326-40-0 CAPLUS

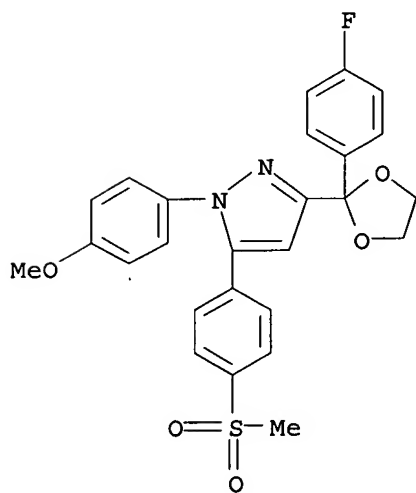
CN 1H-Pyrazole, 1-(4-fluorophenyl)-3-[(4-fluorophenyl)dimethoxymethyl]-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

10/764,529



RN 179326-67-1 CAPLUS

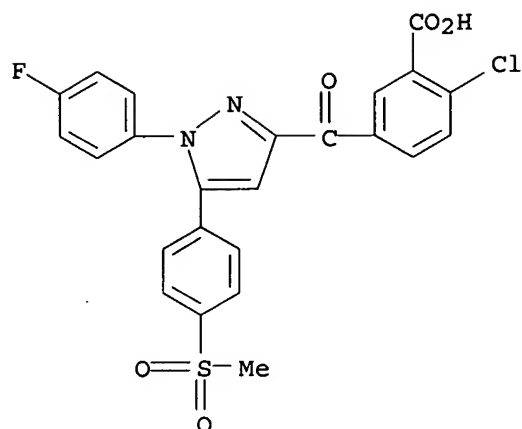
CN 1H-Pyrazole, 3-[2-(4-fluorophenyl)-1,3-dioxolan-2-yl]-1-(4-methoxyphenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 179326-82-0 CAPLUS

CN Benzoic acid, 2-chloro-5-[[1-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)

10/764,529



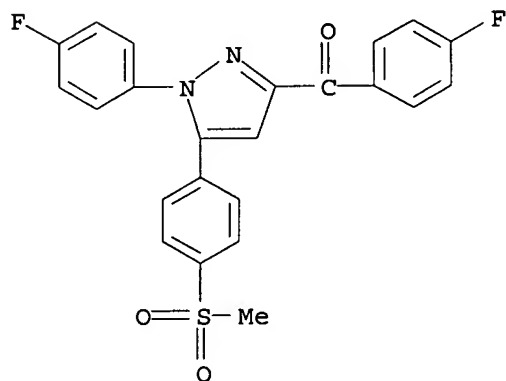
IT 179327-02-7

RL: RCT (Reactant); RACT (Reactant or reagent)

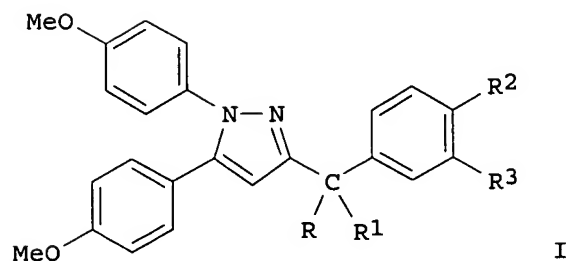
(preparation of analgesic and antiinflammatory pyrazole derivs.)

RN 179327-02-7 CAPLUS

CN Methanone, (4-fluorophenyl) [1-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



GI



AB Pyrazole derivs. I (R = H, OH, OMe, OEt; R1 = H, OMe; RR1 = O, OCH2CH2O,

O(CH<sub>2</sub>)<sub>30</sub>; R<sub>2</sub> = H, OH, F, Cl, Br, OMe, CF<sub>3</sub>, CONH<sub>2</sub>, etc.; R<sub>3</sub> = H, F, Cl, OMe, CH<sub>2</sub>OMe, CO<sub>2</sub>H, CO<sub>2</sub>Me, CONH<sub>2</sub>, etc.) can suppress the production of both prostaglandins and leukotrienes simultaneously, and, therefore, exhibit anti-inflammatory and analgesic effects. Among the approx. 160 compds. prepared, I (R = R<sub>1</sub> = OMe, R<sub>2</sub> = Cl, Me, R<sub>3</sub> = CONH<sub>2</sub>; R = H, R<sub>1</sub> = OMe, R<sub>2</sub> = Cl, R<sub>3</sub> = CONH<sub>2</sub>) were claimed.

L4 ANSWER 55 OF 62 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1996:410945 CAPLUS  
 DOCUMENT NUMBER: 125:114612  
 TITLE: Substituted pyrazolylbenzenesulfonamide for the treatment of inflammation  
 INVENTOR(S): Graneto, Matthew J.  
 PATENT ASSIGNEE(S): G.D. Searle and Co., USA  
 SOURCE: U.S., 24 pp., Cont.-in-part of U.S. Ser. No. 160,594.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 4  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5521207	A	19960528	US 1994-223629	19940406
US 5466823	A	19951114	US 1993-160594	19931130
CA 2177576	AA	19950608	CA 1994-2177576	19941114
CA 2177576	C	19991026		
CA 2276945	AA	19950608	CA 1994-2276945	19941114
CA 2276946	AA	19950608	CA 1994-2276946	19941114
CA 2277954	AA	19950608	CA 1994-2277954	19941114
WO 9515316	A1	19950608	WO 1994-US12720	19941114
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, US				
RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9511714	A1	19950619	AU 1995-11714	19941114
AU 690609	B2	19980430		
EP 731795	A1	19960918	EP 1995-902444	19941114
EP 731795	B1	19991222		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
HU 74180	A2	19961128	HU 1996-1455	19941114
CN 1141630	A	19970129	CN 1994-194833	19941114
CN 1061036	B	20010124		
EP 922697	A1	19990616	EP 1999-101687	19941114
EP 922697	B1	20030226		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
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EP 924201	B1	20020206		
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RU 2139281	C1	19991010	RU 1996-115039	19941114
AT 187965	E	20000115	AT 1995-902444	19941114
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JP 09506350	T2	19970624		
ES 2141916	T3	20000401	ES 1995-902444	19941114



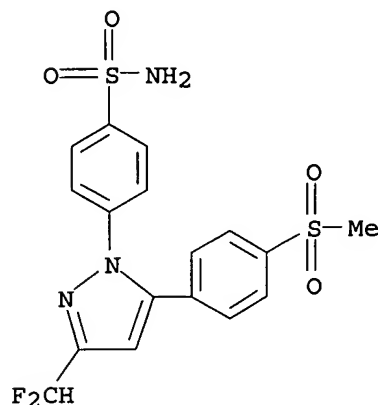
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PL 180717	B1	20010330	PL 1994-314695	19941114
AT 212985	E	20020215	AT 1999-101677	19941114
PT 924201	T	20020628	PT 1999-101677	19941114
AT 219937	E	20020715	AT 1999-101697	19941114
ES 2172959	T3	20021001	ES 1999-101677	19941114
PT 923933	T	20021031	PT 1999-101697	19941114
ES 2180233	T3	20030201	ES 1999-101697	19941114
AT 233245	E	20030315	AT 1999-101687	19941114
RO 118291	B1	20030430	RO 1996-1100	19941114
JP 2003238536	A2	20030827	JP 2003-32958	19941114
ES 2193609	T3	20031101	ES 1999-101687	19941114
CZ 294630	B6	20050216	CZ 1996-1503	19941114
NZ 336428	A	20050225	NZ 1994-336428	19941114
ZA 9409418	A	19951128	ZA 1994-9418	19941128
US 5504215	A	19960402	US 1995-458079	19950601
US 5508426	A	19960416	US 1995-457185	19950601
US 5510496	A	19960423	US 1995-456441	19950601
US 5516907	A	19960514	US 1995-457654	19950601
US 5563165	A	19961008	US 1995-457059	19950601
US 5753688	A	19980519	US 1995-534757	19950927
FI 9602249	A	19960529	FI 1996-2249	19960529
FI 115053	B1	20050228		
NO 9602184	A	19960529	NO 1996-2184	19960529
NO 306460	B1	19991108		
US 5760068	A	19980602	US 1996-648113	19960906
HK 1013649	A1	20000707	HK 1998-114923	19981223
US 6156781	A	20001205	US 1999-449076	19991124
CN 1280125	A	20010117	CN 1999-126471	19991215
CN 1127484	B	20031112		
CN 1280126	A	20010117	CN 1999-126472	19991215
CN 1134417	B	20040114		
CN 1495170	A	20040512	CN 2003-10114247	19991215
HK 1021935	A1	20030404	HK 1999-106091	19991223
GR 3032696	T3	20000630	GR 2000-400394	20000218
US 6413960	B1	20020702	US 2000-609011	20000530
US 6492411	B1	20021210	US 2002-125325	20020417
US 6586603	B1	20030701	US 2002-274679	20021021
US 6716991	B1	20040406	US 2003-378781	20030304
US 2004192930	A1	20040930	US 2003-700019	20031103
US 2005131050	A1	20050616	US 2005-48037	20050131
PRIORITY APPLN. INFO.:			US 1993-160594	A2 19931130
			US 1994-223629	A 19940406
			CA 1994-2177576	A3 19941114
			EP 1995-902444	A3 19941114
			JP 1995-515611	A3 19941114
			JP 1999-298879	A3 19941114
			WO 1994-US12720	W 19941114
			US 1996-648113	A1 19960906
			US 1997-957345	B1 19971024
			US 1999-449076	A1 19991124
			US 2000-609011	A2 20000530
			US 2002-125325	A1 20020417
			US 2002-274679	A1 20021021
			US 2003-378781	A1 20030304
			US 2003-700019	A3 20031103

IT 170570-43-1P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);  
 BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation for treating inflammation)

RN 170570-43-1 CAPLUS

CN Benzenesulfonamide, 4-[3-(difluoromethyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



AB A class of pyrazolylbenzenesulfonamide compds. is described for use in treating inflammation and inflammation-related disorders.

L4 ANSWER 56 OF 62 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1996:45267 CAPLUS

DOCUMENT NUMBER: 124:202058

TITLE: Synthesis and biological evaluation of  
 2,3-diarylthiophenes as selective Cox-2 inhibitors.  
 Part II. Replacing the heterocycle

AUTHOR(S): Gauthier, Jacques Yves; Leblanc, Yves; Black, W.  
 Cameron; Chan, Chi-Chung; Cromlish, Wanda A.; Gordon,  
 Robert; Kennedy, Brian P.; Lau, Cheuk K.; Leger,  
 Serge; et al.

CORPORATE SOURCE: Merck Frosst Centre Therapeutic Res., Quebec, QC, H9R  
 4P8, Can.

SOURCE: Bioorganic & Medicinal Chemistry Letters (1996), 6(1),  
 87-92

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

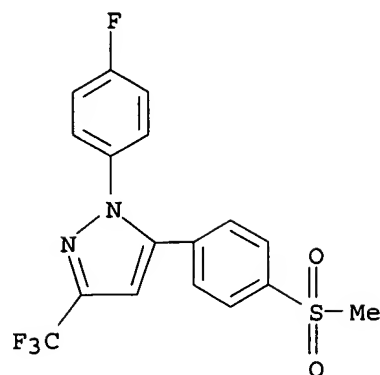
IT 134729-22-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological  
 study, unclassified); SPN (Synthetic preparation); BIOL (Biological  
 study); PREP (Preparation)

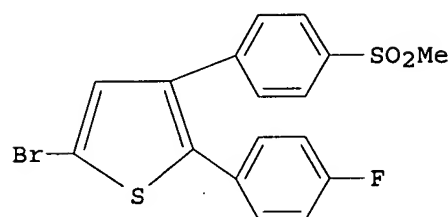
(preparation and cyclooxygenase isoenzyme inhibitory activity of)

RN 134729-22-9 CAPLUS

CN 1H-Pyrazole, 1-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-3-  
 (trifluoromethyl)- (9CI) (CA INDEX NAME)



GI



I

AB The thiophene ring of DuP 697 (I) was replaced by a variety of heterocycles, and the products were tested for their ability to inhibit human Cox-2 and Cox-1, the isoenzymes of cyclooxygenase.

L4 ANSWER 57 OF 62 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1995:931246 CAPLUS

DOCUMENT NUMBER: 123:340112

TITLE: Preparation of pyrazolylbenzenesulfonamides as antiinflammatories.

INVENTOR(S): Talley, John J.; Penning, Thomas D.; Collins, Paul W.; Rogier, Donald J., Jr.; Malecha, James W.; Miyashiro, Julie M.; Bertenshaw, Stephen R.; Khanna, Ish K.; Granets, Matthew J.; et al.

PATENT ASSIGNEE(S): G. D. Searle and Co., USA

SOURCE: PCT Int. Appl., 254 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9515316	A1	19950608	WO 1994-US12720	19941114
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, US				
RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN,				

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US	5466823	A	19951114	US	1993-160594	19931130
US	5521207	A	19960528	US	1994-223629	19940406
AU	9511714	A1	19950619	AU	1995-11714	19941114
AU	690609	B2	19980430			
EP	731795	A1	19960918	EP	1995-902444	19941114
EP	731795	B1	19991222			
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JP	09506350	T2	19970624			
PL	180717	B1	20010330	PL	1994-314695	19941114
RO	118291	B1	20030430	RO	1996-1100	19941114
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FI	115053	B1	20050228			
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NO	306460	B1	19991108			
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US	6586603	B1	20030701	US	2002-274679	20021021
US	6716991	B1	20040406	US	2003-378781	20030304
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PRIORITY APPLN. INFO.:				US	1993-160594	A2 19931130
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				WO	1994-US12720	W 19941114
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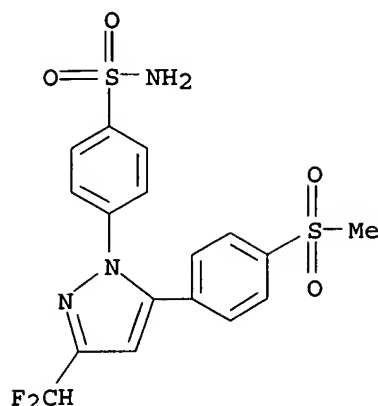
OTHER SOURCE(S): MARPAT 123:340112

IT 170570-43-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of pyrazolylbenzenesulfonamides as antiinflammatories)

RN 170570-43-1 CAPLUS

CN Benzenesulfonamide, 4-[3-(difluoromethyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



GI For diagram(s), see printed CA Issue.

AB Title compds. [I; R1 = (substituted) (hetero)aryl; R2 = H, alkyl, haloalkyl, alkoxy carbonyl, cyano, NO<sub>2</sub>, cyanoalkyl, carboxyl, aminocarbonyl, alkylaminocarbonyl, carboxyalkylaminocarbonyl, carboxyalkyl, aralkoxy carbonylalkylaminocarbonyl, aminocarbonylalkyl, alkoxy carbonylcyanoalkenyl, hydroxyalkyl etc.; R3 = H, alkyl, cyano, NO<sub>2</sub>, formyl, cyanoamidino, hydroxyalkyl, cycloalkyl, alkylsulfonyl, halo, heterocyclyl, heterocyclylalkyl, etc.; R4 = (substituted) aralkenyl, aryl, cycloalkyl, cycloalkenyl, heterocyclyl; R3R4 = Q1; m = 1-3; A = Ph, 5-6 membered heterocyclyl; R6 = halo, alkylthio, alkylsulfinyl, alkylsulfonyl, cyano, carboxyl, aminocarbonyl, sulfamyl, NO<sub>2</sub>, acylamino, etc.; provided R2 and R3 do not both = H, carboxy, ethoxycarbonyl; further provided that R2 ≠ carboxyl, Me when R3 = H and when R4 is Ph; further provided that R4 ≠ triazolyl when R2 = Me; further provided that R4 ≠ aralkenyl when R2 = carboxyl, aminocarbonyl, ethoxycarbonyl; further provided that R4 ≠ Ph when R2 = Me and R3 = carboxyl; and further provided that R4 ≠ unsubstituted thienyl when R2 = trifluoromethyl], were prepared. Thus, F<sub>3</sub>CCO<sub>2</sub>Et in MeOCMe<sub>3</sub> was treated with 25% NaOMe and then 4'-chloroacetophenone followed by stirring overnight to give 85% 4,4,4-trifluoro-1-(4-chlorophenyl)butane-1,3-dione. The latter was refluxed with 4-sulfonamidophenylhydrazine hydrochloride in EtOH to give title compound (II). II inhibited human cyclooxygenase II and I with ID<sub>50</sub> = <.1 μM and 18 μM, resp.

L4 ANSWER 58 OF 62 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1995:696007 CAPLUS

DOCUMENT NUMBER: 123:83360

TITLE: 1,4,5-Triphenyl pyrazolyl compounds for the treatment of inflammation and inflammation-related disorders

INVENTOR(S): Lee, Len F.

PATENT ASSIGNEE(S): G.D. Searle and Co., USA

SOURCE: U.S., 14 pp.  
CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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US 5401765	A	19950328	US 1993-161004	19931130
CA 2177573	AA	19950608	CA 1994-2177573	19941114
WO 9515317	A1	19950608	WO 1994-US12721	19941114

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RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

AU 9510887	A1	19950619	AU 1995-10887	19941114
EP 731794	A1	19960918	EP 1995-901779	19941114
EP 731794	B1	19970806		

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JP 09505829	T2	19970610	JP 1994-515612	19941114
AT 156482	E	19970815	AT 1995-901779	19941114
ES 2105874	T3	19971016	ES 1995-901779	19941114
US 5639777	A	19970617	US 1996-648118	19960521

PRIORITY APPLN. INFO.:

US 1993-161004	A	19931130
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OTHER SOURCE(S): MARPAT 123:83360

IT 165251-89-8P 165251-90-1P 165251-91-2P  
 165251-92-3P 165251-93-4P 165251-94-5P  
 165251-95-6P 165251-96-7P 165251-97-8P  
 165251-98-9P 165251-99-0P 165252-00-6P  
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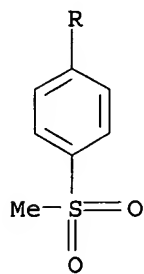
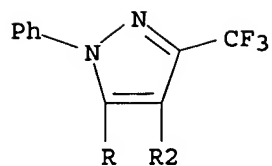
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(1,4,5-tri-Ph pyrazolyl compds. for the treatment of inflammation and inflammation-related disorders)

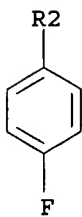
RN 165251-89-8 CAPLUS

CN 1H-Pyrazole, 4-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-1-phenyl-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

PAGE 1-A

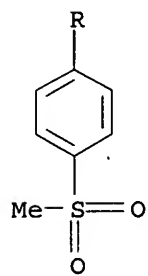
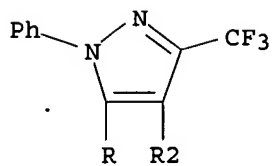


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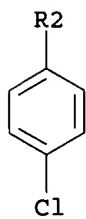


RN 165251-90-1 CAPLUS  
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PAGE 1-A



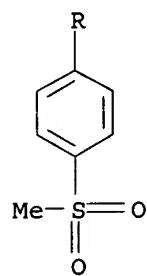
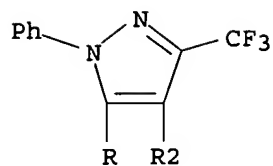
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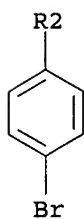
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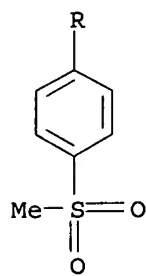
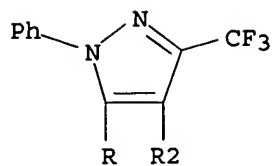


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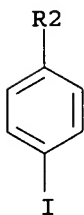


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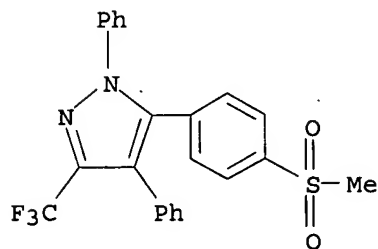
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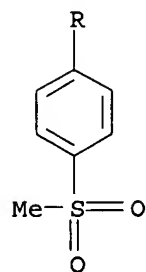
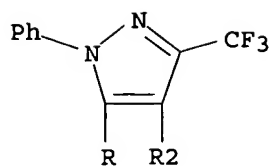


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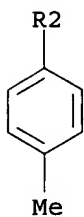


RN 165251-94-5 CAPLUS  
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 (trifluoromethyl)- (9CI) (CA INDEX NAME)

PAGE 1-A

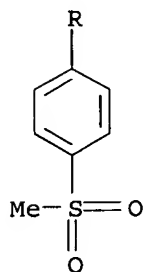
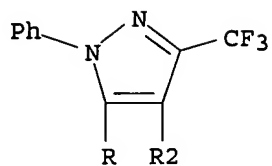


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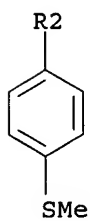


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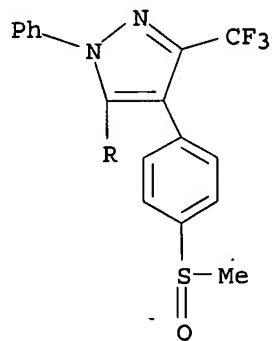


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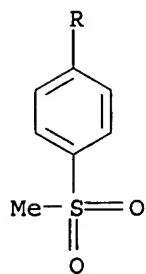


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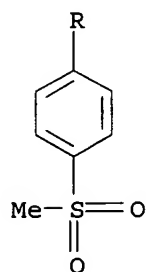
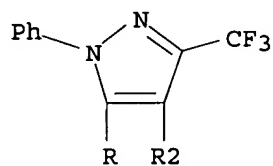


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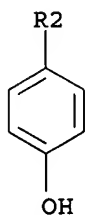


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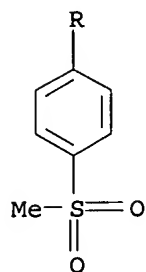
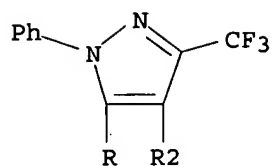


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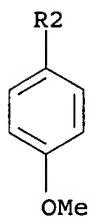


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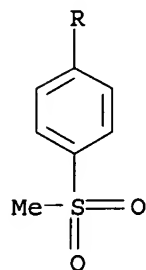
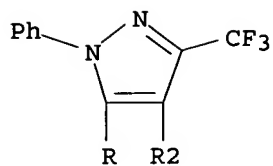


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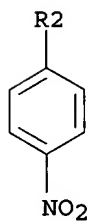


RN 165251-99-0 CAPLUS  
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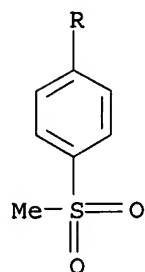
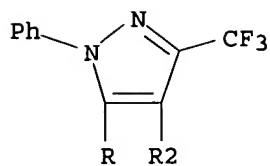


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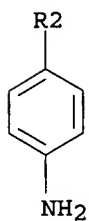


RN 165252-00-6 CAPLUS  
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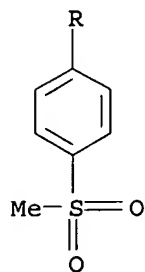
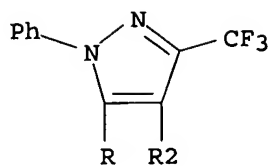
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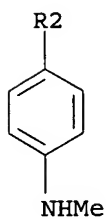
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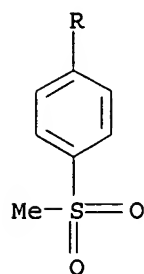
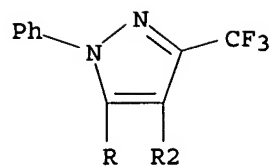


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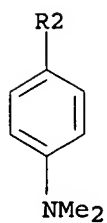


RN 165252-02-8 CAPLUS  
CN Benzenamine, N,N-dimethyl-4-[5-[4-(methylsulfonyl)phenyl]-1-phenyl-3-(trifluoromethyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

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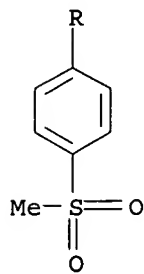
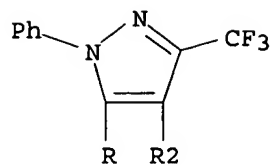


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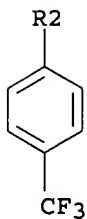


RN 165252-03-9 CAPLUS  
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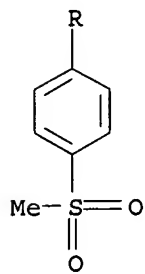
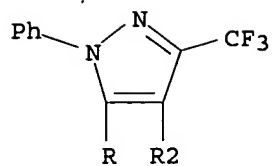


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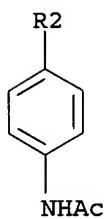


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PAGE 1-A

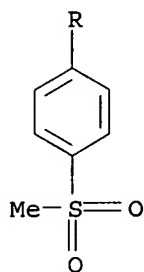
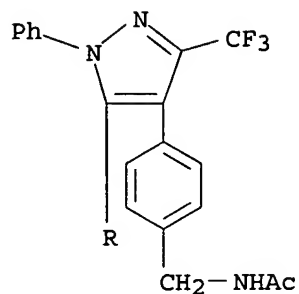


PAGE 2-A

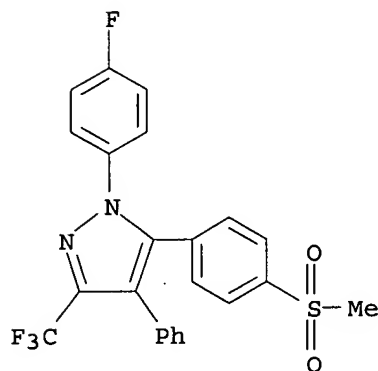


RN 165252-05-1 CAPLUS  
 CN Acetamide, N-[[4-[5-[4-(methylsulfonyl)phenyl]-1-phenyl-3-(trifluoromethyl)-1H-pyrazol-4-yl]phenyl]methyl] - (9CI) (CA INDEX NAME)

10/764,529

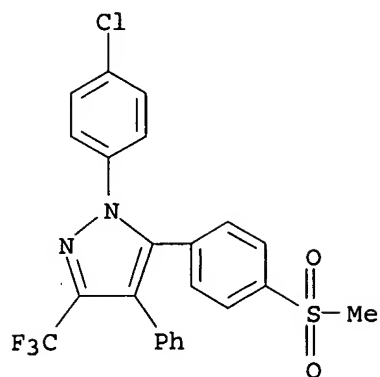


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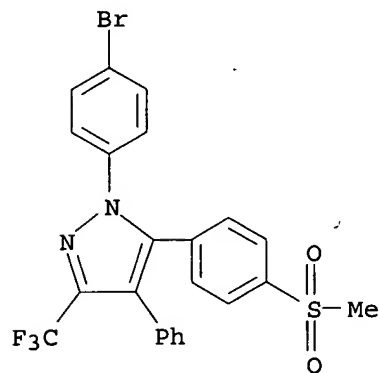
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10/764,529



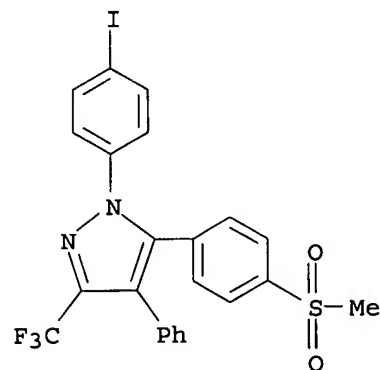
RN 165252-08-4 CAPLUS

CN 1H-Pyrazole, 1-(4-bromophenyl)-5-[4-(methylsulfonyl)phenyl]-4-phenyl-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 165252-09-5 CAPLUS

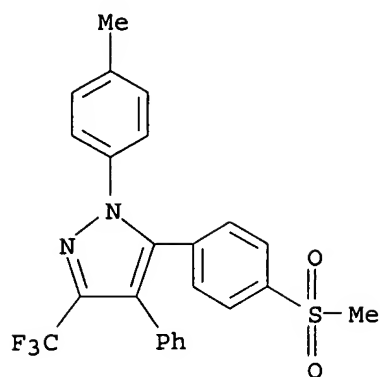
CN 1H-Pyrazole, 1-(4-iodophenyl)-5-[4-(methylsulfonyl)phenyl]-4-phenyl-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 165252-10-8 CAPLUS

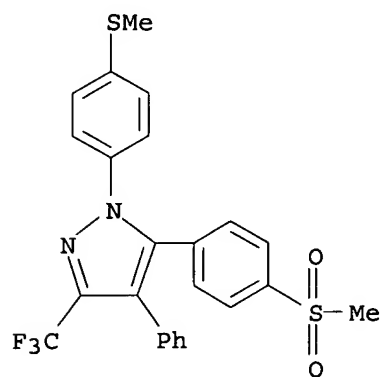
CN 1H-Pyrazole, 1-(4-methylphenyl)-5-[4-(methylsulfonyl)phenyl]-4-phenyl-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

10/764,529



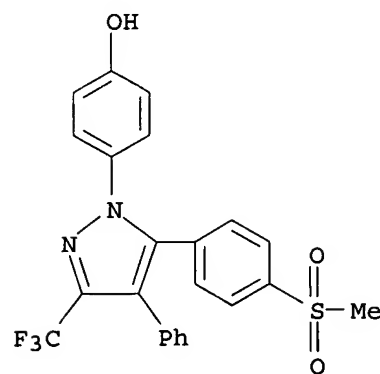
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CN 1H-Pyrazole, 5-[4-(methylsulfonyl)phenyl]-1-[4-(methylthio)phenyl]-4-phenyl-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 165252-12-0 CAPLUS

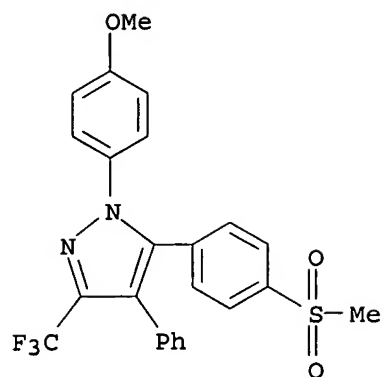
CN Phenol, 4-[5-[4-(methylsulfonyl)phenyl]-4-phenyl-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



RN 165252-13-1 CAPLUS

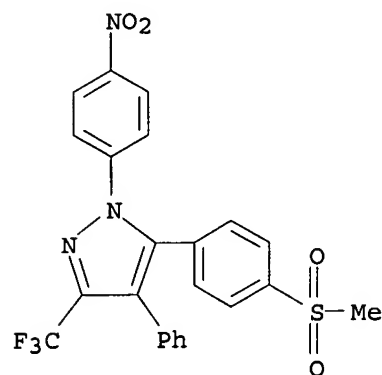
CN 1H-Pyrazole, 1-(4-methoxyphenyl)-5-[4-(methylsulfonyl)phenyl]-4-phenyl-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

10/764,529



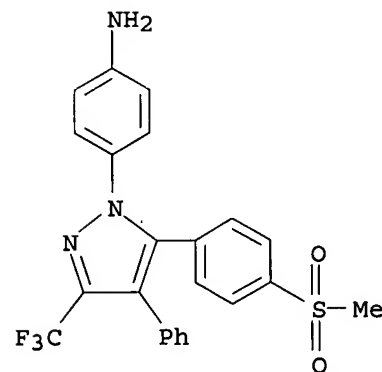
RN 165252-14-2 CAPLUS

CN 1H-Pyrazole, 5-[4-(methanesulfonyl)phenyl]-1-(4-nitrophenyl)-4-phenyl-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 165252-15-3 CAPLUS

CN Benzenamine, 4-[5-[4-(methanesulfonyl)phenyl]-4-phenyl-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

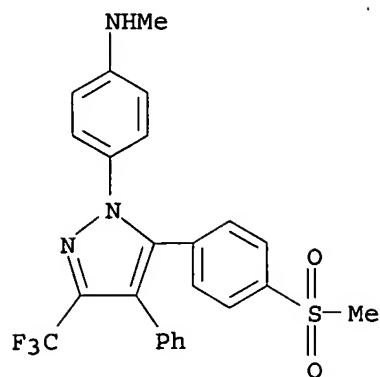


RN 165252-16-4 CAPLUS

CN Benzenamine, N-methyl-4-[5-[4-(methanesulfonyl)phenyl]-4-phenyl-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

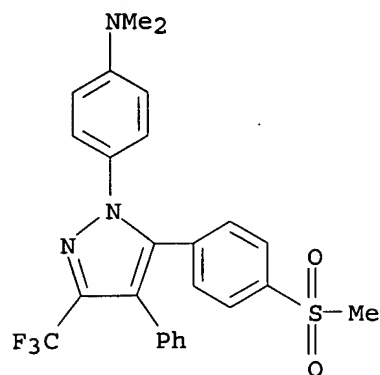


10/764,529



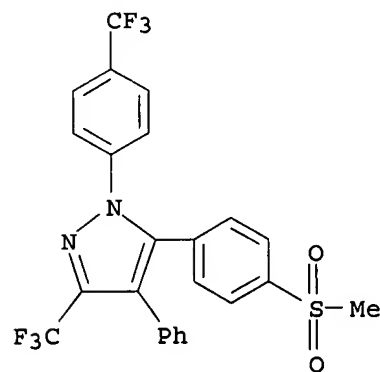
RN 165252-17-5 CAPLUS

CN Benzenamine, N,N-dimethyl-4-[5-[4-(methylsulfonyl)phenyl]-4-phenyl-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



RN 165252-18-6 CAPLUS

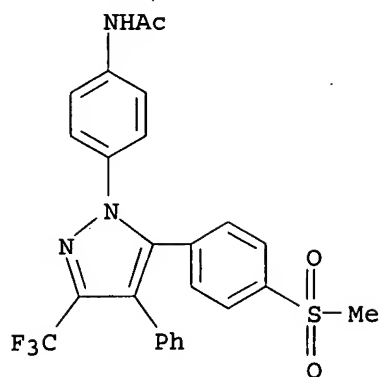
CN 1H-Pyrazole, 5-[4-(methylsulfonyl)phenyl]-4-phenyl-3-(trifluoromethyl)-1-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 165252-19-7 CAPLUS

CN Acetamide, N-[4-[5-[4-(methylsulfonyl)phenyl]-4-phenyl-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)

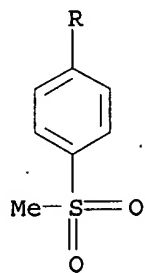
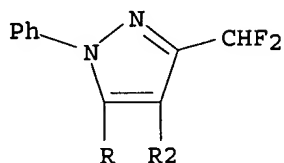
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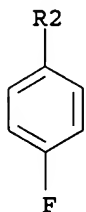
RN 165252-20-0 CAPLUS

CN 1H-Pyrazole, 3-(difluoromethyl)-4-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-1-phenyl- (9CI) (CA INDEX NAME)

PAGE 1-A



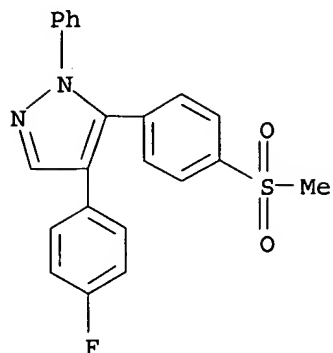
PAGE 2-A



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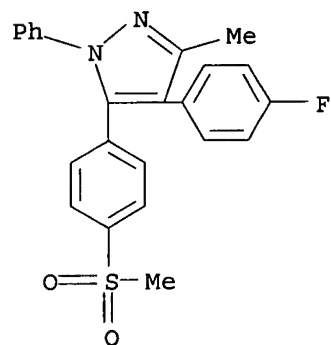
CN 1H-Pyrazole, 4-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-1-phenyl- (9CI) (CA INDEX NAME)

10/764,529



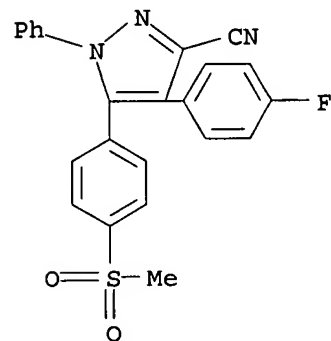
RN 165252-22-2. CAPLUS

CN 1H-Pyrazole, 4-(4-fluorophenyl)-3-methyl-5-[4-(methylsulfonyl)phenyl]-1-phenyl- (9CI) (CA INDEX NAME)



RN 165252-23-3 CAPLUS

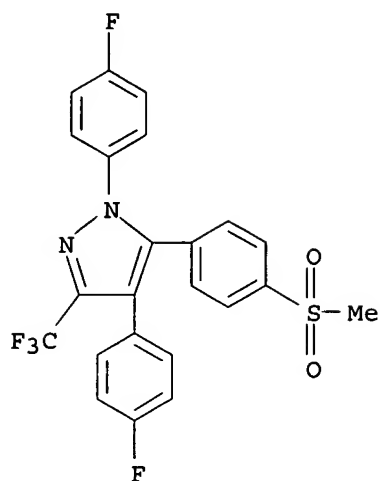
CN 1H-Pyrazole-3-carbonitrile, 4-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-1-phenyl- (9CI) (CA INDEX NAME)



RN 165252-24-4 CAPLUS

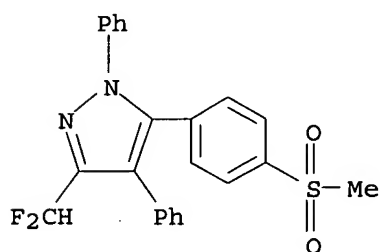
CN 1H-Pyrazole, 1,4-bis(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

10/764,529

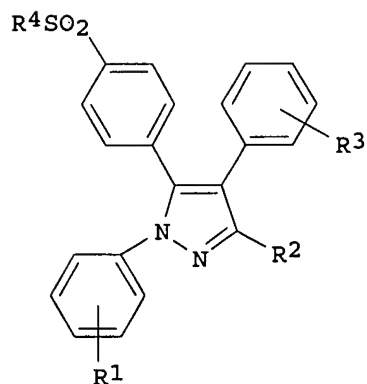


RN 165252-25-5 CAPLUS

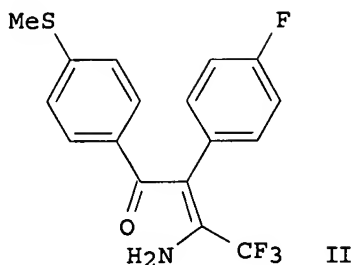
CN 1H-Pyrazole, 3-(difluoromethyl)-5-[4-(methylsulfonyl)phenyl]-1,4-diphenyl-  
(9CI) (CA INDEX NAME)



GI



I



II

AB Compds. of formula I wherein R1 is one or more radicals independently selected from the group hydrido, halo, alkyl, alkylthio, alkylsulfinyl,

alkylsulfonyl, nitro, amino, N-monoalkylamino, N,N-dialkylamino, acylamino, acylaminoalkyl, haloalkyl, hydroxy and alkoxy; wherein R2 is selected from hydrido, alkyl, cyano and haloalkyl; wherein R3 is one or more radicals independently selected from the group hydrido, halo, alkyl, alkylthio, alkylsulfinyl, alkylsulfonyl, nitro, amino, N-monoalkylamino, N,N-dialkylamino, acylamino, acylaminoalkyl, haloalkyl, hydroxy and alkoxy; and wherein R4 is alkyl; or a pharmaceutically-acceptable salt thereof useful for the treatment of inflammation, including treatment of pain and disorders such as arthritis. Thus, e.g., treatment of 2-(4-fluorophenyl)-1-[4-(methylthio)phenyl]ethanone with NaH/DMF followed by gaseous trifluoroacetonitrile afforded 3-amino-4,4,4-trifluoro-2-(4-fluorophenyl)-1-[4-(methylthio)phenyl]-2-buten-1-one (II); hydrolysis of enamine II to the diketone, followed by cyclocondensation with phenylhydrazine afforded a mixture containing the desired 4-(4-fluorophenyl)-5-[4-(methylthio)phenyl]-1-phenyl-3-(trifluoromethyl)pyrazole together with its regioisomer 4-(4-fluorophenyl)-3-[4-(methylthio)phenyl]-1-phenyl-5-(trifluoromethyl)pyrazole (HPLC purifn); oxidation of the desired isomer with H2O2 afforded I (R4 = Me, R3 = 4-F, R2 = CF3, R1 = H) which displayed 20% inhibition of rat carrageenan foot pad edema @ 10 mg/kg body weight

L4 ANSWER 59 OF 62 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1995:380439 CAPLUS  
DOCUMENT NUMBER: 122:142603  
TITLE: Encapsulated insoluble drug with improved bioavailability  
INVENTOR(S): Hata, Takehisa; Shimojo, Fumio; Kado, Kazutake; Ishii, Kyoko; Sawai, Seiji  
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan  
SOURCE: PCT Int. Appl., 14 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9501166	A1	19950112	WO 1994-JP1043	19940628
W: AU, CA, CN, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2165789	AA	19950112	CA 1994-2165789	19940628
AU 9469842	A1	19950124	AU 1994-69842	19940628
AU 682192	B2	19970925		
EP 706380	A1	19960417	EP 1994-918588	19940628
EP 706380	B1	20010816		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
CN 1127990	A	19960731	CN 1994-192941	19940628
CN 1087933	B	20020724		
JP 09501150	T2	19970204	JP 1994-503396	19940628
AT 204163	E	20010915	AT 1994-918588	19940628
ES 2161768	T3	20011216	ES 1994-918588	19940628
PT 706380	T	20020228	PT 1994-918588	19940628
US 5683716	A	19971104	US 1996-569122	19960102
GR 3036407	T3	20011130	GR 2001-401130	20010817
PRIORITY APPLN. INFO.:				
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				WO 1994-JP1043 W 19940628

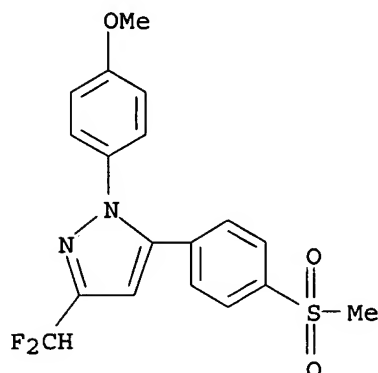
IT 151506-45-5

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(encapsulated insol. drug with improved bioavailability)

RN 151506-45-5 CAPLUS

10/764,529

CN 1H-Pyrazole, 3-(difluoromethyl)-1-(4-methoxyphenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



AB An encapsulated pharmaceutical dosage form comprises a practically insol. compound or salt thereof, an intracapsular fluid (e.g. a polyol), and/or a surfactant and/or cellulose derivative. Oral absorption of the compound is increased as compared with conventional systems because, on release of the capsule contents from the capsule shell, the practically insol. compound or salt undergoes diminution in crystal size. Thus, a solution of 3-(difluoromethyl)-1-(4-methoxyphenyl)-5-(4-methylsulfonylphenyl)pyrazole (I) 14 in PEG-400 176 was mixed with hydroxypropylmethylcellulose 10 mg and filled into hard gelatin capsules. On stirring in water at 37° for 3 h, the capsules released I as particles with mean diameter 6.5 µm.

L4 ANSWER 60 OF 62 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1994:533888 CAPLUS

DOCUMENT NUMBER: 121:133888

TITLE: Synthesis of triaryl-4-trifluoromethylpyrazoles via 1,3-dipolar cycloaddition

AUTHOR(S): Meazza, G.; Zanardi, G.

CORPORATE SOURCE: Isagro, S.r.l., Via G. Fauser 4, Novara, I-28100, Italy

SOURCE: Journal of Fluorine Chemistry (1994), 67(2), 183-8  
CODEN: JFLCAR; ISSN: 0022-1139

DOCUMENT TYPE: Journal

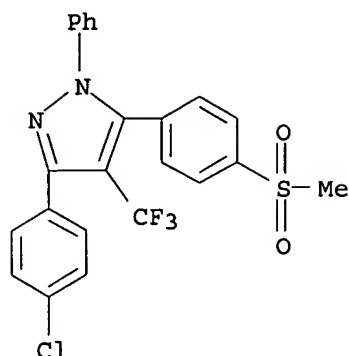
LANGUAGE: English

IT 157222-63-4P

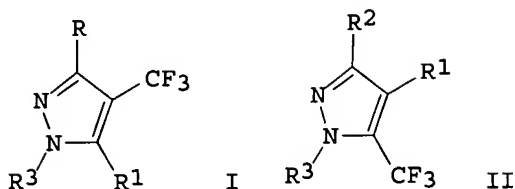
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 157222-63-4 CAPLUS

CN 1H-Pyrazole, 3-(4-chlorophenyl)-5-[4-(methylsulfonyl)phenyl]-1-phenyl-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



GI



AB Triaryl-substituted (trifluoromethyl)pyrazoles I (R1-R3 = Ph, substituted phenyl) and II (same R1-R3) were prepared from nitrile imines, formed in situ from the corresponding  $\alpha$ -halo hydrazones, and various substituted 1-aryl-3,3,3-trifluoro-1-propynes. The spectroscopic characteristics of the products and the regioselectivity of the reaction are discussed.

L4 ANSWER 61 OF 62 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1994:8589 CAPLUS

DOCUMENT NUMBER: 120:8589

TITLE: Preparation of pyrazole derivatives with antiinflammatory, analgesic, and antithrombotic activity

INVENTOR(S): Matsuo, Masaaki; Tsuji, Kiyoshi; Ogino, Takashi; Konishi, Nobukiyo

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE: Eur. Pat. Appl., 40 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 554829	A2	19930811	EP 1993-101569	19930202
EP 554829	A3	19940608		
EP 554829	B1	20020515		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
IL 104311	A1	19970713	IL 1993-104311	19930105
ZA 9300077	A	19930804	ZA 1993-77	19930106

10/764,529

JP 05246997	A2	19930924	JP 1993-10379	19930126
AU 9332174	A1	19930812	AU 1993-32174	19930202
AU 663149	B2	19950928		
AT 217613	E	20020615	AT 1993-101569	19930202
ES 2173875	T3	20021101	ES 1993-101569	19930202
CA 2088835	AA	19930806	CA 1993-2088835	19930204
CN 1075959	A	19930908	CN 1993-101069	19930204
CN 1045767	B	19991020		
RU 2128172	C1	19990327	RU 1993-4484	19930204
HU 63392	A2	19930830	HU 1993-309	19930205
US 5550147	A	19960827	US 1995-413939	19950330
US 5670533	A	19970923	US 1995-579974	19951228
PRIORITY APPLN. INFO.:			GB 1992-2442	A 19920205
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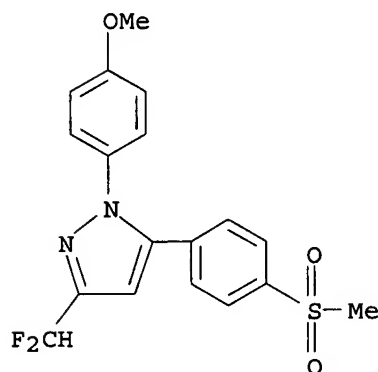
OTHER SOURCE(S): MARPAT 120:8589

IT 151506-45-5P 151506-55-7P 151506-57-9P  
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151506-61-5P 151506-63-7P 151506-64-8P  
151506-66-0P 151506-67-1P 151506-68-2P  
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151507-01-6P 151507-02-7P 151507-16-3P  
151507-19-6P 151507-20-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of, as antiinflammatory, analgesic, and antithrombotic)

RN 151506-45-5 CAPLUS

CN 1H-Pyrazole, 3-(difluoromethyl)-1-(4-methoxyphenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

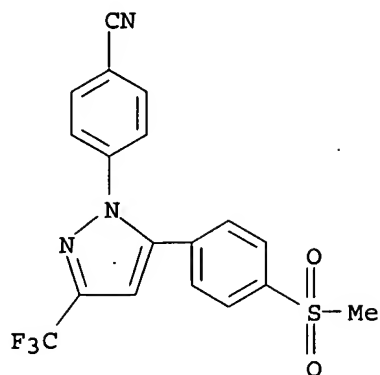


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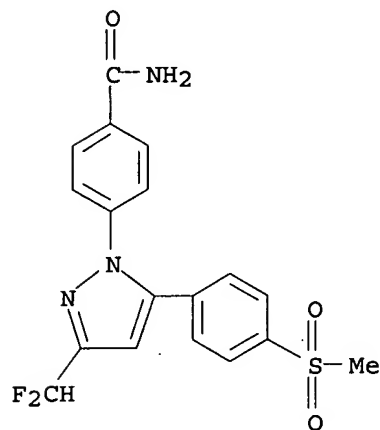
CN Benzonitrile, 4-[5-[4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



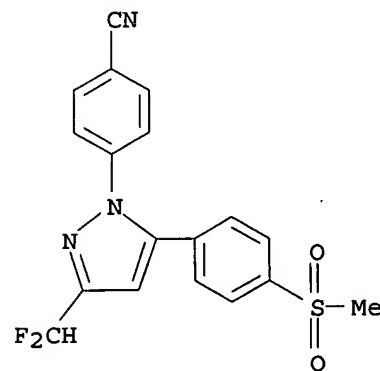
10/764,529



RN 151506-57-9 CAPLUS  
CN Benzamide, 4-[3-(difluoromethyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



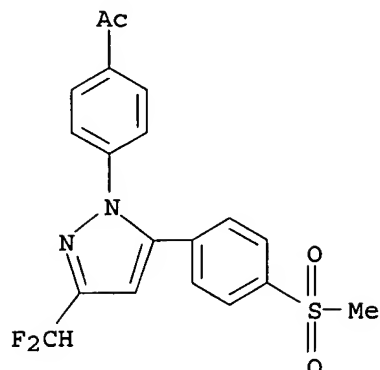
RN 151506-58-0 CAPLUS  
CN Benzonitrile, 4-[3-(difluoromethyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



RN 151506-59-1 CAPLUS  
CN Ethanone, 1-[4-[3-(difluoromethyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-1-yl]-4-cyanophenyl]- (9CI) (CA INDEX NAME)

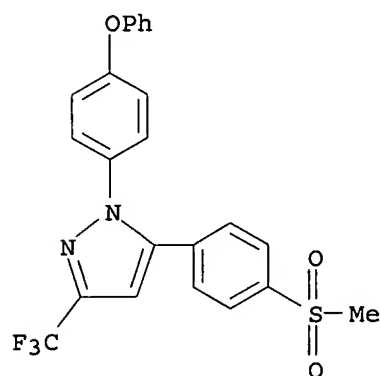
10/764,529

1-yl]phenyl] - (9CI) (CA INDEX NAME)



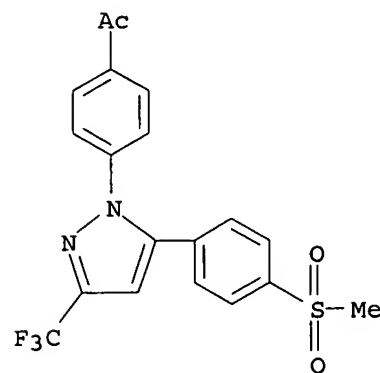
RN 151506-60-4 CAPLUS

CN 1H-Pyrazole, 5-[4-(methylsulfonyl)phenyl]-1-(4-phenoxyphenyl)-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 151506-61-5 CAPLUS

CN Ethanone, 1-[4-[5-[4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)

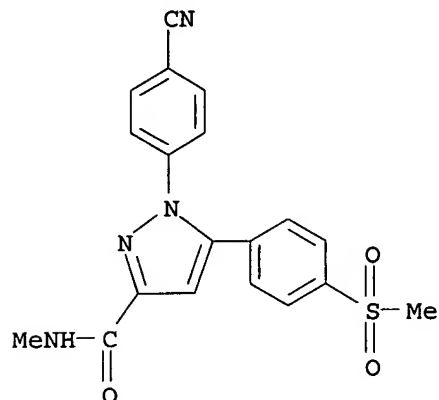


RN 151506-63-7 CAPLUS

CN 1H-Pyrazole-3-carboxamide, 1-(4-cyanophenyl)-N-methyl-5-[4-

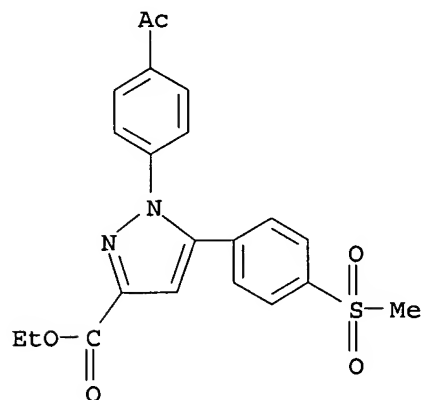
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(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



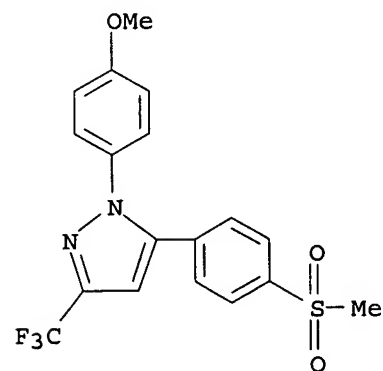
RN 151506-64-8 CAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 1-(4-acetylphenyl)-5-[4-(methylsulfonyl)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 151506-66-0 CAPLUS

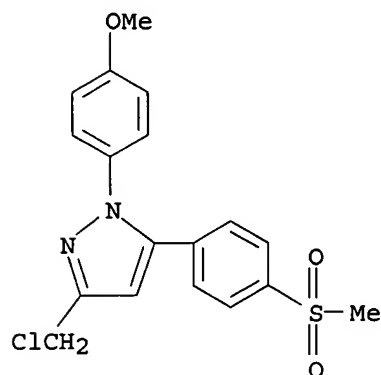
CN 1H-Pyrazole, 1-(4-methoxyphenyl)-5-[4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



10/764,529

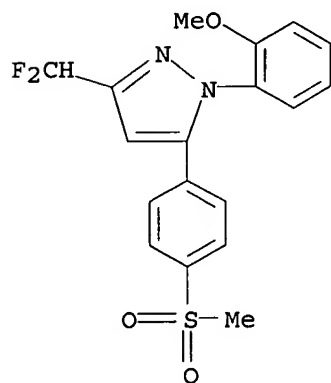
RN 151506-67-1 CAPLUS

CN 1H-Pyrazole, 3-(chloromethyl)-1-(4-methoxyphenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 151506-68-2 CAPLUS

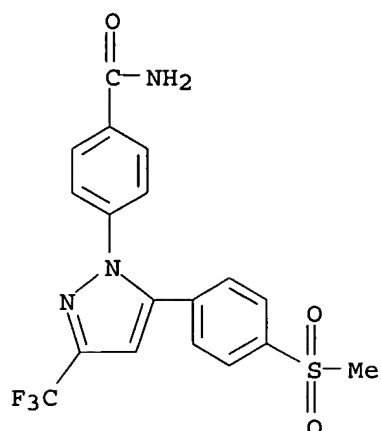
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RN 151506-75-1 CAPLUS

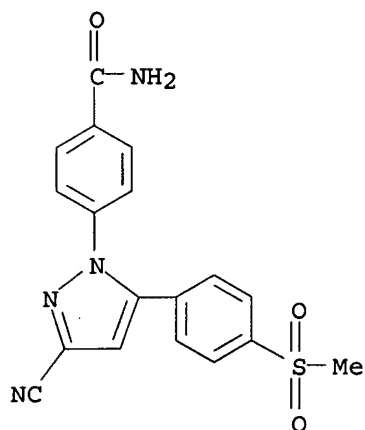
CN Benzamide, 4-[5-[4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

10/764,529



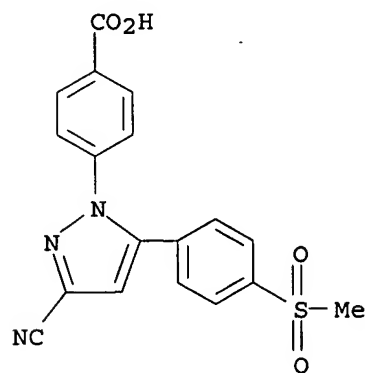
RN 151506-77-3 CAPLUS

CN Benzamide, 4-[3-cyano-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-1-yl] - (9CI)  
(CA INDEX NAME)



RN 151506-80-8 CAPLUS

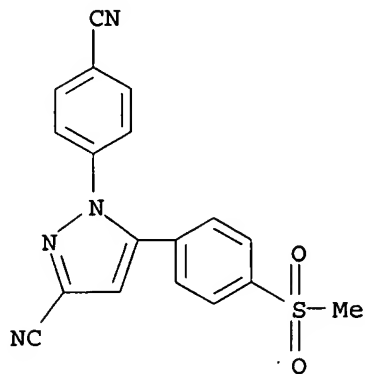
CN Benzoic acid, 4-[3-cyano-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-1-yl] -  
(9CI) (CA INDEX NAME)



10/764,529

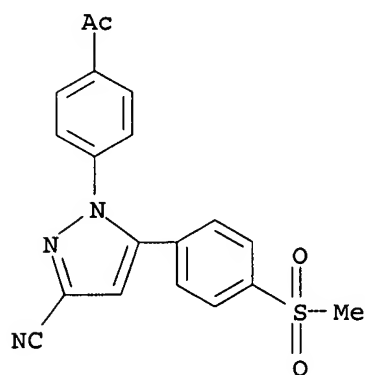
RN 151506-81-9 CAPLUS

CN 1H-Pyrazole-3-carbonitrile, 1-(4-cyanophenyl)-5-[4-(methylsulfonyl)phenyl]-  
(9CI) (CA INDEX NAME)



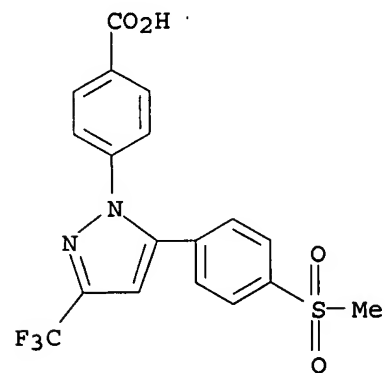
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RN 151506-87-5 CAPLUS

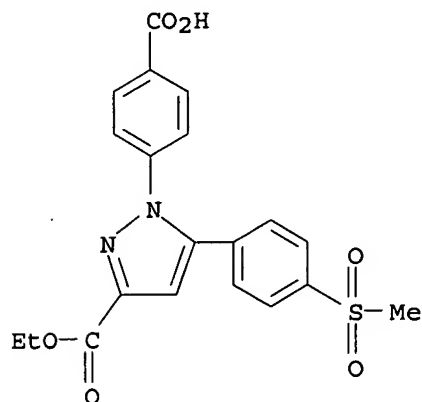
CN Benzoic acid, 4-[5-[4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



10/764,529

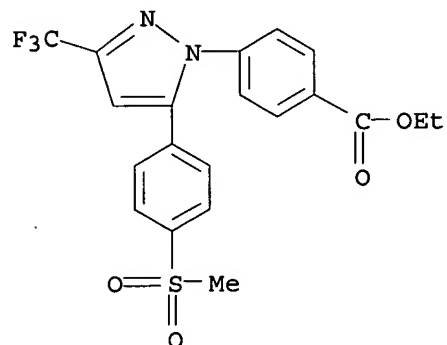
RN 151506-88-6 CAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 1-(4-carboxyphenyl)-5-[4-(methylsulfonyl)phenyl]-, 3-ethyl ester (9CI) (CA INDEX NAME)



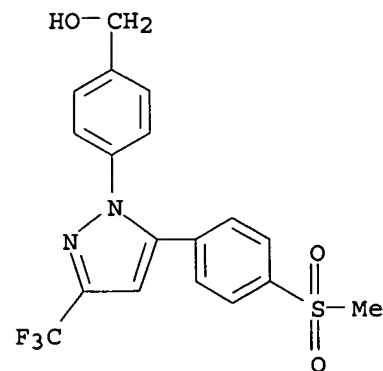
RN 151506-89-7 CAPLUS

CN Benzoic acid, 4-[5-[4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)-1H-pyrazol-1-yl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 151506-90-0 CAPLUS

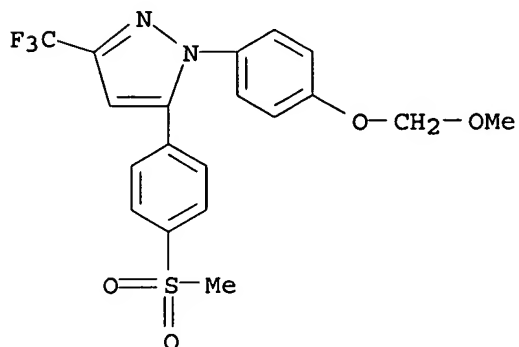
CN Benzenemethanol, 4-[5-[4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



10/764,529

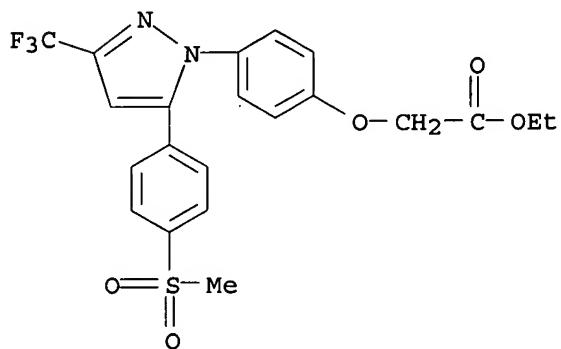
RN 151506-91-1 CAPLUS

CN 1H-Pyrazole, 1-[4-(methoxymethoxy)phenyl]-5-[4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



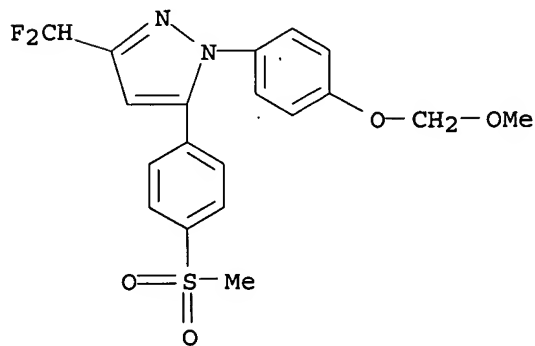
RN 151506-92-2 CAPLUS

CN Acetic acid, [4-[5-[4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)



RN 151506-93-3 CAPLUS

CN 1H-Pyrazole, 3-(difluoromethyl)-1-[4-(methoxymethoxy)phenyl]-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

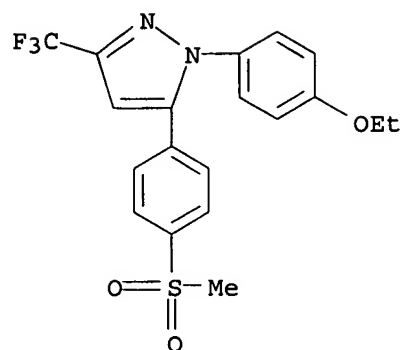


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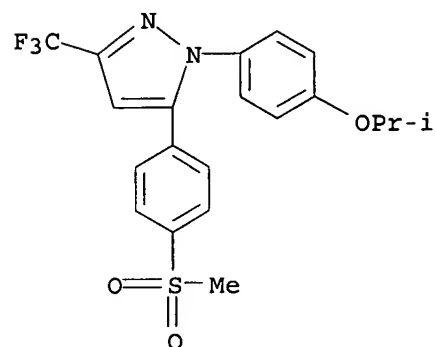


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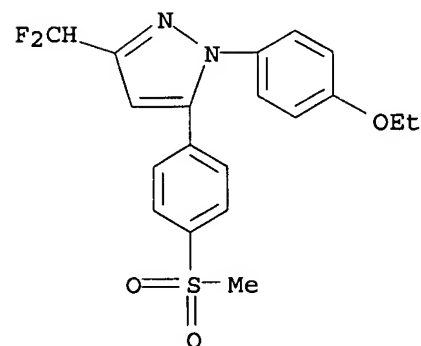
RN 151506-95-5 CAPLUS

CN 1H-Pyrazole, 1-[4-(1-methylethoxy)phenyl]-5-[4-(methanesulfonyl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 151506-96-6 CAPLUS

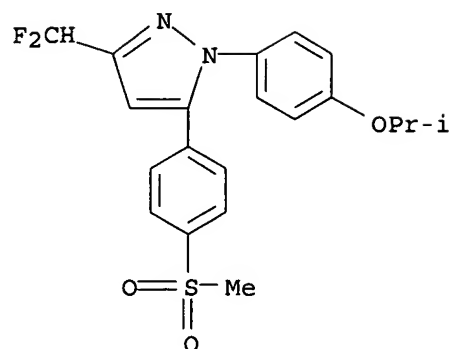
CN 1H-Pyrazole, 3-(difluoromethyl)-1-(4-ethoxyphenyl)-5-[4-(methanesulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 151506-97-7 CAPLUS

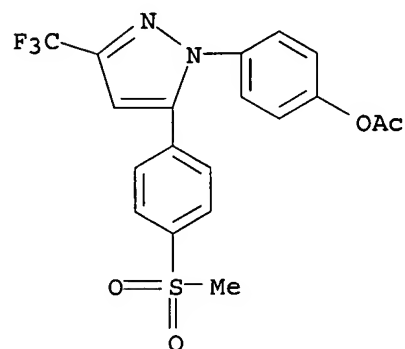
CN 1H-Pyrazole, 3-(difluoromethyl)-1-[4-(1-methylethoxy)phenyl]-5-[4-(methanesulfonyl)phenyl]- (9CI) (CA INDEX NAME)

10/764,529



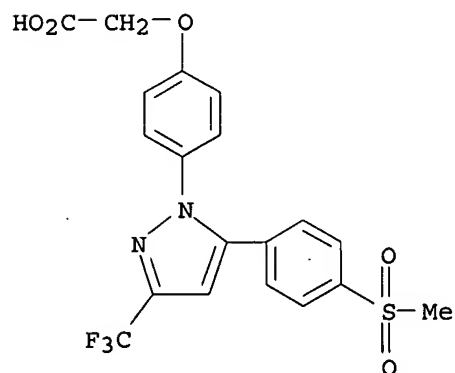
RN 151506-98-8 CAPLUS

CN Phenol, 4-[5-[4-(methanesulfonyl)phenyl]-3-(trifluoromethyl)-1H-pyrazol-1-yl]-, acetate (ester). (9CI) (CA INDEX NAME)



RN 151506-99-9 CAPLUS

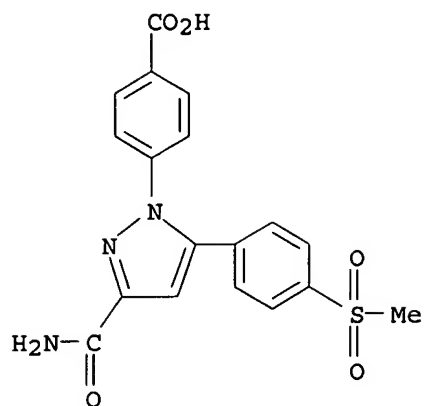
CN Acetic acid, [4-[5-[4-(methanesulfonyl)phenyl]-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenoxy]- (9CI) (CA INDEX NAME)



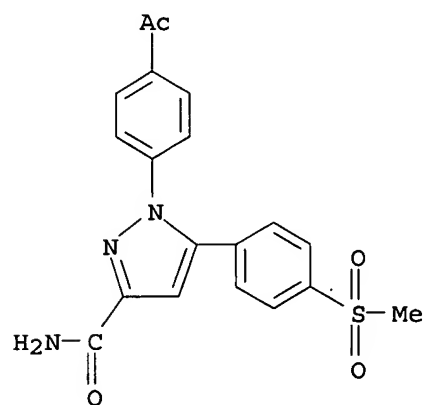
RN 151507-01-6 CAPLUS

CN Benzoic acid, 4-[3-(aminocarbonyl)-5-[4-(methanesulfonyl)phenyl]-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

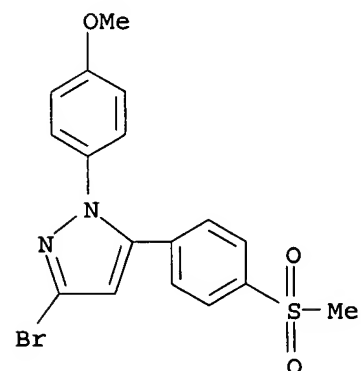
10/764,529



RN 151507-02-7 CAPLUS  
CN 1H-Pyrazole-3-carboxamide, 1-(4-acetylphenyl)-5-[4-(methylsulfonyl)phenyl]-  
(9CI) (CA INDEX NAME)



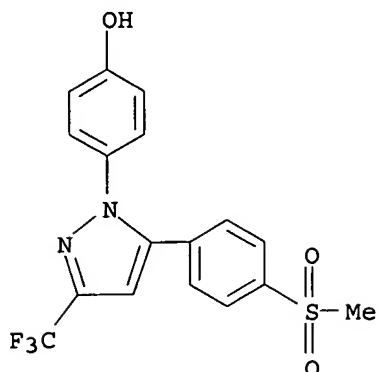
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CN 1H-Pyrazole, 3-bromo-1-(4-methoxyphenyl)-5-[4-(methylsulfonyl)phenyl]-  
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RN 151507-19-6 CAPLUS  
CN Phenol, 4-[5-[4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)-1H-pyrazol-1-

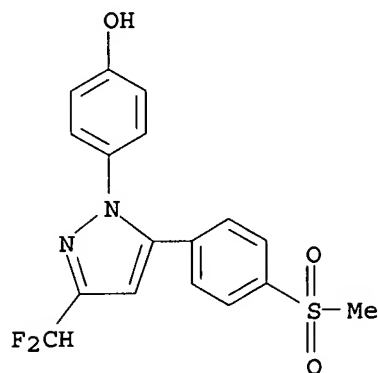
10/764,529

yl]- (9CI) (CA INDEX NAME)

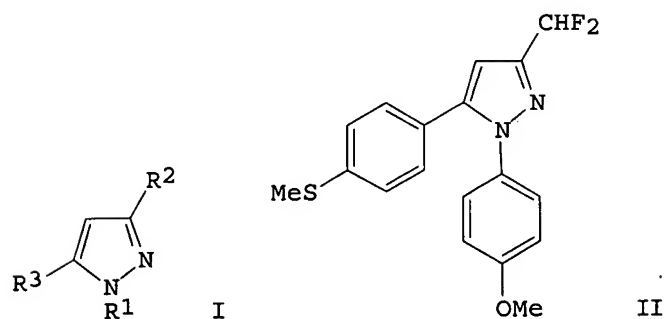


RN 151507-20-9 CAPLUS

CN Phenol, 4-[3-(difluoromethyl)-5-[4-(methanesulfonyl)phenyl]-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



GI



AB Title compds. (I; R<sup>1</sup> = substituted aryl; R<sup>2</sup> = halo, haloalkyl, cyano, acyl; R<sup>3</sup> = substituted aryl) were prepared. Thus, 4-(MeS)C<sub>6</sub>H<sub>4</sub>COCH<sub>2</sub>COCHF<sub>2</sub> was cyclocondensed with 4-(MeO)C<sub>6</sub>H<sub>4</sub>NHNH<sub>2</sub>·HCl to give title compound II.

which gave 93.6% inhibition of mycobacterial adjuvant-induced secondary lesion in rats receiving 3.2 mg/kg/day orally for 23 days.

L4 ANSWER 62 OF 62 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1991:471593 CAPLUS

DOCUMENT NUMBER: 115:71593

TITLE: Preparation of pyrazole derivatives having antiinflammatory, analgesic, and antithrombotic activities

INVENTOR(S): Matsuo, Masaaki; Tsuji, Kiyoshi; Konishi, Nobukiyo; Nakamura, Katsuya

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE: Eur. Pat. Appl., 71 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 418845	A1	19910327	EP 1990-117983	19900919
EP 418845	B1	19950809		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
ZA 9007282	A	19910731	ZA 1990-7282	19900912
IL 95675	A1	19960331	IL 1990-95675	19900913
US 5134142	A	19920728	US 1990-582358	19900914
CA 2025599	AA	19910323	CA 1990-2025599	19900918
CA 2025599	C	20011120		
HU 57733	A2	19911230	HU 1990-5970	19900919
HU 208122	B	19930830		
ES 2088933	T3	19961001	ES 1990-117983	19900919
FI 102535	B1	19981231	FI 1990-4602	19900919
JP 03141261	A2	19910617	JP 1990-252319	19900920
JP 2586713	B2	19970305		
NO 9004134	A	19910325	NO 1990-4134	19900921
NO 301006	B1	19970901		
CN 1050382	A	19910403	CN 1990-107130	19900921
CN 1046506	B	19991117		
AU 9063072	A1	19910418	AU 1990-63072	19900921
AU 637142	B2	19930520		
RU 2021990	C1	19941030	RU 1990-4831230	19900921
KR 182798	B1	19990501	KR 1990-15110	19900921
RU 2059622	C1	19960510	RU 1991-5010250	19911202
PRIORITY APPLN. INFO.:			GB 1989-21466	A 19890922
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OTHER SOURCE(S): MARPAT 115:71593

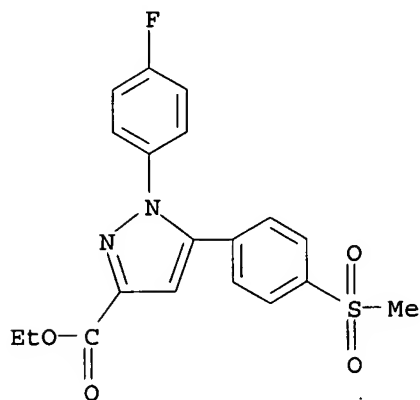
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RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of, as antiinflammatory, analgesic, and antithrombotic)

RN 134728-98-6 CAPLUS

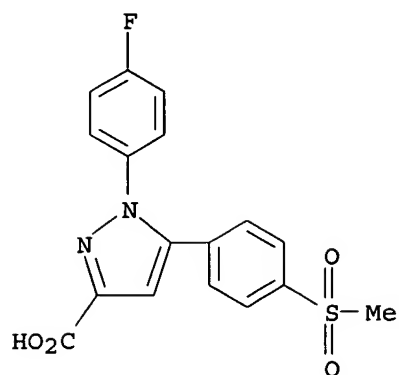
CN 1H-Pyrazole-3-carboxylic acid, 1-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 134728-99-7 CAPLUS

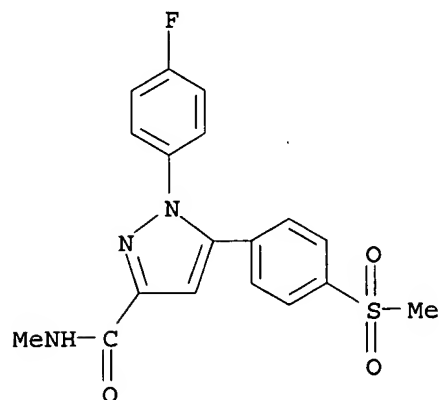
CN 1H-Pyrazole-3-carboxylic acid, 1-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

10/764,529



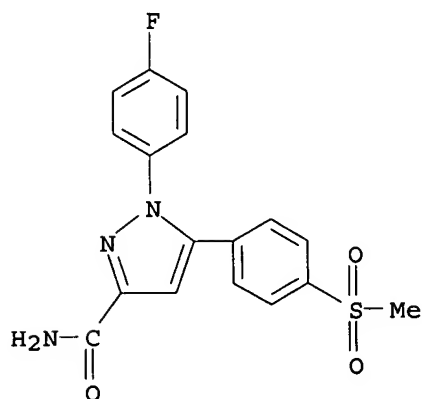
RN 134729-00-3 CAPLUS

CN 1H-Pyrazole-3-carboxamide, 1-(4-fluorophenyl)-N-methyl-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



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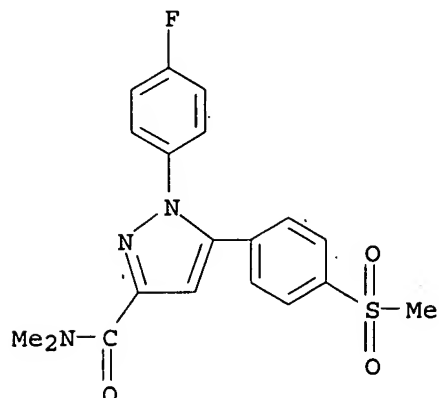


RN 134729-02-5 CAPLUS

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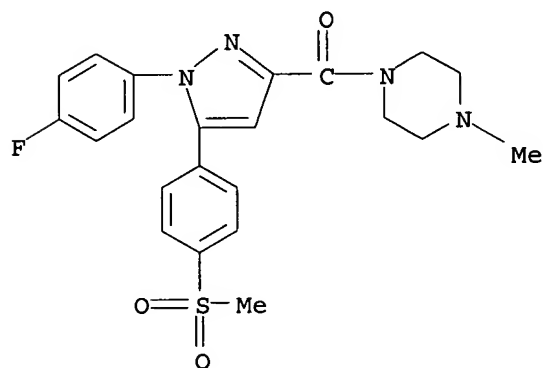
10/764,529

(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



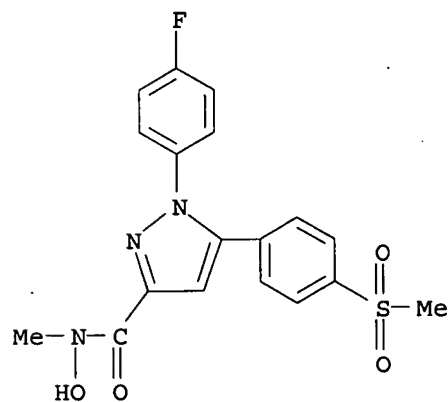
RN 134729-08-1 CAPLUS

CN Piperazine, 1-[[1-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)



RN 134729-09-2 CAPLUS

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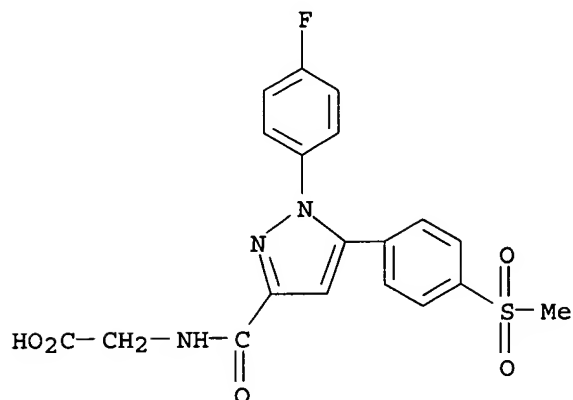




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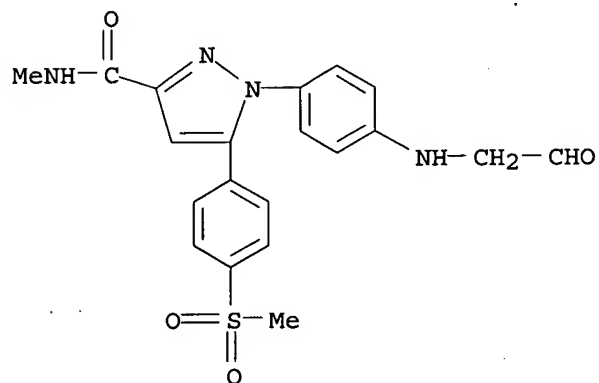
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CN Glycine, N-[[1-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)



RN 134729-11-6 CAPLUS

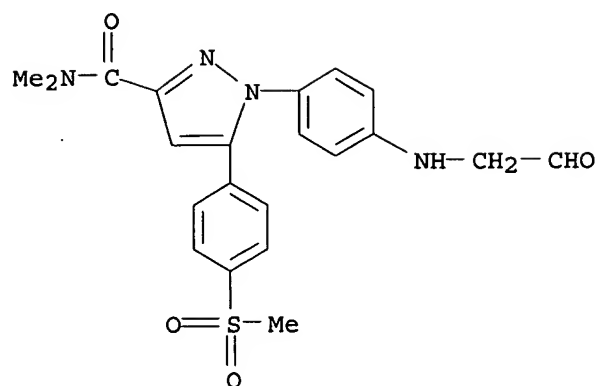
CN 1H-Pyrazole-3-carboxamide, N-methyl-5-[4-(methylsulfonyl)phenyl]-1-[4-[(2-oxoethyl)amino]phenyl]- (9CI) (CA INDEX NAME)



RN 134729-12-7 CAPLUS

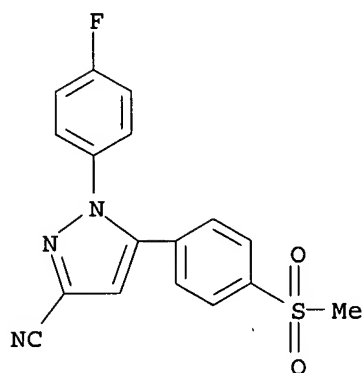
CN 1H-Pyrazole-3-carboxamide, N,N-dimethyl-5-[4-(methylsulfonyl)phenyl]-1-[4-[(2-oxoethyl)amino]phenyl]- (9CI) (CA INDEX NAME)

10/764,529



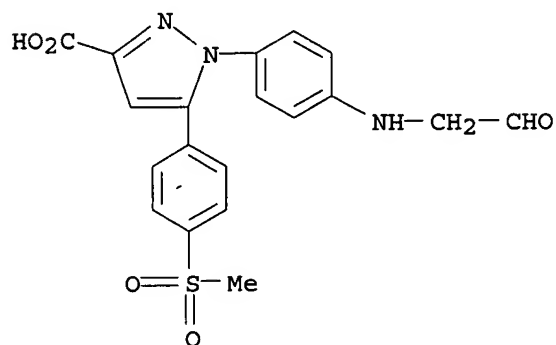
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RN 134729-17-2 CAPLUS

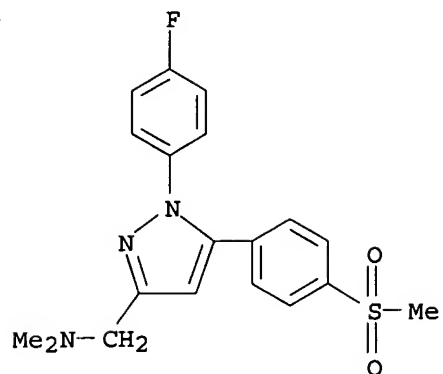
CN 1H-Pyrazole-3-carboxylic acid, 5-[4-(methylsulfonyl)phenyl]-1-[4-[(2-oxoethyl)amino]phenyl]- (9CI) (CA INDEX NAME)



RN 134729-20-7 CAPLUS

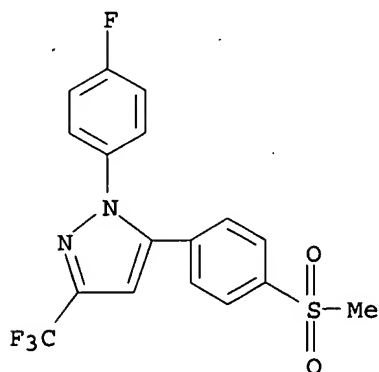
CN 1H-Pyrazole-3-methanamine, 1-(4-fluorophenyl)-N,N-dimethyl-5-[4-(methylsulfonyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

10/764,529

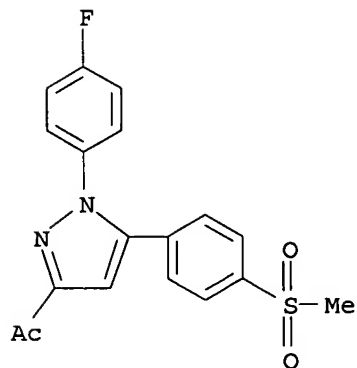


● HCl

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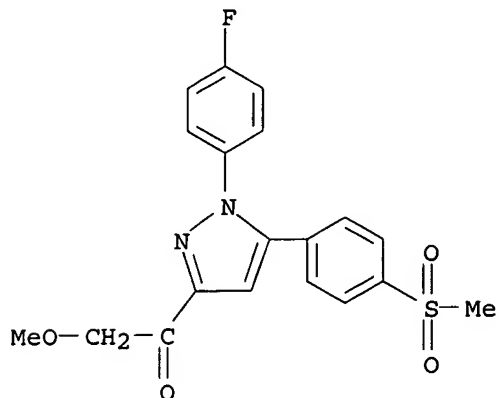
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CN Ethanone, 1-[1-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



10/764,529

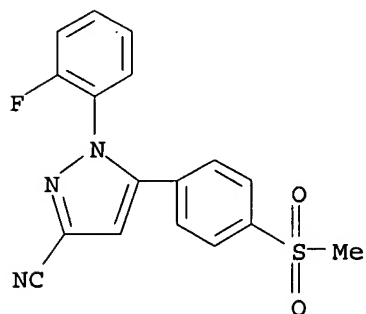
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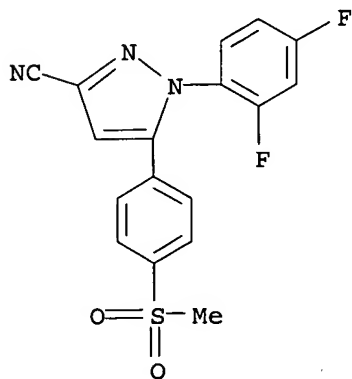
RN 134729-53-6 CAPLUS

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RN 134729-54-7 CAPLUS

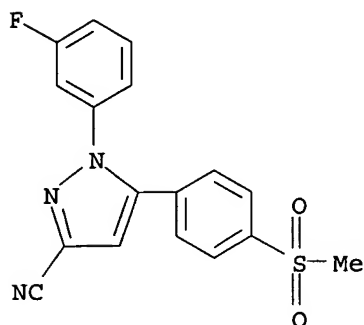
CN 1H-Pyrazole-3-carbonitrile, 1-(2,4-difluorophenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



10/764,529

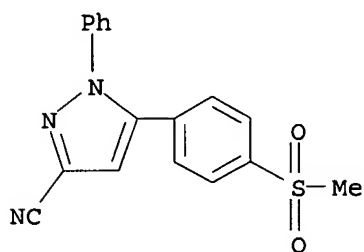
RN 134729-55-8 CAPLUS

CN 1H-Pyrazole-3-carbonitrile, 1-(3-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



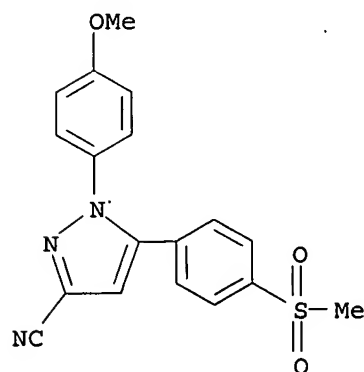
RN 134729-56-9 CAPLUS

CN 1H-Pyrazole-3-carbonitrile, 5-[4-(methylsulfonyl)phenyl]-1-phenyl- (9CI) (CA INDEX NAME)



RN 134729-57-0 CAPLUS

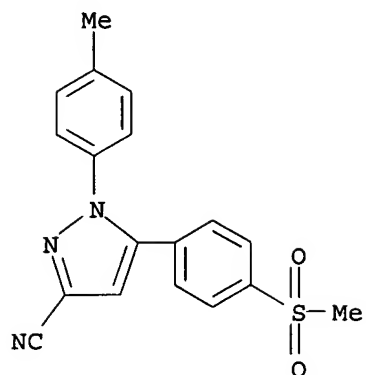
CN 1H-Pyrazole-3-carbonitrile, 1-(4-methoxyphenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



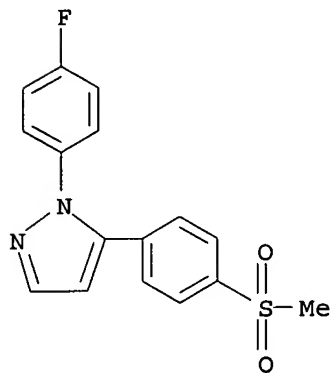
RN 134729-58-1 CAPLUS

CN 1H-Pyrazole-3-carbonitrile, 1-(4-methylphenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

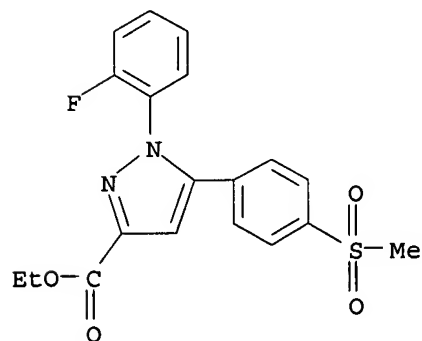
10/764,529



RN 134729-78-5 CAPLUS  
CN 1H-Pyrazole, 1-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

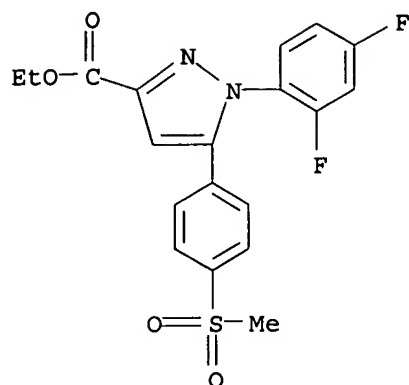


RN 134729-80-9 CAPLUS  
CN 1H-Pyrazole-3-carboxylic acid, 1-(2-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

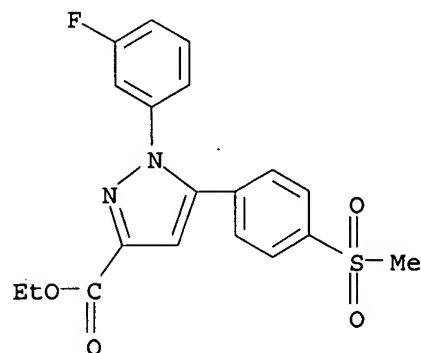


RN 134729-81-0 CAPLUS  
CN 1H-Pyrazole-3-carboxylic acid, 1-(2,4-difluorophenyl)-5-[4-(methylsulfonyl)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

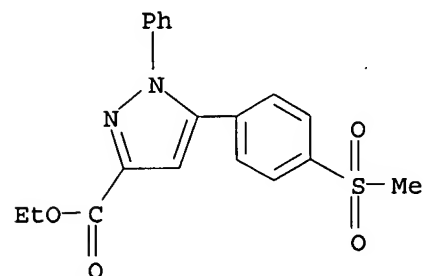
10/764,529



RN 134729-82-1 CAPLUS  
CN 1H-Pyrazole-3-carboxylic acid, 1-(3-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

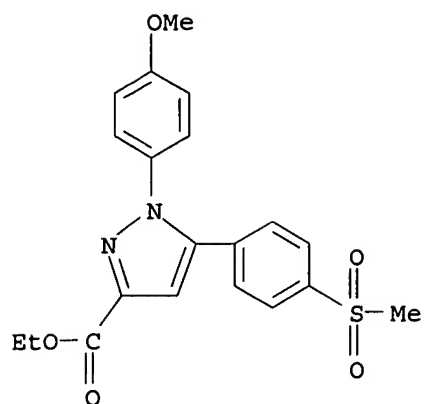


RN 134729-83-2 CAPLUS  
CN 1H-Pyrazole-3-carboxylic acid, 5-[4-(methylsulfonyl)phenyl]-1-phenyl-, ethyl ester (9CI) (CA INDEX NAME)



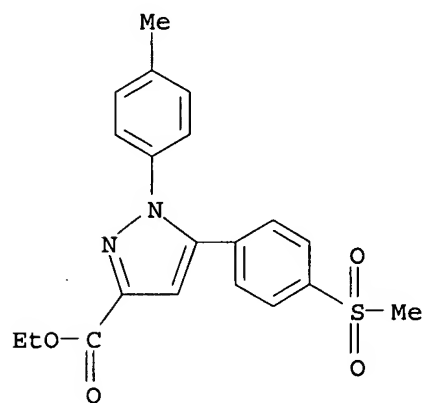
RN 134729-84-3 CAPLUS  
CN 1H-Pyrazole-3-carboxylic acid, 1-(4-methoxyphenyl)-5-[4-(methylsulfonyl)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

10/764,529



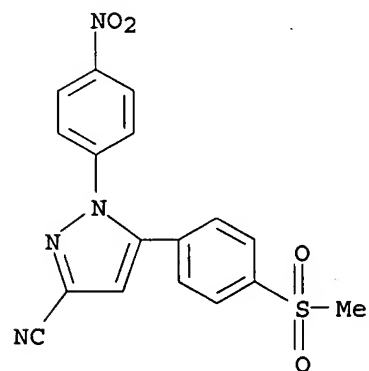
RN 134729-85-4 CAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 1-(4-methylphenyl)-5-[4-(methylsulfonyl)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 134729-86-5 CAPLUS

CN 1H-Pyrazole-3-carbonitrile, 5-[4-(methylsulfonyl)phenyl]-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



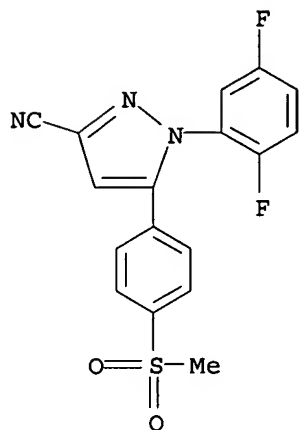
RN 134729-89-8 CAPLUS

CN 1H-Pyrazole-3-carbonitrile, 1-(2,5-difluorophenyl)-5-[4-



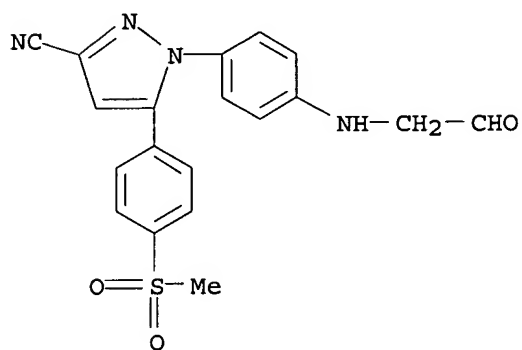
10/764,529

(methylsulfonyl)phenyl] - (9CI) (CA INDEX NAME)



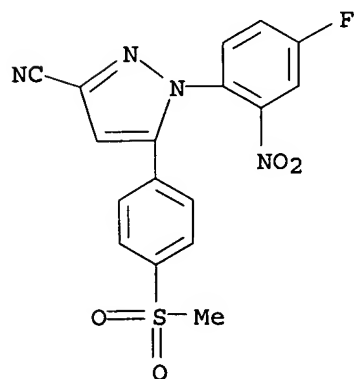
RN 134729-90-1 CAPLUS

CN 1H-Pyrazole-3-carbonitrile, 5-[4-(methylsulfonyl)phenyl]-1-[4-[(2-oxoethyl)amino]phenyl] - (9CI) (CA INDEX NAME)



RN 134729-91-2 CAPLUS

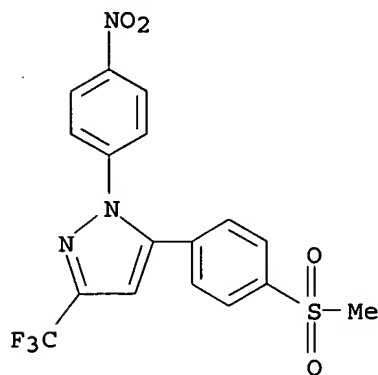
CN 1H-Pyrazole-3-carbonitrile, 1-(4-fluoro-2-nitrophenyl)-5-[4-(methylsulfonyl)phenyl] - (9CI) (CA INDEX NAME)



10/764,529

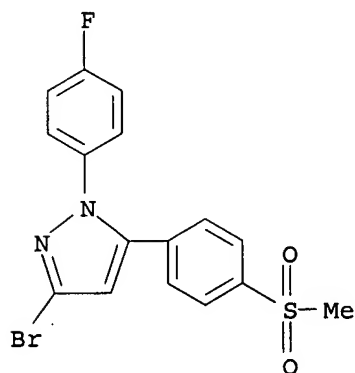
RN 134729-92-3 CAPLUS

CN 1H-Pyrazole, 5-[4-(methylsulfonyl)phenyl]-1-(4-nitrophenyl)-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 134729-93-4 CAPLUS

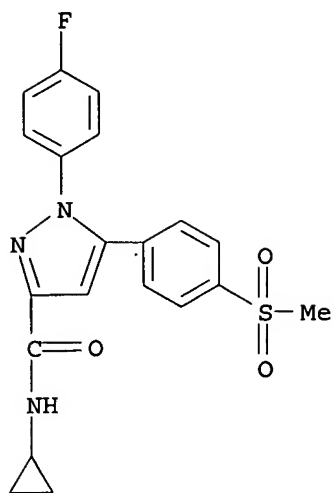
CN 1H-Pyrazole, 3-bromo-1-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 134729-94-5 CAPLUS

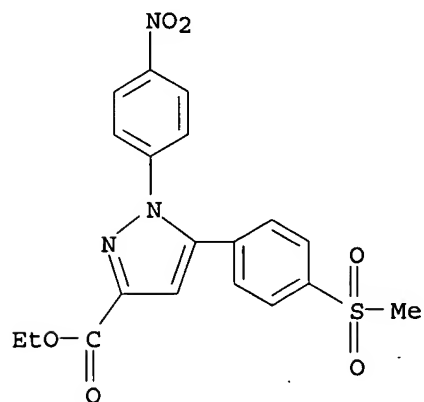
CN 1H-Pyrazole-3-carboxamide, N-cyclopropyl-1-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

10/764,529



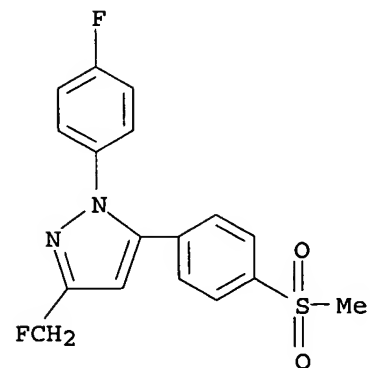
RN 134729-95-6 CAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 5-[4-(methylsulfonyl)phenyl]-1-(4-nitrophenyl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 134729-96-7 CAPLUS

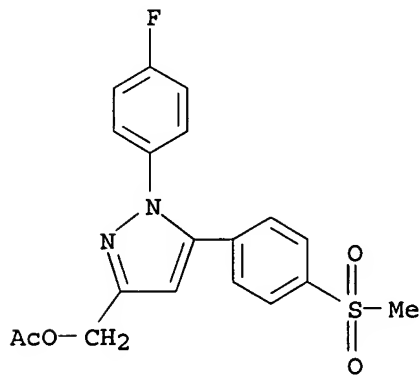
CN 1H-Pyrazole, 3-(fluoromethyl)-1-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



10/764,529

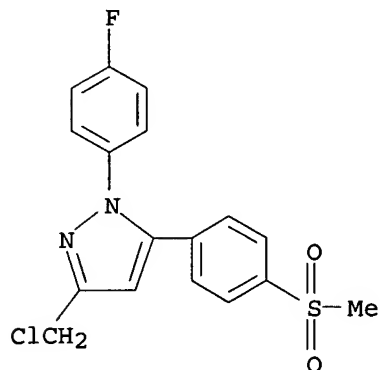
RN 134729-97-8 CAPLUS

CN 1H-Pyrazole-3-methanol, 1-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-, acetate (ester) (9CI) (CA INDEX NAME)



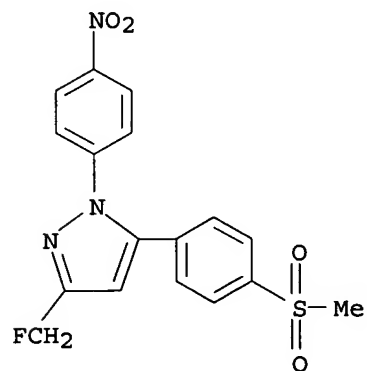
RN 134729-98-9 CAPLUS

CN 1H-Pyrazole, 3-(chloromethyl)-1-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 134729-99-0 CAPLUS

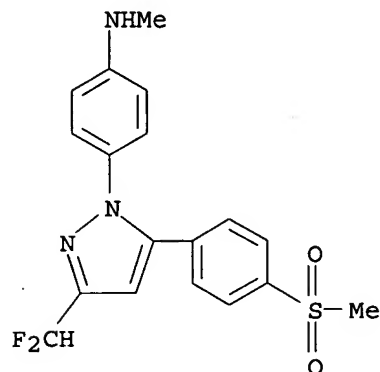
CN 1H-Pyrazole, 3-(fluoromethyl)-5-[4-(methylsulfonyl)phenyl]-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



10/764,529

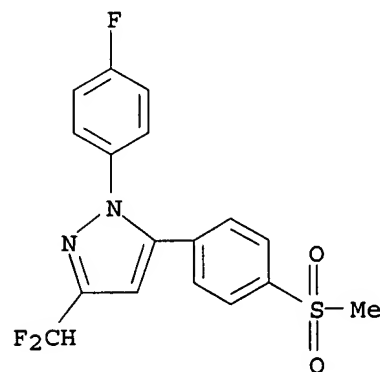
RN 134730-00-0 CAPLUS

CN Benzenamine, 4-[3-(difluoromethyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-1-yl]-N-methyl- (9CI) (CA INDEX NAME)



RN 134730-01-1 CAPLUS

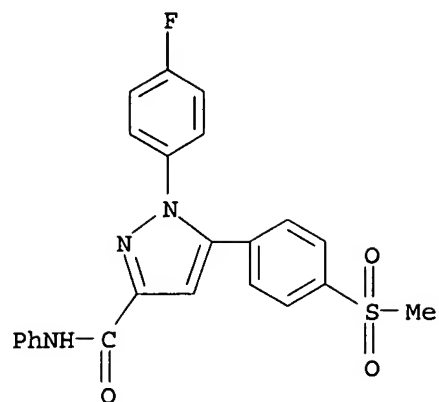
CN 1H-Pyrazole, 3-(difluoromethyl)-1-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 134730-02-2 CAPLUS

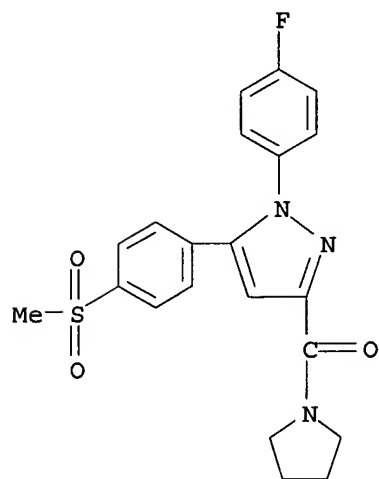
CN 1H-Pyrazole-3-carboxamide, 1-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-N-phenyl- (9CI) (CA INDEX NAME)

10/764,529



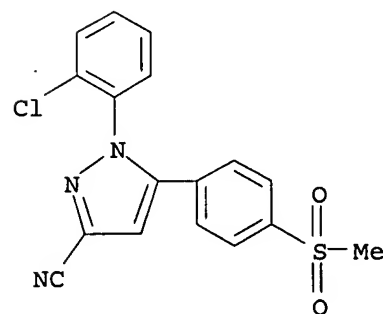
RN 134730-03-3 CAPLUS

CN Pyrrolidine, 1-[[1-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)



RN 134730-04-4 CAPLUS

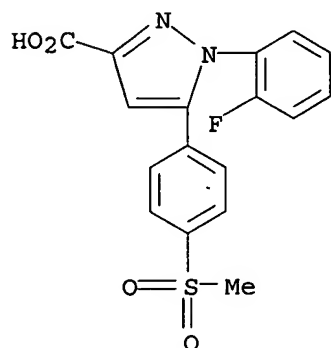
CN 1H-Pyrazole-3-carbonitrile, 1-(2-chlorophenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 134730-07-7 CAPLUS

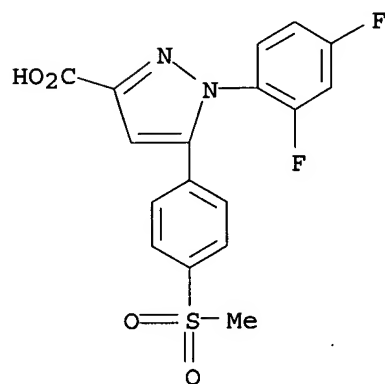
10/764,529

CN 1H-Pyrazole-3-carboxylic acid, 1-(2-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



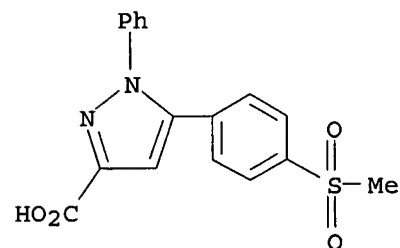
RN 134730-08-8 CAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 1-(2,4-difluorophenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 134730-09-9 CAPLUS

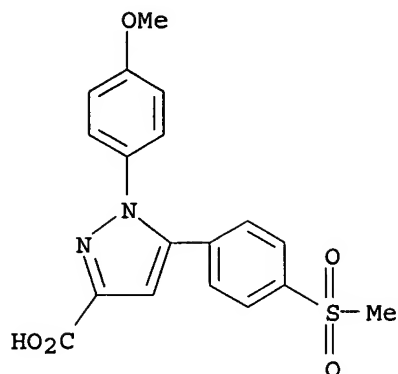
CN 1H-Pyrazole-3-carboxylic acid, 5-[4-(methylsulfonyl)phenyl]-1-phenyl- (9CI) (CA INDEX NAME)



RN 134730-10-2 CAPLUS

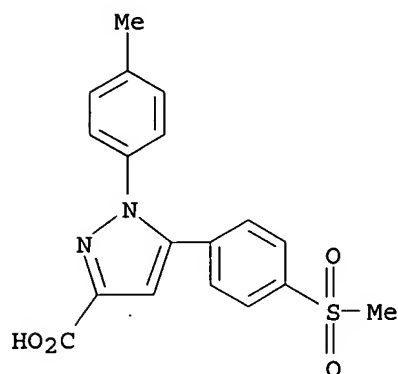
CN 1H-Pyrazole-3-carboxylic acid, 1-(4-methoxyphenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

10/764,529



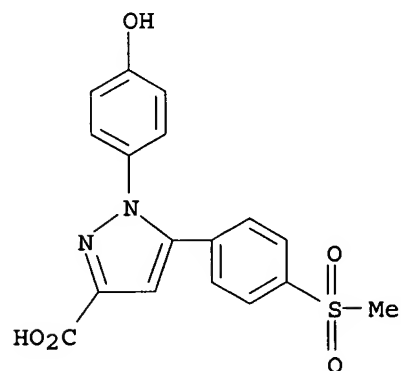
RN 134730-11-3 CAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 1-(4-methylphenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 134730-13-5 CAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 1-(4-hydroxyphenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

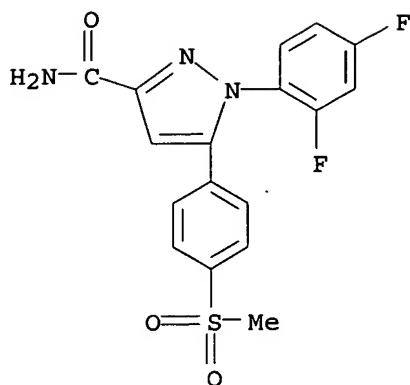


RN 134730-16-8 CAPLUS

CN 1H-Pyrazole-3-carboxamide, 1-(2,4-difluorophenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

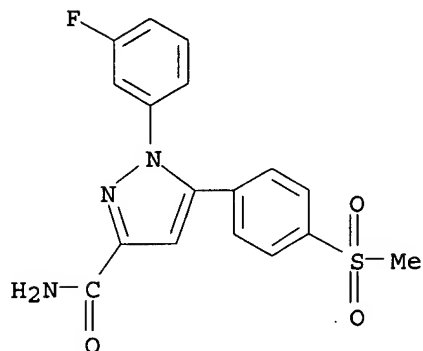


10/764,529



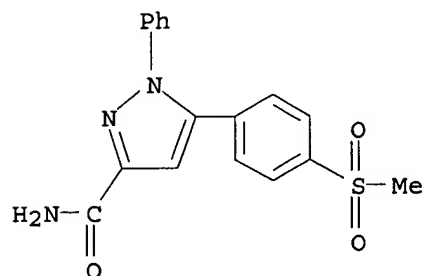
RN 134730-17-9 CAPLUS

CN 1H-Pyrazole-3-carboxamide, 1-(3-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-  
(9CI) (CA INDEX NAME)



RN 134730-18-0 CAPLUS

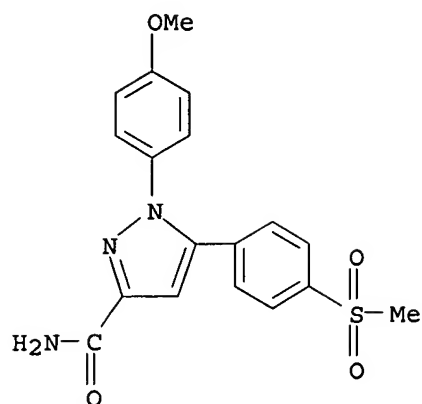
CN 1H-Pyrazole-3-carboxamide, 5-[4-(methylsulfonyl)phenyl]-1-phenyl- (9CI)  
(CA INDEX NAME)



RN 134730-19-1 CAPLUS

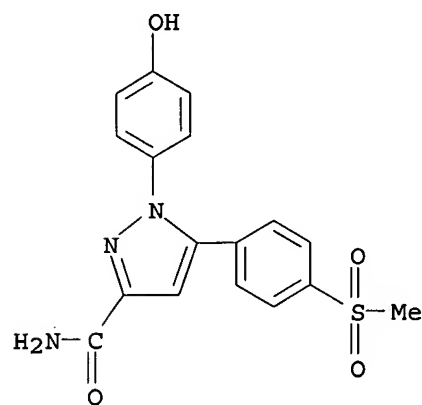
CN 1H-Pyrazole-3-carboxamide, 1-(4-methoxyphenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

10/764,529



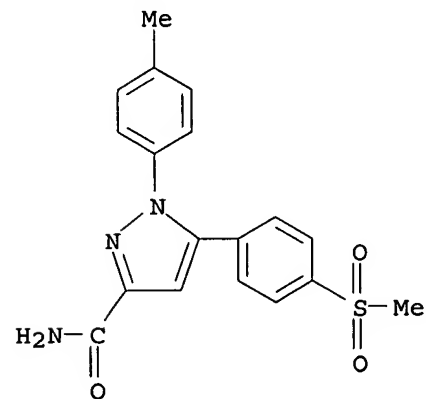
RN 134730-20-4 CAPLUS

CN 1H-Pyrazole-3-carboxamide, 1-(4-hydroxyphenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 134730-21-5 CAPLUS

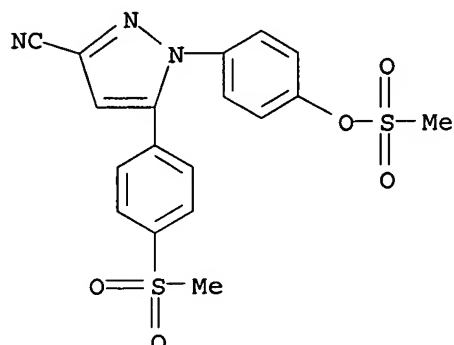
CN 1H-Pyrazole-3-carboxamide, 1-(4-methylphenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 134730-26-0 CAPLUS

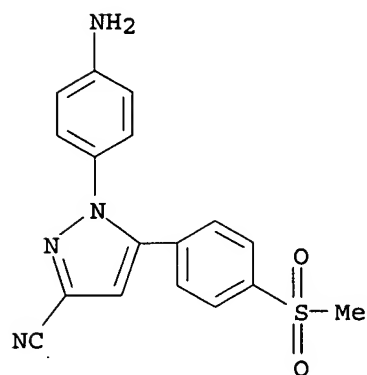
10/764,529

CN 1H-Pyrazole-3-carbonitrile, 1-[4-[(methylsulfonyl)oxy]phenyl]-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



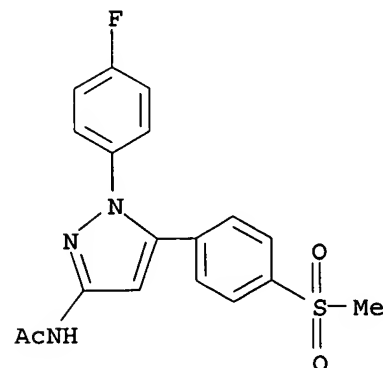
RN 134730-29-3 CAPLUS

CN 1H-Pyrazole-3-carbonitrile, 1-(4-aminophenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 134730-30-6 CAPLUS

CN Acetamide, N-[1-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)

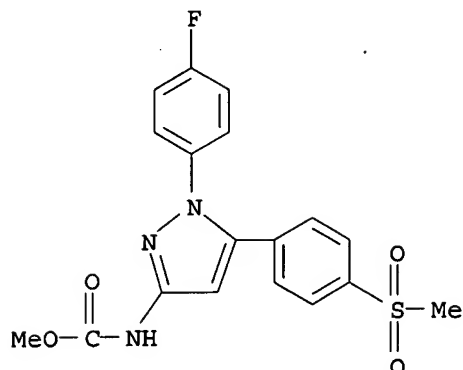


RN 134730-31-7 CAPLUS

CN Carbamic acid, [1-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-1H-Pyrazol-

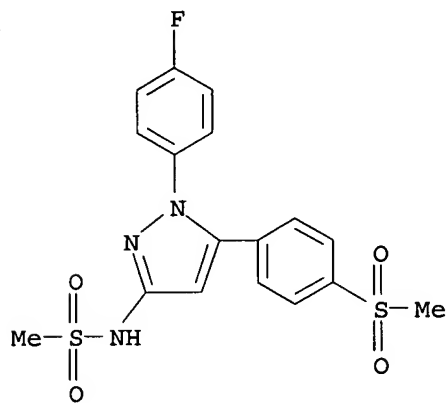
10/764,529

3-yl]-, methyl ester (9CI) (CA INDEX NAME)



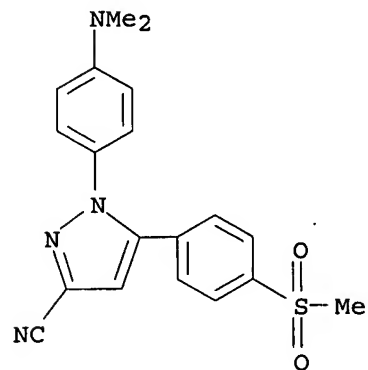
RN 134730-32-8 CAPLUS

CN Methanesulfonamide, N-[1-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



RN 134730-33-9 CAPLUS

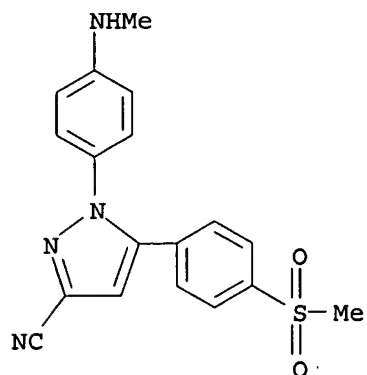
CN 1H-Pyrazole-3-carbonitrile, 1-[4-(dimethylamino)phenyl]-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 134730-34-0 CAPLUS

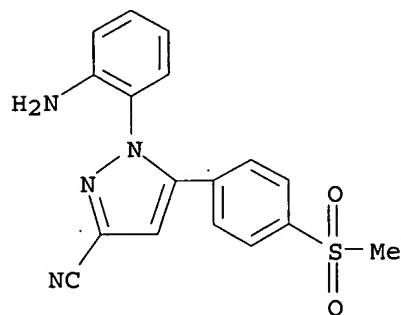
10/764,529

CN 1H-Pyrazole-3-carbonitrile, 1-[4-(methylamino)phenyl]-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



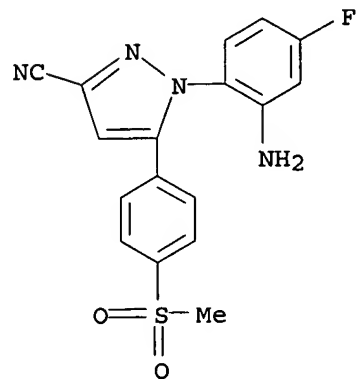
RN 134730-47-5 CAPLUS

CN 1H-Pyrazole-3-carbonitrile, 1-(2-aminophenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 134730-48-6 CAPLUS

CN 1H-Pyrazole-3-carbonitrile, 1-(2-amino-4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

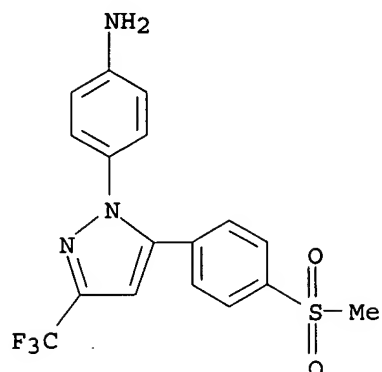


RN 134730-50-0 CAPLUS

CN Benzenamine, 4-[5-[4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)-1H-

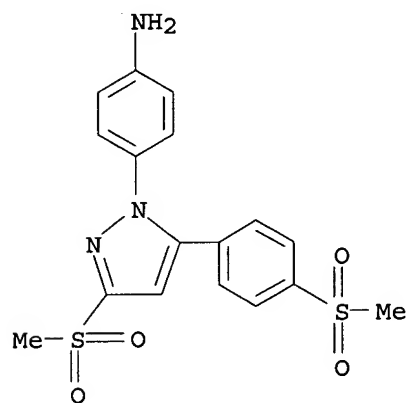
10/764,529

pyrazol-1-yl]- (9CI) (CA INDEX NAME)



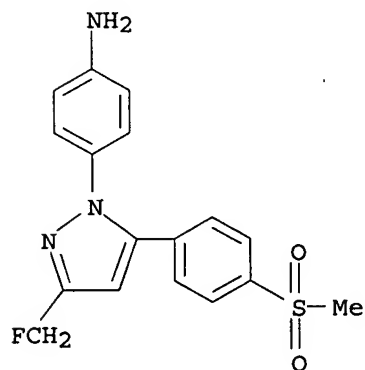
RN 134730-52-2 CAPLUS

CN Benzenamine, 4-[3-(methylsulfonyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



RN 134730-53-3 CAPLUS

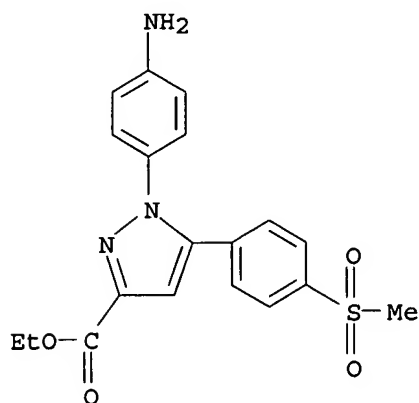
CN Benzenamine, 4-[3-(fluoromethyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



RN 134730-55-5 CAPLUS

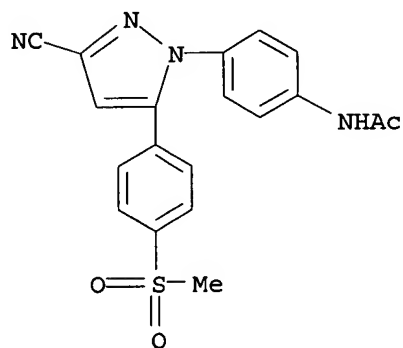
10/764,529

CN 1H-Pyrazole-3-carboxylic acid, 1-(4-aminophenyl)-5-[4-(methylsulfonyl)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



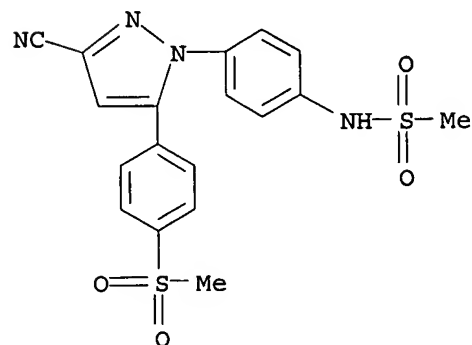
RN 134730-57-7 CAPLUS

CN Acetamide, N-[4-[3-cyano-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)



RN 134730-58-8 CAPLUS

CN Methanesulfonamide, N-[4-[3-cyano-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)

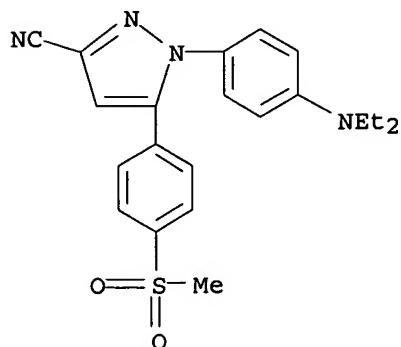


RN 134730-61-3 CAPLUS

CN 1H-Pyrazole-3-carbonitrile, 1-[4-(diethylamino)phenyl]-5-[4-(

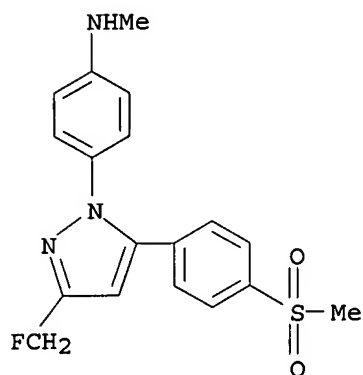
10/764,529

(methylsulfonyl)phenyl] - (9CI) (CA INDEX NAME)



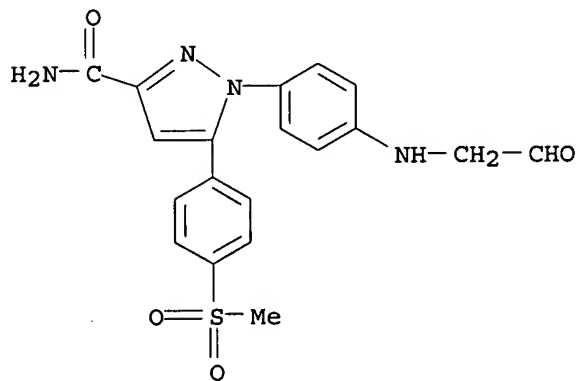
RN 134730-62-4 CAPLUS

CN Benzenamine, 4-[3-(fluoromethyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-1-yl]-N-methyl- (9CI) (CA INDEX NAME)



RN 134730-78-2 CAPLUS

CN 1H-Pyrazole-3-carboxamide, 5-[4-(methylsulfonyl)phenyl]-1-[4-[(2-oxoethyl)aminophenyl]- (9CI) (CA INDEX NAME)



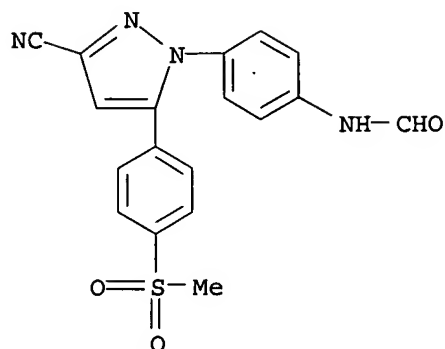
RN 134730-84-0 CAPLUS

CN Formamide, N-[4-[3-cyano-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-1-



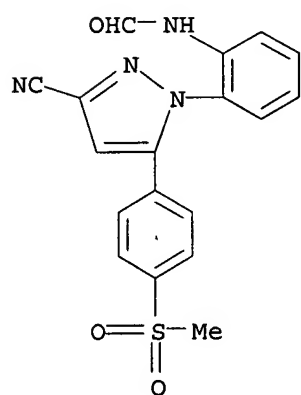
10/764,529

yl]phenyl]- (9CI) (CA INDEX NAME)



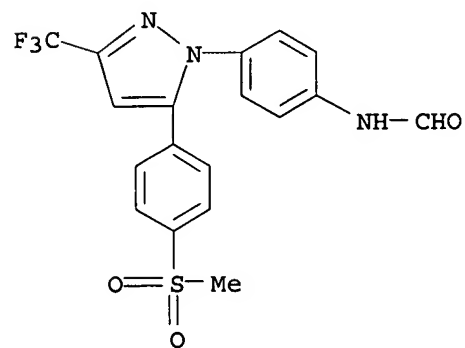
RN 134730-85-1 CAPLUS

CN Formamide, N-[2-[3-cyano-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)



RN 134730-87-3 CAPLUS

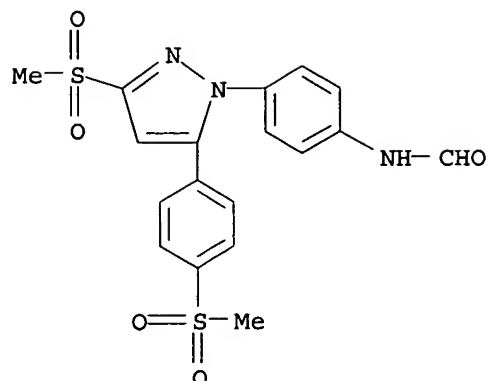
CN Formamide, N-[4-[5-[4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)



RN 134730-89-5 CAPLUS

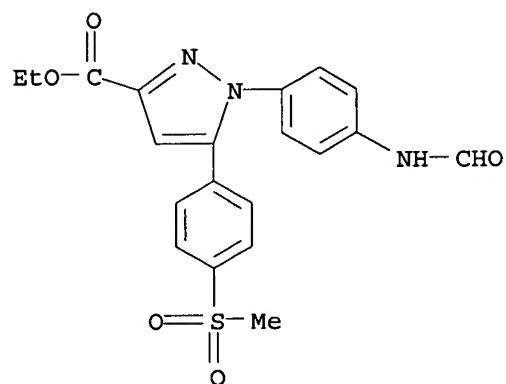
CN Formamide, N-[4-[3-(methylsulfonyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)

10/764,529



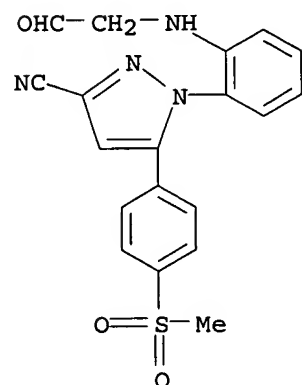
RN 134730-91-9 CAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 1-[4-(formylamino)phenyl]-5-[4-(methylsulfonyl)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 134730-97-5 CAPLUS

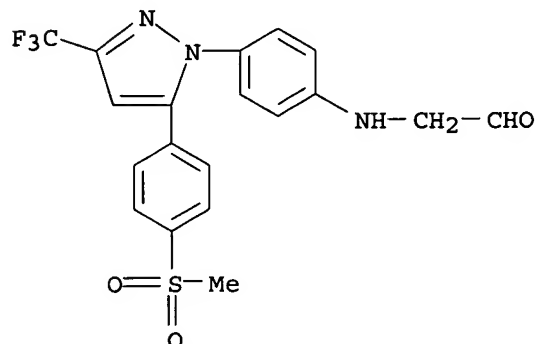
CN 1H-Pyrazole-3-carbonitrile, 5-[4-(methylsulfonyl)phenyl]-1-[2-[(2-oxoethyl)amino]phenyl]- (9CI) (CA INDEX NAME)



10/764,529

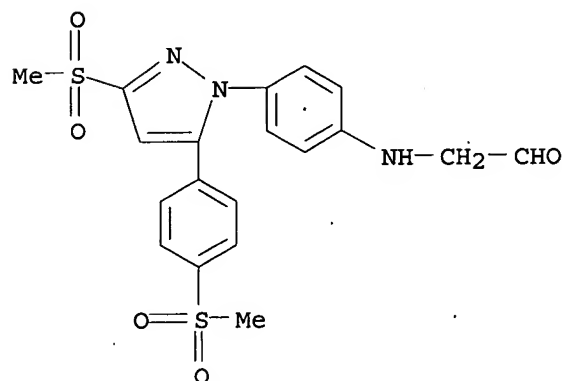
RN 134730-99-7 CAPLUS

CN Acetaldehyde, [[4-[5-[4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]amino]- (9CI) (CA INDEX NAME)



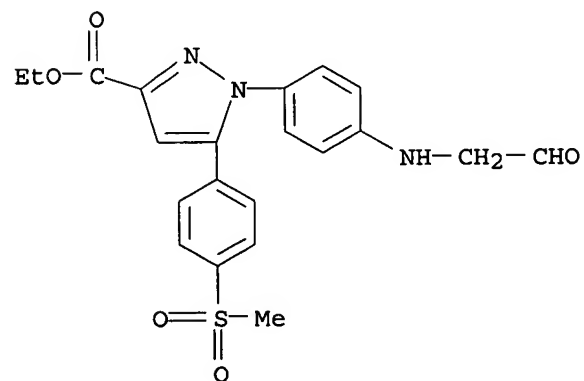
RN 134731-01-4 CAPLUS

CN Acetaldehyde, [[4-[3-(methylsulfonyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-1-yl]phenyl]amino]- (9CI) (CA INDEX NAME)



RN 134731-03-6 CAPLUS

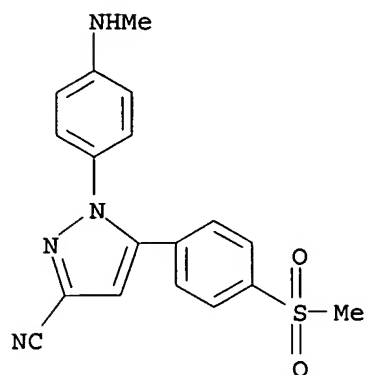
CN 1H-Pyrazole-3-carboxylic acid, 5-[4-(methylsulfonyl)phenyl]-1-[4-[(2-oxoethyl)amino]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



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RN 134731-05-8 CAPLUS

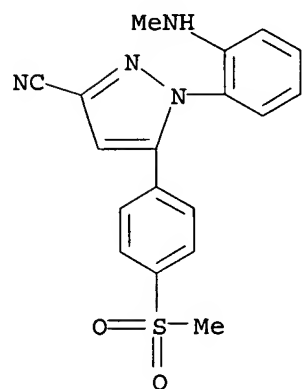
CN 1H-Pyrazole-3-carbonitrile, 1-[4-(methylamino)phenyl]-5-[4-(methylsulfonyl)phenyl]-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

RN 134731-08-1 CAPLUS

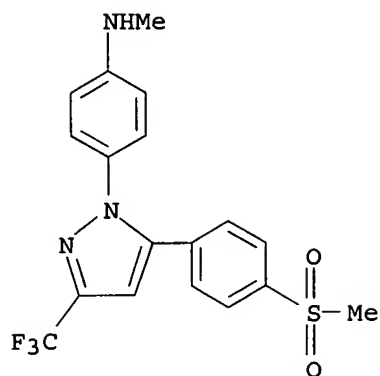
CN 1H-Pyrazole-3-carbonitrile, 1-[2-(methylamino)phenyl]-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 134731-10-5 CAPLUS

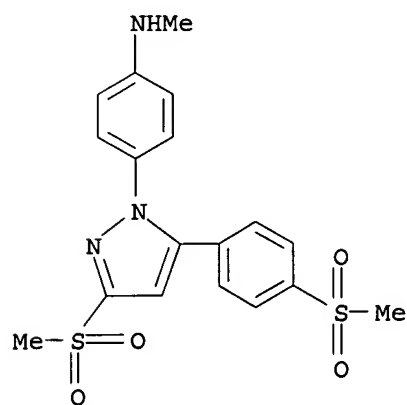
CN Benzenamine, N-methyl-4-[5-[4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)-1H-pyrazol-1-yl]-, hydrochloride (9CI) (CA INDEX NAME)

10/764,529



●x HCl

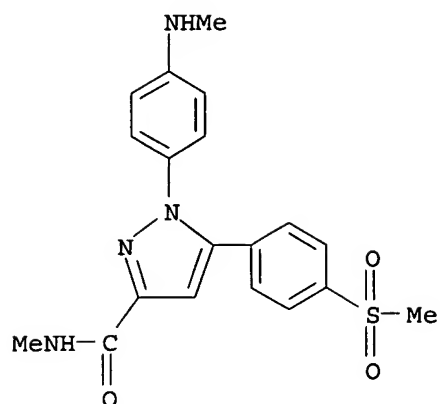
RN 134731-12-7 CAPLUS  
CN Benzenamine, N-methyl-4-[3-(methylsulfonyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-1-yl]-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

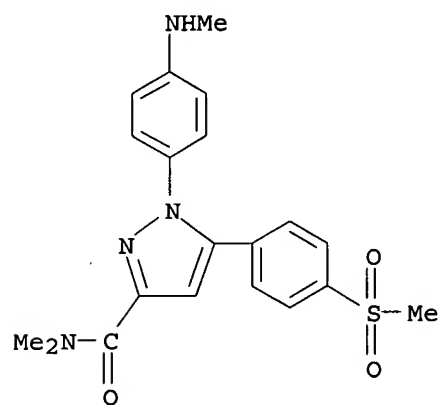
RN 134731-14-9 CAPLUS  
CN 1H-Pyrazole-3-carboxamide, N-methyl-1-[4-(methylamino)phenyl]-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

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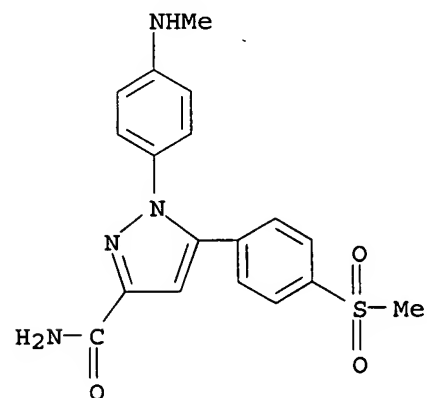
RN 134731-15-0 CAPLUS

CN 1H-Pyrazole-3-carboxamide, N,N-dimethyl-1-[4-(methylamino)phenyl]-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 134731-16-1 CAPLUS

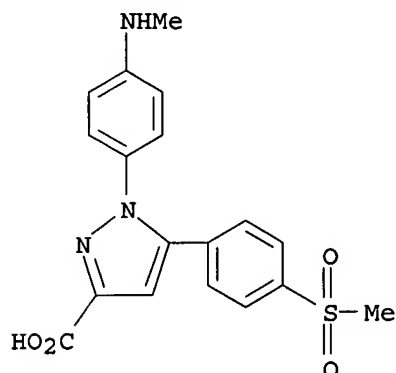
CN 1H-Pyrazole-3-carboxamide, 1-[4-(methylamino)phenyl]-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 134731-17-2 CAPLUS

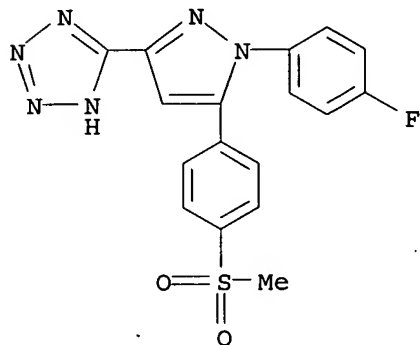
10/764,529

CN 1H-Pyrazole-3-carboxylic acid, 1-[4-(methylamino)phenyl]-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



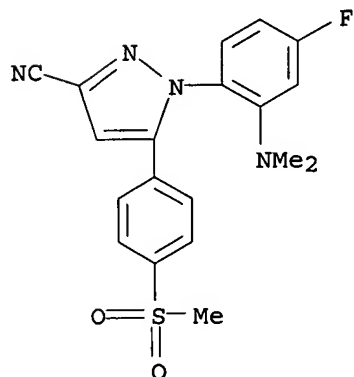
RN 134731-18-3 CAPLUS

CN 1H-Tetrazole, 5-[1-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



RN 134731-26-3 CAPLUS

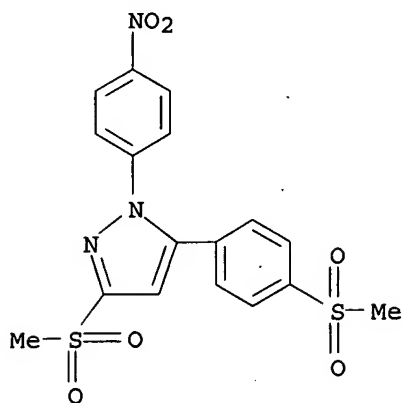
CN 1H-Pyrazole-3-carbonitrile, 1-[2-(dimethylamino)-4-fluorophenyl]-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 134731-27-4 CAPLUS

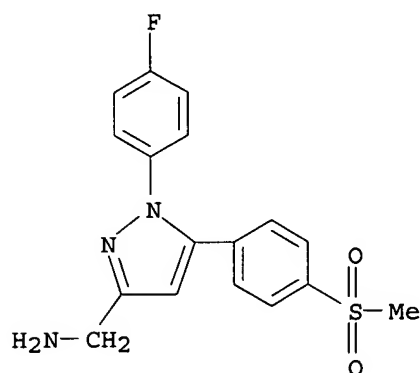
10/764,529

CN 1H-Pyrazole, 3-(methylsulfonyl)-5-[4-(methylsulfonyl)phenyl]-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



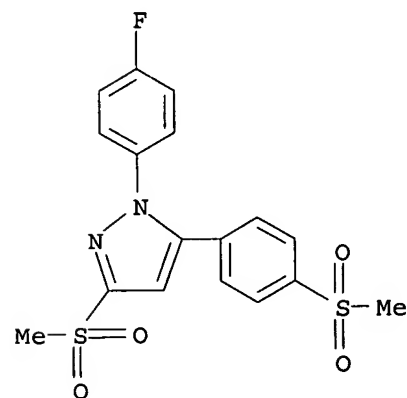
RN 134731-30-9 CAPLUS

CN 1H-Pyrazole-3-methanamine, 1-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 134731-47-8 CAPLUS

CN 1H-Pyrazole, 1-(4-fluorophenyl)-3-(methylsulfonyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

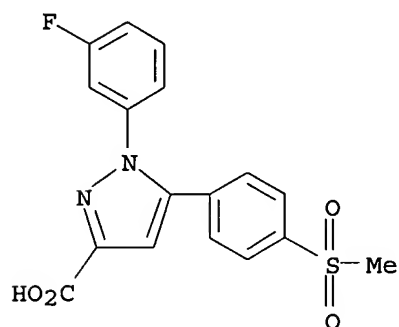




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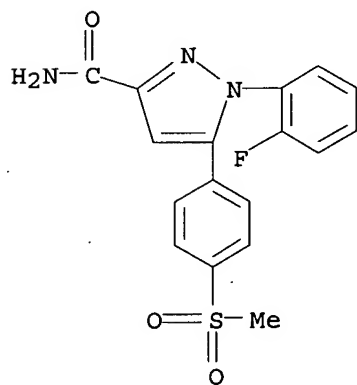
RN 134753-99-4 CAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 1-(3-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 134754-02-2 CAPLUS

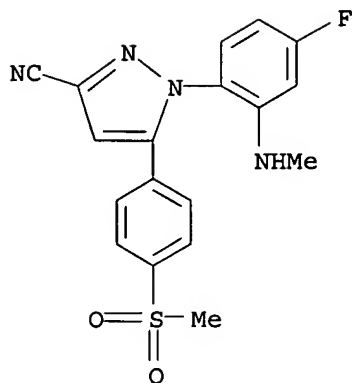
CN 1H-Pyrazole-3-carboxamide, 1-(2-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 134754-06-6 CAPLUS

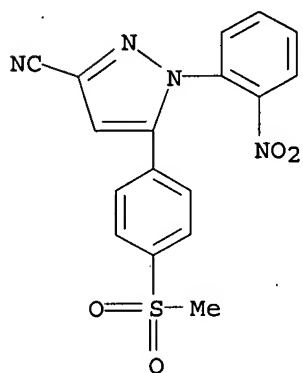
CN 1H-Pyrazole-3-carbonitrile, 1-[4-fluoro-2-(methylamino)phenyl]-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

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RN 135327-58-1 CAPLUS

CN 1H-Pyrazole-3-carbonitrile, 5-[4-(methanesulfonyl)phenyl]-1-(2-nitrophenyl)-  
(9CI) (CA INDEX NAME)

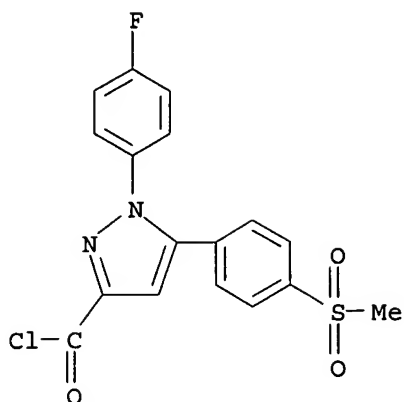


IT 134731-43-4P 134731-44-5P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, in preparation of antiinflammatory, analgesic, and  
antithrombotic pyrazole derivative)

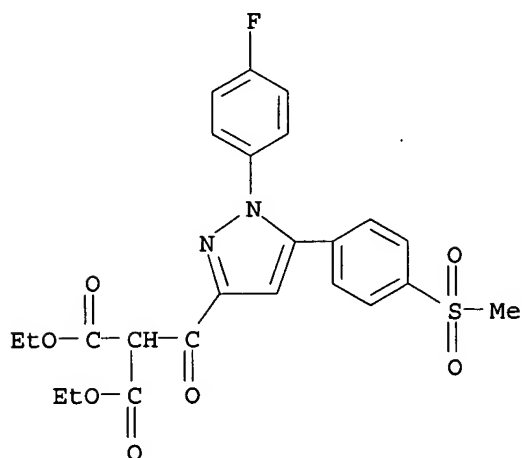
RN 134731-43-4 CAPLUS

CN 1H-Pyrazole-3-carbonyl chloride, 1-(4-fluorophenyl)-5-[4-  
(methanesulfonyl)phenyl]- (9CI) (CA INDEX NAME)

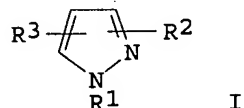


RN 134731-44-5 CAPLUS

CN Propanedioic acid, [[1-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-3-yl]carbonyl]-, diethyl ester (9CI) (CA INDEX NAME)



GI



I

AB The title compds. [I; R1 = heterocyclyl, (un)substituted aryl; R2 = H, CH<sub>2</sub>NH<sub>2</sub>, alkylaminomethyl, halomethyl, acyloxymethyl, acyl, acylamino, cyano, halo, alkylthio, alkylsulfinyl; R3 = (un)substituted aryl or heterocyclyl; provided that, e.g. when R2 = (esterified) CO<sub>2</sub>H, trihalomethyl, R3 = substituted aryl or heterocyclyl] are prepared, e.g. by reaction of R<sub>3</sub>COCH<sub>2</sub>COR<sub>2</sub>, OHCCH<sub>2</sub>R<sub>3</sub>COR<sub>2</sub>, or OHCCH<sub>2</sub>COR<sub>3</sub> with R<sub>1</sub>NHNH<sub>2</sub>. Thus, a mixture of Et 4-(4-methylthiophenyl)-2,4-dioxobutanoate and 4-FC<sub>6</sub>H<sub>4</sub>NHNH<sub>2</sub>.HCl in EtOH-dioxane was refluxed 5 h to give Et 1-(4-fluorophenyl)-3-(4-methylthiophenyl)pyrazole-5-carboxylate. A total of approx. 250 I were prepared and 9 I at 3.2 or 10 mg/kg/day p.o. for 23

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days inhibited 80.6-100% of mycobacterial adjuvant-induced secondary lesion in rat hind paws.

=> log y

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

307.63

469.17

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-45.26

-45.26

STN INTERNATIONAL LOGOFF AT 15:22:28 ON 27 SEP 2005